U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM

Requestor's Name: Binta Robinson Serial Number: 09326020

Date: 12/5/03 Phone: 703 306 5437 Art Unit: 1625

Search Topic:
Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).

See claim 1

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Total time: _____
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_____ A.A. Sequence
_____ Structure
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_____ APS
_____ Geninfo
_____ SDC
_____ DARC/Questel
_____ Other

PTO-1590 (9-90)

STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 109890

TO: Binta M Robinson **Location:** **Art Unit: 1625** **December 5, 2003** **Case Serial Number: 09/326020**	**From: P. Sheppard** **Location: CM1-1E03** **Phone: (703) 308-4499** **sheppard@uspto.gov**

Search Notes

12/5/03

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=> fil hcaplus
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FILE COVERS 1907 - 5 Dec 2003  VOL 139 ISS 24
FILE LAST UPDATED: 4 Dec 2003  (20031204/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


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L9                STR
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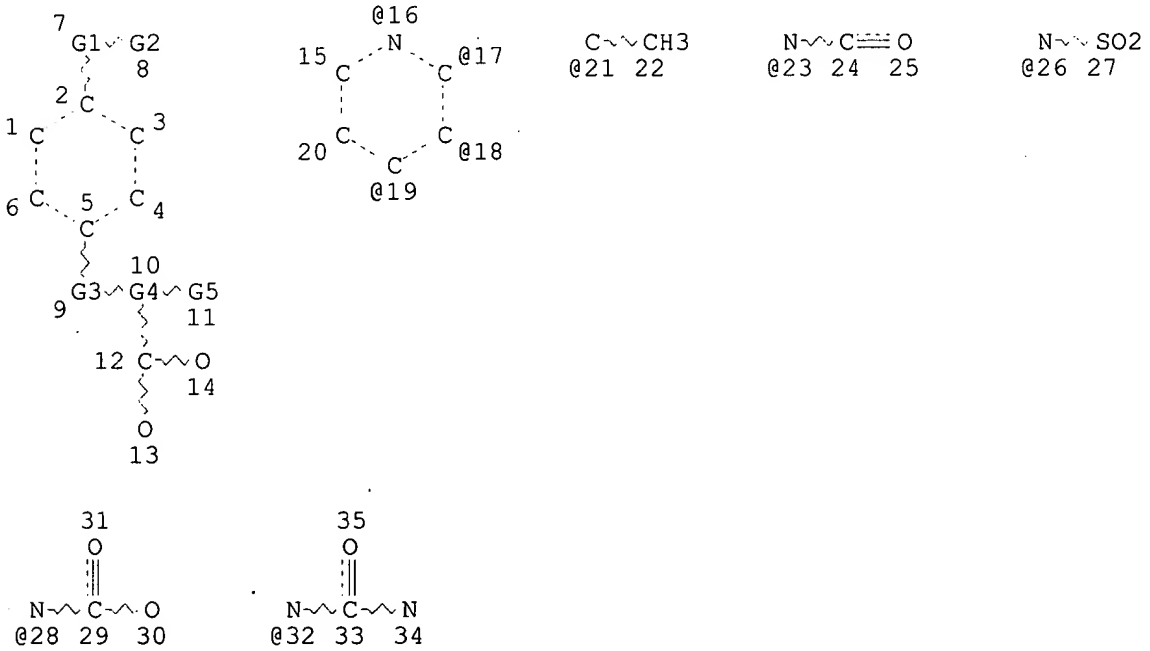


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VAR G2=16/17/18/19
REP G3=(0-1) AK
VAR G4=CH/21
VAR G5=23/26/28/32
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
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RSPEC 15
NUMBER OF NODES IS 35

STEREO ATTRIBUTES: NONE
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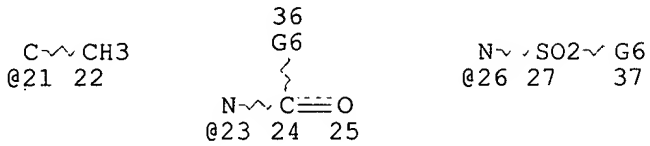
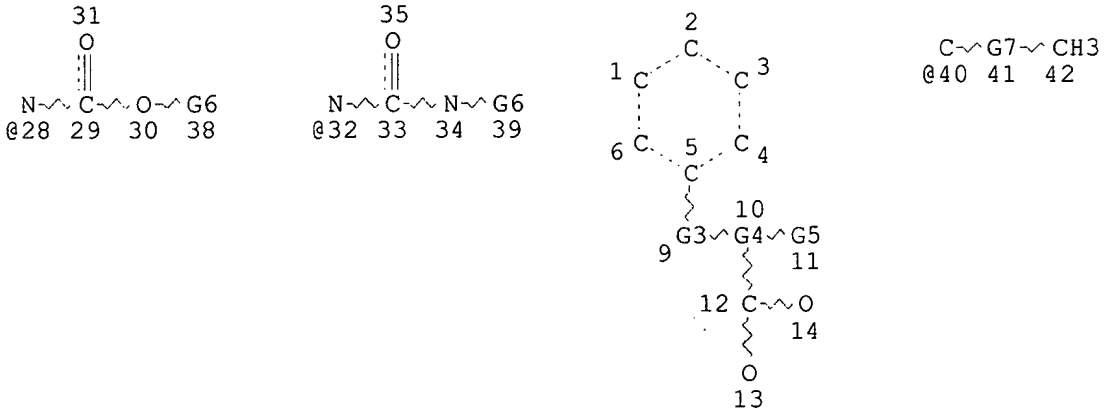
L12 STR





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VAR G4=CH/21
VAR G5=23/26/28/32
VAR G6=CH3/CB/40
REP G7=(0-4) C
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 34

STEREO ATTRIBUTES: NONE
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L15 29 SEA FILE=HCAPLUS ABB=ON PLU=ON L14 AND PD=<JUNE 4, 1999

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L15 ANSWER 1 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:422230 HCAPLUS
DOCUMENT NUMBER: 131:257844
TITLE: A synthetic receptor for the Cbz-L-Ala-L-Ala-OH dipeptide sequence
AUTHOR(S): Henley, Peter D.; Kilburn, Jeremy D.

CORPORATE SOURCE: Department of Chemistry, University of Southampton, Southampton, SO17 1BJ, UK
SOURCE: Chemical Communications (Cambridge) (**1999**), (14), 1335-1336
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A novel bowl-shaped macro-bicyclic receptor has been prepd. and is a particularly strong and selective receptor for Cbz-L-Ala-L-Ala-OH (-.DELTA.Gass = 25 kJ mol-1 at 293 K in CDCl3).

IT **244757-64-0P 244757-65-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of in the synthesis of synthetic receptor for the Cbz-L-Ala-L-Ala-OH dipeptide sequence)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:166589 HCAPLUS
DOCUMENT NUMBER: 130:209978
TITLE: Preparation of N-aroylphenylalanine derivatives as vascular cell adhesion molecule-1 (VCAM-1) binding inhibitors
INVENTOR(S): Chen, Li; Guthrie, Robert William; Huang, Tai-Nang; Hull, Kenneth G.; Sidduri, Achytharao; Tilley, Jefferson Wright
PATENT ASSIGNEE(S): F.Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9910313	A1	19990304	WO 1998-EP5144	19980813	<--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2300121	AA	19990304	CA 1998-2300121	19980813	<--
AU 9893419	A1	19990316	AU 1998-93419	19980813	<--
AU 742928	B2	20020117			
EP 1005446	A1	20000607	EP 1998-946326	19980813	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
BR 9811988	A	20000905	BR 1998-11988	19980813	
JP 2001514163	T2	20010911	JP 2000-507644	19980813	
ZA 9807602	A	19990504	ZA 1998-7602	19980821	<--
US 6455550	B1	20020924	US 1998-138353	19980821	
TW 515792	B	20030101	TW 1998-87113767	19980821	
US 2003109459	A1	20030612	US 2002-117616	20020405	

PRIORITY APPLN. INFO.:
US 1997-56929P P 19970822
US 1998-94591P P 19980729
WO 1998-EP5144 W 19980813
US 1998-138353 B3 19980821

OTHER SOURCE(S): MARPAT 130:209978

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [one of X, X1 = H, halo, lower alkyl and the other = (un)substituted group X6, X7, X10; R1 = H, lower alkyl; n = 0, 1; Het = 5-6 membered heteroarom. ring contg. 1-3 heteroatoms N, O, S, or 9-10 membered bicyclic heteroarom. ring contg. 1-4 heteroatoms N, O, S; R19 = (un)substituted lower alkyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl; R18 = H, any group R19; R20 = (un)substituted lower alkyl, aroyl, lower alkanoyl; Y = CR22R23R24, 3-7 membered ring Y2; R22, R23 = (un)substituted aryl, heteroaryl, lower alkyl; R24 = H, CN, (un)substituted aryl, lower alkyl, with provisos; R25 = lower alkyl, F-(un)substituted lower alkenyl, R26(CH2)m; R26 = aryl, heteroaryl, N3, CN, OH, NO2, amino, lower alkoxy, lower alkoxycarbonyl, lower alkanoyl, lower alkylthio, lower alkylsulfonyl, lower alkylsulfinyl, etc.; Q = bond, (CH2)pO, (CH2)pS, (CH2)p; m = 0-4; p = 0-3; Z = H, lower alkyl] and pharmaceutically acceptable salts and esters thereof, are disclosed which have activity as inhibitors of binding between VCAM-1 and cells expressing integrin VLA-4. Such compds. are useful for treating diseases whose symptoms and /or damage are related to the binding of VCAM-1 to cells expressing VLA-4. Thus, amidation of 4-amino-N-[(1-phenylcyclopentyl)carbonyl]-L-phenylalanine Me ester (prepn. given) with 4-quinolinecarboxylic acid and sapon. gave desired title deriv. II as its sodium salt. II inhibited VLA-4 binding to immobilized VCAM-1 with IC50 = 2.7 nM in solid-phase dual antibody assay.

IT **220876-32-4P 220879-87-8P 220880-11-5P**
220880-41-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-aroylphenylalanine derivs. as vascular cell adhesion mol.-1 (VCAM-1) binding inhibitors)

IT **220879-96-9P 220880-05-7P 220880-38-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-aroylphenylalanine derivs. as vascular cell adhesion mol.-1 (VCAM-1) binding inhibitors)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:166588 HCAPLUS
DOCUMENT NUMBER: 130:196952
TITLE: Preparation of N-alkanoylphenylalanine derivatives as vascular cell adhesion molecule-1 (VCAM-1) binding inhibitors
INVENTOR(S): Chen, Li; Guthrie, Robert William; Huang, Tai-Nang; Hull, Kenneth G.; Sidduri, Achytharao; Tilley, Jefferson Wright
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9910312	A1	19990304	WO 1998-EP5135	19980813	<--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2301377	AA	19990304	CA 1998-2301377	19980813	<--
AU 9892620	A1	19990316	AU 1998-92620	19980813	<--
AU 739511	B2	20011011			
EP 1005445	A1	20000607	EP 1998-945235	19980813	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
BR 9811730	A	20000905	BR 1998-11730	19980813	
JP 2001514162	T2	20010911	JP 2000-507643	19980813	
NZ 502813	A	20021025	NZ 1998-502813	19980813	
ZA 9807604	A	19990518	ZA 1998-7604	19980821	<--
US 6229011	B1	20010508	US 1998-137798	19980821	
TW 490458	B	20020611	TW 1998-87113768	19980821	
HR 2000000080	A1	20001231	HR 2000-80	20000211	
NO 2000000841	A	20000221	NO 2000-841	20000221	

PRIORITY APPLN. INFO.:
US 1997-56718P P 19970822
US 1998-94592P P 19980729
WO 1998-EP5135 W 19980813

OTHER SOURCE(S): MARPAT 130:196952
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [one of X, X1 = H, halo, lower alkyl and the other = (un)substituted group X6, X7, X10; R1 = H, lower alkyl; n = 0, 1; Het = 5-6 membered heteroarom. ring contg. 1-3 heteroatoms N, O, S, or 9-10 membered bicyclic heteroarom. ring contg. 1-4 heteroatoms N, O, S; R18 = lower alkyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl; R19 = (un)substituted lower alkyl, aryl, heteroaryl; R20 = lower alkyl, lower alkanoyl; R19R20 = (CH2)4; Y = group Y1, (un)substituted 5-6 membered monocyclic heteroarom. group contg. 1-3 heteroatoms N, O, S, 9-10 membered bicyclic heteroarom. group contg. 1-4 heteroatoms N, O, S; R22, R23 = H, lower alkyl, lower alkoxy, lower alkoxyaryl, lower alkylamino, aryl, arylalkyl, NO2, CN, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl, lower alkanoyl, halo, perfluoroalkyl; both R22 and R23 .noteq. H; R24 = H, OH, lower alkyl, lower alkoxy, lower alkylsulfonyl, amino, aryl, NO2, CN, halo, (un)substituted 1-amino-5-tetrazolyl, sulfonamido, carboxamido; R22R24 = fused benzene ring; Z = H, lower alkyl; R31 = H, (un)substituted lower alkyl] and pharmaceutically acceptable salts and esters thereof, are disclosed which have activity as inhibitors of binding between VCAM-1 and cells expressing integrin VLA-4. Such compds. are useful for treating diseases whose symptoms and /or damage are related to the binding of VCAM-1 to cells expressing VLA-4. Thus, amidation of 4-amino-N-tert-butoxycarbonyl-L-phenylalanine Me ester with 2,6-dichlorobenzoyl chloride, followed by acidic deprotection, amidation with 2-chloro-6-methylbenzoic acid, and sapon. gave desired title deriv. II. II inhibited VLA-4 binding to immobilized VCAM-1 with IC50 = 0.33 nM in solid-phase dual antibody assay.

IT **220847-58-5P 220847-59-6P 220848-03-3P**
220848-36-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-alkanoylphenylalanine derivs. as vascular cell adhesion mol.-1 (VCAM-1) binding inhibitors)

IT **220847-36-9P 220848-59-9P 220849-03-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-alkanoylphenylalanine derivs. as vascular cell adhesion mol.-1 (VCAM-1) binding inhibitors)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:113710 HCAPLUS
DOCUMENT NUMBER: 130:153984
TITLE: Preparation of N-sulfonyl dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4
INVENTOR(S): Thorsett, Eugene D.; Semko, Christopher M.; Pleiss, Michael A.; Konradi, Andrei W.; Grant, Francine S.; Dressen, Darren B.; Baudy, Reinhardt Bernhard
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; American Home Products Corporation
SOURCE: PCT Int. Appl., 151 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9906435	A1	19990211	WO 1998-US15314	19980730	<--
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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
AU 9886612	A1	19990222	AU 1998-86612	19980730	<--
EP 994895	A1	20000426	EP 1998-937991	19980730	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
ZA 9806834	A	20000502	ZA 1998-6834	19980730	
BR 9811599	A	20000919	BR 1998-11599	19980730	
JP 2001512137	T2	20010821	JP 2000-505190	19980730	
NO 2000000412	A	20000324	NO 2000-412	20000127	

PRIORITY APPLN. INFO.: US 1997-904415 A1 19970731
WO 1998-US15314 W 19980730

OTHER SOURCE(S): MARPAT 130:153984

AB Disclosed are title compds. R1SO2NR2CR3R4QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1, (un)substituted cycloalkenyl; R1R2 may form heterocyclic ring; R3 = any group R1; R2R3 may form heterocyclic ring; R4 = any group R1; R3R4 may form cycloalkyl, (un)substituted heterocyclic ring; R5 = CHMe2, CH2X, :CHX1; X1 = H, OH, acylamino, optionally substituted alkyl, alkoxy, aryloxy, aryl, aryloxyaryl, carboxy, carboxyalkyl, etc.; Q = C(X)NR7, X = O, S, R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z =

(un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, sulfonylation of cycloleucine (1-aminocyclopentanecarboxylic acid) with tosyl chloride, followed by peptide coupling with L-phenylalanine Me ester and sapon. gave desired title compd. 4-MeC6H4SO2-cycloleucyl-L-phenylalanine.

IT **220172-69-0P 220172-75-8P 220173-00-2P 220173-04-6P 220173-06-8P 220173-49-9P 220173-50-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfonyl dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:113708 HCAPLUS
DOCUMENT NUMBER: 130:153982
TITLE: Preparation of N-sulfonyl phenylalanine dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4
INVENTOR(S): Dappen, Michael S.; Dressen, Darren B.; Grant, Francine S.; Pleiss, Michael A.; Robinson, Cynthia Y.; Sarantakis, Dimitrios; Thorsett, Eugene D.
PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; American Home Products Corporation
SOURCE: PCT Int. Appl., 190 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9906433	A1	19990211	WO 1998-US15952	19980731	<--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
AU 9886786	A1	19990222	AU 1998-86786	19980731	<--
EP 1001973	A1	20000524	EP 1998-938207	19980731	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
BR 9811569	A	20000919	BR 1998-11569	19980731	
JP 2001512136	T2	20010821	JP 2000-505188	19980731	
US 6559127	B1	20030506	US 1998-127533	19980731	

NO 2000000451	A	20000323	NO 2000-451		20000128
US 2003166575	A1	20030904	US 2002-266889		20021007
PRIORITY APPLN. INFO.:			US 1997-112010P	P	19970731
			US 1997-904416	A1	19970731
			US 1998-127533	A3	19980731
			WO 1998-US15952	W	19980731

OTHER SOURCE(S): MARPAT 130:153982

AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted unsatd. heterocyclic ring; R5 = CH2X1; X1 = H, OH, optionally substituted acylamino, alkyl, aryloxy, aryl, aryloxyaryl, CO2H, carboxyalkyl, carboxyheteroaryl, etc.; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyloxy, adamantylamino, .beta.-cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin .alpha.4.beta.1 and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, reaction of Ts-Gly-OH (Ts = tosyl) with oxalyl chloride in CH2Cl2, followed by peptide coupling with L-phenylalanine benzyl ester tosylate and catalytic hydrogenolysis, gave desired title compd. Ts-Gly-Phe-OH. All prepd. compds. have IC50 .ltoreq. 15 .mu.M in a VLA-4 binding assay.

IT **220186-18-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-sulfonyl phenylalanine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:	1999:27805 HCAPLUS
DOCUMENT NUMBER:	130:95843
TITLE:	Preparation of cyclopentylcarbonylamino acid as inhibitors of .alpha.4.beta.1 mediated cell adhesion
INVENTOR(S):	Lobl, Thomas J.; Rishton, Gil; Teegarden, Bradley; Polinsky, Alex; Yamagishi, Masafumi; Tanis, Steven P.; Fisher, Jed F.; Thomas, Edward W.; Chrusciel, Robert A.
PATENT ASSIGNEE(S):	Tanabe Seiyaku Co., Ltd., Japan; Pharmacia & Upjohn Company
SOURCE:	PCT Int. Appl., 342 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

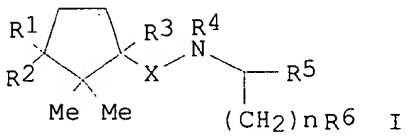
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9858902	A1	19981230	WO 1998-US13064	19980623	<--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
AU 9881633	A1	19990104	AU 1998-81633	19980623	<--
EP 991619	A1	20000412	EP 1998-931521	19980623	
EP 991619	B1	20030910			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 2001517246	T2	20011002	JP 1999-504997	19980623	
US 6482849	B1	20021119	US 1998-102584	19980623	
AT 249421	E	20030915	AT 1998-931521	19980623	
US 2003130349	A1	20030710	US 2002-193137	20020712	
US 6596752	B1	20030722			

PRIORITY APPLN. INFO.:	US 1997-50515P	P	19970623
	US 1998-102584	A3	19980623
	WO 1998-US13064	W	19980623

OTHER SOURCE(S): MARPAT 130:95843
GI



I

AB Title compds. [I; n = 0, 1; R1 = H, CH3; R2 = CN, CO2H, CONH2, CONHOCH2Ph, NHCOOCH2Ph, etc.; R3 = H, CH3; X = CH, CO; R4 = H, alkyl; R5 = CO2H, CONH2, COOR, etc.; R = alkyl; R6 = aryl, heteroaryl, arylcarbonyl, aarylcarbonylaminoalkyl, etc.], a pharmaceutically acceptable salt, a stereoisomer thereof are prepd. as inhibitors of .alpha.4.beta.1 mediated adhesion to either VCAM or CS-1 and which can be used for treating or preventing .alpha.4.beta.1 adhesion mediated conditions in human such as inflammatory diseases. Thus, (1S-cis)- N-[(3-carboxy-2,2,3-trimethylcyclopentyl)carbonyl]-O-(phenylmethyl)-L-tyrosine was prepd. and assayed for inhibition of .beta.1-mediated cell adhesion in vitro.

IT **219494-63-0P 219494-64-1P 219495-91-7P 219495-92-8P 219495-93-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of cyclopentylcarbonylamino acid as inhibitors of .alpha.4.beta.1 mediated cell adhesion)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 7 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:	1998:324824 HCAPLUS
DOCUMENT NUMBER:	129:27961
TITLE:	Preparation of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion molecules to GPIIb/IIIa
INVENTOR(S):	Mills, Stuart Dennett
PATENT ASSIGNEE(S):	Zeneca Ltd., UK
SOURCE:	U.S., 68 pp., Cont.-in-part of U.S. 5,563,141.

CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5753659	A	19980519	US 1995-458180	19950602 <--
US 5563141	A	19961008	US 1994-218174	19940328 <--
US 5750754	A	19980512	US 1996-658097	19960604 <--

PRIORITY APPLN. INFO.: GB 1993-6451 A 19930329
GB 1993-25610 A 19931215
US 1994-218174 A2 19940328
GB 1993-6453 A 19930329
GB 1993-25605 A 19931215
GB 1995-18188 A 19950907

AB The title compds. [(M1)n-Q-(M2)1-n-L-A; n = 0-1; M1 = NH2; Q = an arom. heterocyclic group contg. N atom; M2 = imino; L = template; A = an acidic group, or its ester or amide, or sulfonamide] and their pharmaceutically acceptable salts and pro-drugs, useful for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa, for the inhibition of platelet aggregation, and for the treatment of unstable angina. Thus, reaction of Me 4-bromoacetylphenoxyacetate with 1-(4-pyridyl)piperazine in MeCN afforded Me 4-{2-[4-(4-pyridyl)piperazin-1-yl]acetyl}phenoxyacetate which showed pIC50 of 5.8-6.4 against binding of fibrinogen to GPIIb/IIIa.

IT **166951-14-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)

IT **166951-15-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)

IT **166953-45-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclyl-substituted piperazines for the prevention or treatment of a disease mediated by the binding of adhesion mols. to GPIIb/IIIa)

REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 8 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:239130 HCAPLUS
DOCUMENT NUMBER: 128:303347
TITLE: Radiopharmaceuticals for imaging infection and inflammation
INVENTOR(S): Barrett, John Andrew; Cheesman, Edward Hollister; Harris, Thomas David; Rajopadhye, Milind
PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Company, USA
SOURCE: PCT Int. Appl., 352 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9815295	A2	19980416	WO 1997-US18096	19971006	<--
WO 9815295	A3	19980827			
W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9852381	A1	19980505	AU 1998-52381	19971006	<--
AU 736481	B2	20010726			
BR 9712281	A	19990831	BR 1997-12281	19971006	
CN 1239895	A	19991229	CN 1997-180342	19971006	
EP 999856	A2	20000517	EP 1997-947259	19971006	
EP 999856	B1	20030514			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
NZ 335539	A	20010629	NZ 1997-335539	19971006	
JP 2001525796	T2	20011211	JP 1998-517680	19971006	
EP 1293214	A2	20030319	EP 2002-79932	19971006	
EP 1293214	A3	20030326			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
AT 240123	E	20030515	AT 1997-947259	19971006	
ZA 9708956	A	19990416	ZA 1997-8956	19971007	<--
KR 2000048922	A	20000725	KR 1999-702953	19990406	
MX 9903234	A	20001130	MX 1999-3234	19990407	
AU 758249	B2	20030320	AU 2001-48113	20010530	

PRIORITY APPLN. INFO.: US 1996-726507 A 19961007
AU 1998-52381 A3 19971006
EP 1997-947259 A3 19971006
WO 1997-US18096 W 19971006

OTHER SOURCE(S): MARPAT 128:303347
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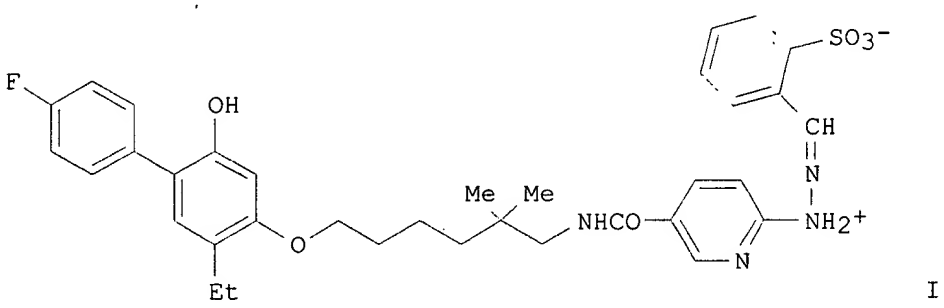


I

AB The present invention provides novel radiopharmaceuticals useful for the diagnosis of infection and inflammation, reagents and kits useful for prepg. the radiopharmaceuticals, methods of imaging sites of infection and/or inflammation in a patient, and methods of diagnosing diseases assocd. with infection or inflammation in patients in need of such diagnosis. The radiopharmaceuticals bind in vivo to the leukotriene B4 (LTB4) receptor on the surface of leukocytes which accumulate at the site of infection and inflammation. The reagents provided by this invention are also useful for the treatment of diseases assocd. with infection and inflammation. Thus, the leukotriene antagonist (I) was prepd. and shown to be active in an LTB4 human neutrophil (PMN) binding assay. Compd. I

was used to prep. 99mTc(tricine)(TPPTS)(4-ethyl-2-(4-fluorophenyl)-[5-[5,5-dimethyl-6-[[[6-diazenido-3-pyridinyl]carbonyl]amino]hexyl]oxy]phenol) (TPPTS = tri(3-sulfonatophenyl)phosphine, sodium salt) which was was used to detect inflammation/infection in guinea pig and rabbit focal infection models.

IT **206266-68-4P 206266-69-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for prepn. of leukotriene antagonist ligands and their 99mTc complexes for imaging and treatment of infection and inflammation)

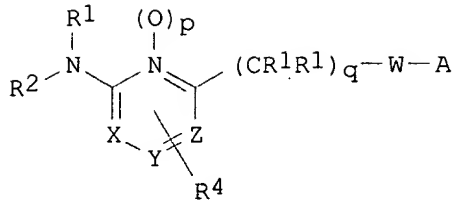
IT **206263-76-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. as leukotriene antagonist ligands for imaging and treatment of infection and inflammation)

L15 ANSWER 9 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:547298 HCAPLUS
DOCUMENT NUMBER: 127:149074
TITLE: Pyridine derivatives and analogs useful as vitronectin receptor antagonists
INVENTOR(S): Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9724122	A1	19970710	WO 1996-US20744	19961220	<--
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
CA 2241724	AA	19970710	CA 1996-2241724	19961220	<--
AU 9713538	A1	19970728	AU 1997-13538	19961220	<--
EP 895475	A1	19990210	EP 1996-945085	19961220	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI					
CN 1209060	A	19990224	CN 1996-180099	19961220	<--
BR 9612378	A	19990713	BR 1996-12378	19961220	
JP 2000502708	T2	20000307	JP 1997-524556	19961220	
ZA 9610855	A	19971124	ZA 1996-10855	19961223	<--
NO 9803002	A	19980826	NO 1998-3002	19980626	<--
US 2001034445	A1	20011025	US 2001-769125	20010124	

PRIORITY APPLN. INFO.:			
US 1995-9532P	P	19951229	
WO 1996-US20744	W	19961220	
US 1998-91936	B1	19981203	

OTHER SOURCE(S): MARPAT 127:149074
GI



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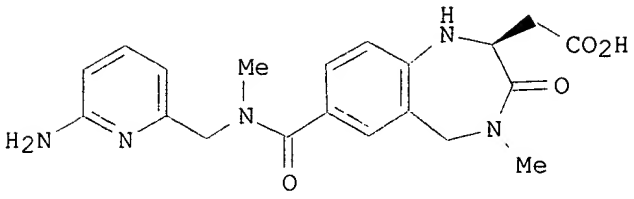

II

AB Title compds. I [A = fibrinogen antagonist template; W = (CHR3)nU(CHR3)mV; X, Y, Z = N or CR4, provided that at most one is N; R1 = H, alkyl, cycloalkyl(alkyl), aryl(alkyl); R2 = R1, COR1, CO2R1; R3 = H, alkyl, heterocyclyl(alkyl), cycloalkyl(alkyl), aryl(alkyl); R4 = H, halo, OR3, SR3, cyano, (un)substituted NH2, etc.; U, V = bond, CO, CR3R3, S, SO, SO2, O, NR3, etc.; n, m = 0, 1, 2; p, q = 0, 1; with addnl. provisos] are disclosed. The compds. are vitronectin receptor antagonists, useful in the treatment of osteoporosis and other conditions. I are said to inhibit binding of SKF 107260 to vitronectin receptor in vitro at 0.01 to 25 .mu.M, with some compds. showing at least a 4-fold (and in some cases 10-fold) greater affinity for vitronectin receptor over fibrinogen receptor. Examples include prepns. of 35 title compds., with characterizing data for 4 of them. For instance, amidation of 6-[(methylamino)methyl]-2-pyridinamine with the corresponding carboxybenzodiazepineacetate deriv., and sapon. of the product with LiOH in aq. THF, gave title compd. II.

IT **193469-96-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridine derivs. and analogs as vitronectin receptor antagonists)

L15 ANSWER 10 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:547292 HCAPLUS
DOCUMENT NUMBER: 127:149073
TITLE: Pyridine derivatives and analogs useful as vitronectin receptor antagonists
INVENTOR(S): Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James
SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9724124	A1	19970710	WO 1996-US20327	19961220	<--
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
AU 9712955	A1	19970728	AU 1997-12955	19961220	<--
CN 1209063	A	19990224	CN 1996-180114	19961220	<--
EP 906103	A1	19990407	EP 1996-943818	19961220	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI					
BR 9612381	A	19990713	BR 1996-12381	19961220	
JP 2000502704	T2	20000307	JP 1997-524453	19961220	
ZA 9610854	A	19980402	ZA 1996-10854	19961223	<--
NO 9803001	A	19980826	NO 1998-3001	19980626	<--
US 6159964	A	20001212	US 1999-91937	19990727	

PRIORITY APPLN. INFO.: US 1995-9367P P 19951229
WO 1996-US20327 W 19961220

OTHER SOURCE(S): MARPAT 127:149073

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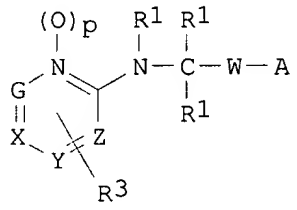

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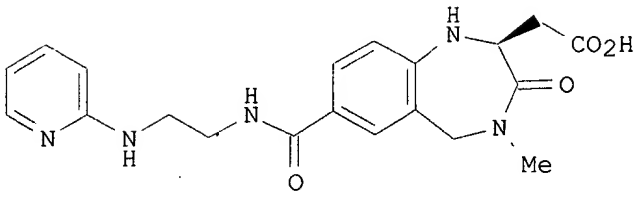


II

AB Title compds. I [A = fibrinogen antagonist template; W = (CHR2)nU(CHR2)mV; G, X, Y, Z = N or CR3, provided that no more than one is N; R1 = H, alkyl, cycloalkyl(alkyl), aryl(alkyl); R2 = H, alkyl, heterocyclyl(alkyl), cycloalkyl(alkyl), aryl(alkyl); R3 = H, halo, OR2, SR2, cyano, (un)substituted NH2, etc.; U, V = bond, CO, CR2R2, S, SO, SO2, O, NR2, etc.; n = 0, 1, 2, 3; m = 0, 1, 2; p = 0, 1] are disclosed. The compds. are vitronectin receptor antagonists, useful in the treatment of osteoporosis and other conditions. I are said to inhibit binding of SKF 107260 to vitronectin receptor in vitro at 0.01 to 25 .mu.M, with some compds. showing at least a 4-fold (and in some cases 10-fold) greater affinity for vitronectin receptor over fibrinogen receptor. Examples include prepns. of 41 title compds., with characterizing data for several of them. For instance, amidation of N-(2-pyridinyl)ethylenediamine with the corresponding carboxybenzodiazepineacetate deriv., and sapon. of the product with LiOH in aq. THF, gave title compd. II.

IT **193473-29-9P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridine derivs. and analogs as vitronectin receptor antagonists)

L15 ANSWER 11 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:513484 HCAPLUS
DOCUMENT NUMBER: 127:190753
TITLE: Preparation of heterocyclic derivatives as inhibitors of the binding of fibrinogen to glycoprotein IIb/IIIa
INVENTOR(S): Wayne, Michael Garth; Smithers, Michael James; Rayner, John Wall; Faull, Alan Wellington; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: U.S., 42 pp., Cont.-in-part of U.S. 5,556,977.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 5652242	A	19970729	US 1995-457538	19950601	<--
US 5556977	A	19960917	US 1994-218171	19940328	<--
EP 825184	A1	19980225	EP 1997-117909	19940328	<--
EP 825184	B1	20010620			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE					
CA 2194397	AA	19961205	CA 1996-2194397	19960528	<--
WO 9638416	A1	19961205	WO 1996-GB1260	19960528	<--
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML					
AU 9658272	A1	19961218	AU 1996-58272	19960528	<--
AU 710105	B2	19990916			
GB 2304340	A1	19970319	GB 1996-27127	19960528	<--
GB 2304340	B2	19980729			
EP 796247	A1	19970924	EP 1996-919906	19960528	<--
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
BR 9606409	A	19970930	BR 1996-6409	19960528	<--
DE 19680509	T	19971204	DE 1996-19680509	19960528	<--
JP 09512836	T2	19971222	JP 1996-536281	19960528	<--
JP 2885941	B2	19990426			
AT 9609005	A	19991215	AT 1996-9005	19960528	
AT 406675	B	20000725			
ES 2137886	A1	19991216	ES 1997-50006	19960528	
ES 2137886	B1	20000816			
CH 691808	A	20011031	CH 1997-224	19960528	
ZA 9604509	A	19961202	ZA 1996-4509	19960531	<--
NL 1003243	C2	19961204	NL 1996-1003243	19960531	<--
FR 2734818	A1	19961206	FR 1996-6747	19960531	<--
FR 2734818	B1	19980710			
BE 1009520	A5	19970401	BE 1996-491	19960531	<--
US 5750754	A	19980512	US 1996-658097	19960604	<--
SE 9700203	A	19970124	SE 1997-203	19970124	<--
SE 510812	C2	19990628			
FI 9700393	A	19970130	FI 1997-393	19970130	<--

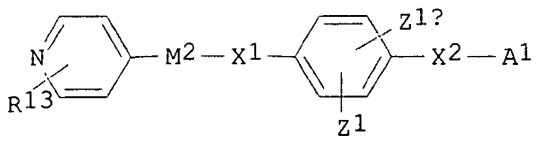
DK 9700106	A	19970401	DK 1997-106	19970130	<--
NO 9700437	A	19970220	NO 1997-437	19970131	<--
US 5728701	A	19980317	US 1997-820003	19970318	<--

PRIORITY APPLN. INFO.:

GB 1993-6453	A	19930329
GB 1993-25605	A	19931215
US 1994-218171	A2	19940328
GB 1993-6451	A	19930329
GB 1993-25610	A	19931215
EP 1994-910494	A3	19940328
US 1995-457538	A	19950601
GB 1995-18188	A	19950907
WO 1996-GB1260	W	19960528

OTHER SOURCE(S): MARPAT 127:190753

GI



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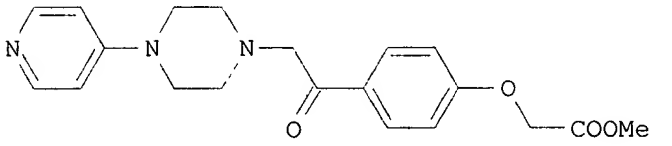


II

AB The title compds. [I; M2 = NR3 (wherein R3 = H, C1-4 alkyl), etc.; X1 = a bond, C1-4 alkylene, C2-4 alkylene, etc.; Z1, Z1a = H, OH, halo, etc.; X2 = a bond, C1-4 alkylene, C2-4 alkylene, etc.; A1 = COOH, a metabolically stable ester, amide; R13 = H, C1-4 alkyl, C1-4 alkoxy, halo] and their pharmaceutically acceptable salts, useful as inhibitors of the binding of fibrinogen to glycoprotein IIb/IIIa, were prepd. and formulated. Thus, reaction of Me 4-bromoacetylphenoxyacetate with 1-(4-pyridyl)piperazine in MeCN afforded the title compd. II which showed pIC50 of 7.2 against platelet aggregation.

IT **166951-14-0P 166951-15-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic derivs. as inhibitors of the binding of fibrinogen to glycoprotein IIb/IIIa)

IT **166953-45-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclic derivs. as inhibitors of the binding of fibrinogen to glycoprotein IIb/IIIa)

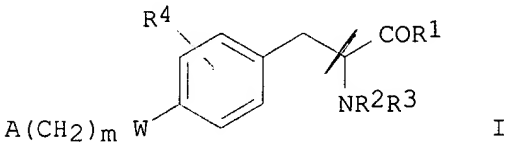
L15 ANSWER 12 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:77060 HCAPLUS
DOCUMENT NUMBER: 126:89361
TITLE: Preparation of (oxazolyl)alkoxyphenylpropionic acid derivatives as hypoglycemics and hypolipemics
INVENTOR(S): Takeno, Hidekazu; Ikemoto, Tomoyuki; Saitoh, Isao; Watanabe, Kazuhiro
PATENT ASSIGNEE(S): Sumitomo Metal Industries, Ltd., Japan; Takeno, Hidekazu; Ikemoto, Tomoyuki; Saitoh, Isao; Watanabe, Kazuhiro

SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9638415	A1	19961205	WO 1996-JP1380	19960524 <--
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML				
JP 08325263	A2	19961210	JP 1995-133460	19950531 <--
AU 9657791	A1	19961218	AU 1996-57791	19960524 <--

PRIORITY APPLN. INFO.: JP 1995-133460 19950531
WO 1996-JP1380 19960524

OTHER SOURCE(S): MARPAT 126:89361
GI

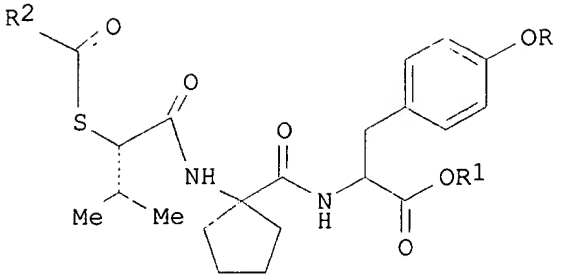


I

AB The title compds. I [A represents a nitrogenous heterocycle; W represents oxygen or carbonyl; R1 represents hydroxy, an ester residue or a substituted imide group; and R2 and R3 represent each hydrogen, alkyl, aralkyl, alkanoyl, benzoyl, etc.; R4 = H, nitro, etc.; m = 0 - 2] are prepd. The title compds. at 10 mg/kg gave 32 to 54% decrease of blood glucose in diabetic mice.

IT **185679-57-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of (oxazolyl)alkoxyphenylpropionic acid derivs. as hypoglycemics and hypolipemics)

L15 ANSWER 13 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:422683 HCAPLUS
DOCUMENT NUMBER: 125:131637
TITLE: Mercaptoacyl Dipeptides as Orally Active Dual Inhibitors of Angiotensin-Converting Enzyme and Neutral Endopeptidase
AUTHOR(S): Fink, Cynthia A.; Carlson, J. Eric; McTaggart, Patricia A.; Qiao, Ying; Webb, Randy; Chatelain, Ricardo; Jeng, Arco Y.; Trapani, Angelo J.
CORPORATE SOURCE: Pharmaceuticals Division, CIBA-GEIGY Corporation, Summit, NJ, 07901, USA
SOURCE: Journal of Medicinal Chemistry (**1996**), 39(16), 3158-3168
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Dual inhibitors of the two zinc metallopeptidases, neutral endopeptidase (NEP, EC 3.4.24.11) and angiotensin-I-converting enzyme (ACE, EC 2.4.15.1), have been the focus of much clin. interest for the treatment of hypertension and congestive heart failure. We have previously reported that compd. 2 (N-[[1-[(2(S)-mercapto-3-methyl-1-oxobutyl)amino]-1-cyclopentyl]carbonyl]-L-tyrosine) was a potent dual inhibitor in vitro (IC50(ACE) = 7.0 nM, IC50(NEP) = 1.5 nM). This compd. was found to have oral activity; however, its duration of effect was short. Forty-four thioacetate carboxylic acid ester analogs (I) [where R = H, Me, Et, Pr, COMe, COEt, COCH(Me)2, COCH2OMe, COCH2CO2Et, CO(phenyl), CO(2-thienyl), (CO(3-pyridyl), CO(4-pyridyl), and CO2Et)OT; R1 = Me, Et, Pr, allyl, Bu, hexyl, CH(Me)2, CH2CH(Me)2, (CH2)2CH(Me)2, cyclopentyl, benzyl, CH2CON(Et)2, and CH2(3-pyridyl); R2 = Me, Et, Pr, tBu, CH2N(CH2)4O, CH2OMe, CH2N(Me)2, CH(Me)2, CH2N(CH2)5, 2-pyridyl, cyclopentyl, and cyclohexyl] were prepd. These compds. were evaluated for their ability to inhibit plasma ACE activity when administered orally to conscious normotensive rats. Most of the compds. prepd. were found to be orally active with longer durations of effect than compd. 2. II (I, where R = R2 = Me, and R1 = Et), administered at 11.7 mg/kg po, was found to be more efficacious than captopril at 10 mg/kg po. This compd. was also found to inhibit plasma NEP activity following oral administration to conscious rats and was more efficacious than acetorphan. This compd. was found to lower blood pressure in the aorta-ligated rat and the spontaneously hypertensive rat when administered orally. The synthesis and biol. activity of these dual inhibitors are discussed.

IT **169319-91-9P 169319-95-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(mercaptoacyl dipeptides as orally active dual inhibitors of angiotensin-converting enzyme and neutral endopeptidase)

L15 ANSWER 14 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:371857 HCAPLUS
DOCUMENT NUMBER: 125:67716
TITLE: Sustained-release preparations for delivery of water-soluble physiologically active substances
INVENTOR(S): Takada, Shigeyuki; Kurokawa, Tomofumi; Iwasa, Susumu
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 709085	A1	19960501	EP 1995-115568	19951002	<--
EP 709085	B1	20010131			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
JP 08151321	A2	19960611	JP 1995-250818	19950928	<--
CA 2159552	AA	19960331	CA 1995-2159552	19950929	<--
EP 1022020	A2	20000726	EP 2000-106329	19951002	
EP 1022020	A3	20010425			
EP 1022020	B1	20030122			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE					
AT 198981	E	20010215	AT 1995-115568	19951002	
AT 231390	E	20030215	AT 2000-106329	19951002	

PRIORITY APPLN. INFO.: JP 1994-236846 A 19940930
EP 1995-115568 A3 19951002

OTHER SOURCE(S): MARPAT 125:67716

AB A microcapsule comprising an amorphous water-sol. physiol. active substance and a polymer and a process for producing a microcapsule, which comprises dispersing an amorphous water-sol. physiol. active substance in a soln. of a polymer in an org. solvent into an aq. phase to prep. an emulsion and subjecting the emulsion to a rapid drying process, are described. The invention provides a microcapsule that has a high entrapment of a water-sol. drug and causes a small initial release. An antiplatelet aggregation agent S-4-[(4-amidinobenzoyl)glycyl]-3-methoxycarbonylmethyl-2-oxopiperazine-1-acetic acid in amorphous form was dispersed in a soln. of glycolic acid-lactic acid copolymer. The drug in the dispersion was pulverized to microparticles in a 0.2% PVA soln. contg. 2.7% NaCl. The microcapsules were freeze-dried to obtain powdery microcapsules.

IT **149490-61-9**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sustained-release microcapsules contg. water-sol. physiol. active substances and polymers)

L15 ANSWER 15 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:275068 HCAPLUS
DOCUMENT NUMBER: 125:11471
TITLE: Cyclic amino acid derivatives as inhibitors of angiotensin converting enzyme and neutral endopeptidase
INVENTOR(S): Fink, Cynthia A.
PATENT ASSIGNEE(S): Ciba-Geigy Corp., USA
SOURCE: U.S., 15 pp., Cont.-in-part of U.S. 5,432,186.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 5506244	A	19960409	US 1994-263859	19940622	<--
US 5432186	A	19950711	US 1993-153395	19931116	<--
EP 655461	A1	19950531	EP 1994-810642	19941107	<--
EP 655461	B1	20000607			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
AT 193706	E	20000615	AT 1994-810642	19941107	
ES 2148305	T3	20001016	ES 1994-810642	19941107	
AU 9477729	A1	19950525	AU 1994-77729	19941109	<--
AU 687444	B2	19980226			
IL 111581	A1	19990714	IL 1994-111581	19941110	
CA 2135711	AA	19950517	CA 1994-2135711	19941114	<--
FI 9405354	A	19950517	FI 1994-5354	19941114	<--
NO 9404364	A	19950518	NO 1994-4364	19941115	<--

JP 07196685	A2	19950801	JP 1994-280785	19941115	<--
ZA 9409050	A	19950811	ZA 1994-9050	19941115	<--
CN 1107857	A	19950906	CN 1994-118911	19941115	<--
CN 1058019	B	20001101			
HU 71423	A2	19951128	HU 1994-3276	19941115	<--
US 5668158	A	19970916	US 1996-601626	19960214	<--

PRIORITY APPLN. INFO.: US 1993-153395 A2 19931116
US 1994-263859 A 19940622

OTHER SOURCE(S): MARPAT 125:11471

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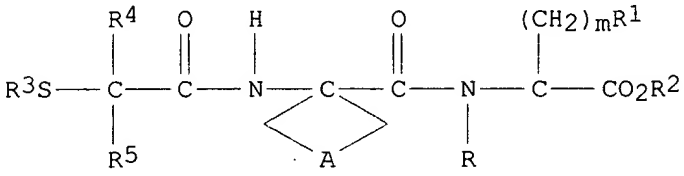

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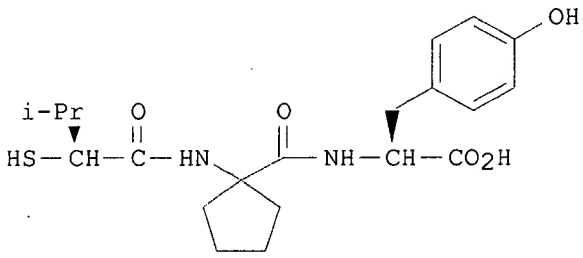

II

AB Disclosed are the compds. of formula I wherein: R = H, lower alkyl, carbocyclic or heterocyclic aryl-lower alkyl or cycloalkyl-lower alkyl; R1 = H, lower alkyl, cycloalkyl, carbocyclic aryl or heterocyclic aryl, or biaryl; R3 = H or acyl; R4 = H, lower alkyl, carbocyclic or heterocyclic aryl, carbocyclic or heterocyclic aryl-lower alkyl, cycloalkyl, cycloalkyl-lower alkyl, biaryl or biaryl-lower alkyl; R5 = H or lower alkyl; or R4 and R5 together with the carbon atom to which they are attached represent cycloalkylidene or benzo-fused cycloalkylidene; ring A = 3 to 10 membered cycloalkylidene or 5 to 10 membered cycloalkenylidene ring which may be substituted by lower alkyl or aryl-lower alkyl or may be fused to a satd. or unsatd. carbocyclic 5-7-membered ring; or ring A = 5 or 6 membered oxacycloalkylidene, thiacycloalkylidene or azacycloalkylidene optionally substituted by lower alkyl or aryl-lower alkyl; or ring A = 2,2-norbornylidene; m is 0, 1, 2 or 3; and COOR2 = carboxyl or carboxyl derivatized in form of a pharmaceutically acceptable ester, disulfide derivs. derived from said compds. wherein R3 is H; and pharmaceutically acceptable salts thereof; methods of prepn. of said compds. and their intermediates; and pharmaceutical compns. comprising said compds. for treatment of disorders in mammals which are responsive to ACE and NEP inhibition. Thus, e.g., sapon. of N-[[1-[(2(S)-acetylmercapto-3-methyl- 1-oxobutyl)amino]-1-cyclopentyl]carbonyl]-L-tyrosine Me ester (prepn. given) afforded N-[[1-[(2-(S)-mercapto-3-methyl-1-oxobutyl)amino]-1-cyclopentyl]carbonyl]-L-tyrosine (II) which exhibited IC50 of about 7 nM in the ACE in vitro assay, and about 2 nM in the in vitro neutral endopeptidase (NEP, EC 3.4.24.11) assay.

IT **169319-95-3P 177476-66-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(cyclic amino acid derivs. as inhibitors of angiotensin converting enzyme and neutral endopeptidase)

L15 ANSWER 16 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:878838 HCAPLUS
DOCUMENT NUMBER: 123:286742
TITLE: Preparation of acylpeptide analogs having angiotensin converting enzyme and neutral endopeptidase inhibiting activity.
INVENTOR(S): Fink, Cynthia A.
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 655461	A1	19950531	EP 1994-810642	19941107 <--
EP 655461	B1	20000607		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5432186	A	19950711	US 1993-153395	19931116 <--
US 5506244	A	19960409	US 1994-263859	19940622 <--

PRIORITY APPLN. INFO.: US 1993-153395 A 19931116
US 1994-263859 A 19940622
OTHER SOURCE(S): MARPAT 123:286742
GI For diagram(s), see printed CA Issue.
AB Title compds. [I; R = H, alkyl, (hetero)aralkyl, cycloalkylalkyl; R1 = H, alkyl, cycloalkyl, (hetero)aryl, biaryl; R3 = H, acyl; R4 = H, alkyl, (hetero)aryl, (hetero)aralkyl, cycloalkyl, cycloalkylalkyl, biaryl or biarylalkyl; R5 = H, alkyl; R4R5C = (benzo-fused) cycloalkylidene; A = atoms to complete (substituted) (fused) 3-10 membered cycloalkylidene, 5-10 membered cycloalkenylidene, 5-6 membered oxacycloalkylidene, thiacycloalkylidene, azacycloalkylidene, 2,2-norbornylidene; m = 0-3; and CO2R2 = carboxyl, pharmaceutically acceptable ester], disulfide derivs., and pharmaceutically acceptable salts thereof, were prepd. Thus, title compd. (II) at 10 mg/kg orally inhibits angiotensin 1-induced pressor response in rats for 6 h.
IT **169319-91-9P 169319-95-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of acylpeptide analogs having angiotensin converting enzyme and neutral endopeptidase inhibiting activity)

L15 ANSWER 17 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:810381 HCAPLUS
DOCUMENT NUMBER: 123:227994
TITLE: Heterocyclic derivatives as platelet aggregation inhibitors
INVENTOR(S): Wayne, Michael Garth; Smithers, Michael James; Rayner, John Wall; Faull, Alan Wellington; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: PCT Int. Appl., 145 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9422834	A1	19941013	WO 1994-GB647	19940328	<--
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, UZ, VN					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
CA 2156070	AA	19941013	CA 1994-2156070	19940328	<--
AU 9462889	A1	19941024	AU 1994-62889	19940328	<--
AU 692438	B2	19980611			
EP 691959	A1	19960117	EP 1994-910494	19940328	<--
EP 691959	B1	19980722			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
BR 9406613	A	19960206	BR 1994-6613	19940328	<--
HU 72088	A2	19960328	HU 1995-2290	19940328	<--
CN 1120334	A	19960410	CN 1994-191664	19940328	<--
JP 08508291	T2	19960903	JP 1994-521810	19940328	<--
EP 825184	A1	19980225	EP 1997-117909	19940328	<--
EP 825184	B1	20010620			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE					
AT 168678	E	19980815	AT 1994-910494	19940328	<--
ES 2119184	T3	19981001	ES 1994-910494	19940328	<--
RU 2142944	C1	19991220	RU 1995-122602	19940328	
IL 109144	A1	20000229	IL 1994-109144	19940328	
AT 202345	E	20010715	AT 1997-117909	19940328	
ES 2159798	T3	20011016	ES 1997-117909	19940328	
FI 9504616	A	19950928	FI 1995-4616	19950928	<--
NO 9503837	A	19950928	NO 1995-3837	19950928	<--
US 5750754	A	19980512	US 1996-658097	19960604	<--

PRIORITY APPLN. INFO.:			
GB 1993-6453	A	19930329	
GB 1993-25605	A	19931215	
GB 1993-6451	A	19930329	
GB 1993-25610	A	19931215	
EP 1994-910494	A3	19940328	
WO 1994-GB647	W	19940328	
GB 1995-18188	A	19950907	

OTHER SOURCE(S): MARPAT 123:227994

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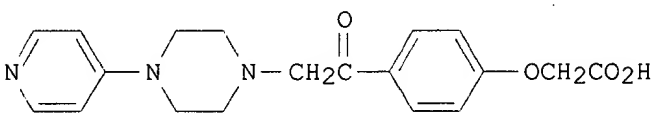


I

AB Pyridine derivs. and metabolically labile esters and amides thereof were disclosed as pharmaceuticals. The compds. are useful as inhibitors of the binding of fibrinogen to glycoprotein IIb/IIIa. A specifically claimed compd. is 4-[2-[4-(4-pyridinyl)-1-piperazinyl]acetyl]phenoxyacetic acid (I).

IT **166951-14-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of pyridine compds. platelet aggregation inhibitors)

IT **166951-15-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridine compds. platelet aggregation inhibitors)

IT **166953-45-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of pyridine compds. platelet aggregation inhibitors)

L15 ANSWER 18 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:786283 HCAPLUS
DOCUMENT NUMBER: 124:56589
TITLE: Polymer-supported Mitsunobu ether formation and its use in combinatorial chemistry
AUTHOR(S): Krchnak, Viktor; Flegelova, Zuzka; Weichsel, Aleksandra S.; Lebl, Michal
CORPORATE SOURCE: Selectide Corp., Tucson, AZ, 85737, USA
SOURCE: Tetrahedron Letters (**1995**), 36(35), 6193-6
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Arom. hydroxy acids, Ac-Tyr-OH and N-(4-hydroxybenzoyl)glycine, were attached to a polymeric solid support and the phenolic hydroxy groups reacted with a variety of primary and secondary alcs. under the conditions of the Mitsunobu reaction (triphenylphosphine and di-Et azodicarboxylate) in THF. In most cases the reaction provided a nearly quant. yield of alkyl aryl ethers, as detd. after cleaving the product from the resin. To demonstrate that the polymer-supported Mitsunobu reaction is useful for combinatorial library synthesis, the authors synthesized a no. of model compds. and a simple three randomization step library composed of 4,200 different compds.
IT **171813-97-1P 171813-98-2P 171813-99-3P**
171814-00-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(polymer-supported Mitsunobu etherification and use in combinatorial chem.)

L15 ANSWER 19 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:758624 HCAPLUS
DOCUMENT NUMBER: 123:169654
TITLE: Preparation of heterocyclic compounds as platelet aggregation inhibitors
INVENTOR(S): Wayne, Michael Garth; Smithers, Michael James; Rayner, John Wall; Faull, Alan Wellington; Pearce, Robert James; Brewster, Andrew George; Shute, Richard Eden; Mills, Stuart Dennett; Caulkett, Peter William Rodney
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: PCT Int. Appl., 236 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9422835	A2	19941013	WO 1994-GB648	19940328 <--
WO 9422835	A3	19941222		

W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TT, UA, UZ, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

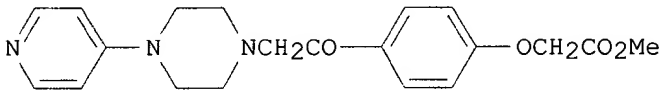
CA 2155307	AA	19941013	CA 1994-2155307	19940328	<--
AU 9462890	A1	19941024	AU 1994-62890	19940328	<--
AU 692439	B2	19980611			
EP 690847	A1	19960110	EP 1994-910495	19940328	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
JP 08509967	T2	19961022	JP 1994-521811	19940328	<--
JP 3088016	B2	20000918			
US 5750754	A	19980512	US 1996-658097	19960604	<--

PRIORITY APPLN. INFO.:

GB 1993-6451	A	19930329
GB 1993-25610	A	19931215
GB 1993-6453	A	19930329
GB 1993-25605	A	19931215
WO 1994-GB648	W	19940328
GB 1995-18188	A	19950907

OTHER SOURCE(S): MARPAT 123:169654

GI



I

AB Title compds. [I; (M1)nQ(M2)1-nLA wherein = 0, 1; M1 = amino; Q = N-heterocyclyl; M2 = imino; L = template; A = an acidic group, or ester, amide deriv., sulfonamide] and pharmaceutically acceptable salts and pro-drugs thereof are prepd. Me 4-(bromoacetyl)phenoxyacetate in MeCN was added to 1-(4-pyridyl)piperazine in MeCN to give the title compd II. Platelet aggregation inhibition was demonstrated by I. Pharmaceutical formulations comprising I are given.

IT **166951-14-0P 166951-15-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic compds. as platelet aggregation inhibitors)

IT **166953-45-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclic compds. as platelet aggregation inhibitors)

L15 ANSWER 20 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1994:457996 HCAPLUS
DOCUMENT NUMBER: 121:57996
TITLE: Process for preparing tyrosine derivatives useful as fibrinogen receptor antagonists
INVENTOR(S): Chung, John Y. L.; Hughes, David L.; Zhao, Dalian
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 7 pp. Cont.-in-part of U.S. Ser. No. 843,690, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

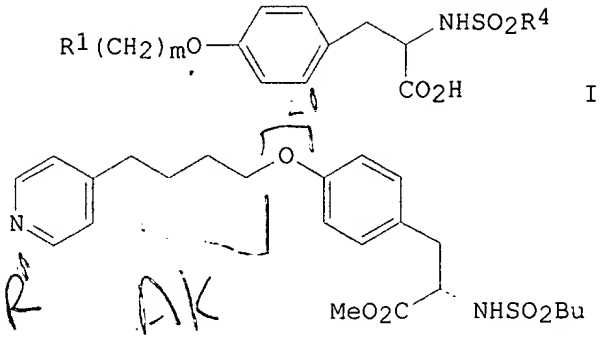
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
US 5312923	A	19940517	US 1993-17922	19930216	<--
HU 70545	A2	19951030	HU 1994-2462	19930224	<--
RU 2113432	C1	19980620	RU 1994-41212	19930224	<--
CN 1076442	A	19930922	CN 1993-102134	19930227	<--

CN 1040534 B 19981104
PRIORITY APPLN. INFO.: US 1992-843690 B2 19920228
OTHER SOURCE(S): CASREACT 121:57996; MARPAT 121:57996
AB The invention is a highly efficient synthesis of tyrosine derivs. 4-[R1(CH2)mO]C6H4CH2CH(NHSO2R4)CO2H [I; R1 = 6-membered (un)satd heterocyclic ring contg. 1-2 heteroatoms selected from N, NH, or alkylimino; m = 2-6; R4 = aryl, C1-10 alkyl, or C4-10 aralkyl]. The method involves (1) lithiation of Me heterocycles R1CH3 with BuLi and reaction with Br(CH2)m-1OR (R = tetrahydropyranyl) to give R1(CH2)mOR; (2) deprotection of the latter with HCl/EtOH, then neutralization with Et3N/THF, to give R1(CH2)mOH; (3) Mitsunobu reaction of these alcs. with N-sulfonylated tyrosine Me esters, followed by ester hydrolysis, to give I, and optional addnl. selective hydrogenation of unsatd. heterocyclic groups R1 in I. For example, 4-picoline underwent lithiation by BuLi, coupling with Br(CH2)3OR (R = 2-tetrahydropyranyl), deprotection, and neutralization to give 40% 4-(4-pyridinyl)butanol. This underwent Mitsunobu reaction with N-(n-butanesulfonyl)-L-tyrosine Me ester using PPh3 and iso-PrO2CN:NCO2Pr-iso, followed by hydrolysis of the Me ester with LiOH in aq. MeOH/THF, to give 55% L-I (R1 = 4-pyridyl, m = 4, R4 = Bu). Hydrogenation of this over Pd/C gave 86% L-I (R1 = 4-piperidinyl, m = 4, R4 = Bu), which inhibited ADP-stimulated aggregation of human platelets in vitro with an IC50 of 0.015 .mu.M.
IT **149490-61-9P**, N-(n-Butanesulfonyl)-O-[4-(4-pyridinyl)butyl]-L-tyrosine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrogenation of)
IT **151414-73-2P**, N-(n-Butanesulfonyl)-O-[4-(4-pyridinyl)butyl]-L-tyrosine methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrolysis of)

L15 ANSWER 21 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1994:8480 HCAPLUS
DOCUMENT NUMBER: 120:8480
TITLE: Preparation of O-[4-(4-piperidinyl)butyl]tyrosine via the Mitsunobu reaction
INVENTOR(S): Chung, John Y. L.; Hughes, David L.; Zhao, Dalian
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9316994	A1	19930902	WO 1993-US1621	19930224 <--
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9337313	A1	19930913	AU 1993-37313	19930224 <--
HU 70545	A2	19951030	HU 1994-2462	19930224 <--
CZ 282770	B6	19971015	CZ 1994-2056	19930224 <--
RU 2113432	C1	19980620	RU 1994-41212	19930224 <--
SK 280164	B6	19990910	SK 1994-1024	19930224
RO 115724	B1	20000530	RO 1994-1434	19930224
CN 1076442	A	19930922	CN 1993-102134	19930227 <--
CN 1040534	B	19981104		
FI 9403934	A	19941005	FI 1994-3934	19940826 <--

PRIORITY APPLN. INFO.: US 1992-843690 A1 19920228
WO 1993-US1621 A 19930224
OTHER SOURCE(S): CASREACT 120:8480; MARPAT 120:8480
GI



103 (a)

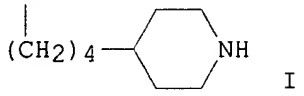
AB The title compds. I [R1 = 6-membered (un)satd. heterocyclic ring contg. 1 or 2 heteroatoms; R4 = aryl, C1-10 alkyl, C4-10 arylalkyl; m = 2-6], useful as fibrinogen receptor antagonists (no data), are prepd. in high yield and from inexpensive starting materials by reacting R1Me with BuLi and Br(CH2)mOR (R = tetrahydropyran) forming R1(CH2)mOR, cleaving the ether to an alc. with HCl, and then coupling the ether with a tyrosinesulfonamide Me ester in the presence of Ph3P and iso-PrO2CN:NCO2Pr-iso (Mitsunobu reaction). Thus, (L)-tyrosine Me ester hydrochloride was condensed with N-butanesulfonyl chloride and the intermediate coupled with 4-(4-pyridinyl)butanol via the Mitsunobu reaction, producing II.

IT **149490-61-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of fibrinogen receptor antagonists)

IT **151414-73-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of fibrinogen receptor antagonists)

L15 ANSWER 22 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:650418 HCAPLUS
DOCUMENT NUMBER: 119:250418
TITLE: A practical synthesis of fibrinogen receptor antagonist MK-383. Selective functionalization of (S)-tyrosine
AUTHOR(S): Chung, John Y. L.; Zhao, Dalian; Hughes, David L.; Grabowski, Edward J. J.
CORPORATE SOURCE: Dep. Process Res., Merck and Co., Inc., Rahway, NJ, 07065, USA
SOURCE: Tetrahedron (**1993**), 49(26), 5767-76
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 119:250418
GI

$BuSO_2$-Tyr-OH



I

AB A practical 4-step synthesis of fibrinogen receptor antagonist MK-383 (I.HCl), is accomplished in 48% overall yield from (S)-tyrosine. Highlights include: (1) the dual use of 4-picoline as a masked form of piperidine, and as a nucleophile precursor for a 3-carbon homologation with 3-bromo-1-chloropropane; (2) the use of trimethylsilyl groups for temporary protection of phenolic and carboxylate oxygens of (S)-tyrosine that enable selective N-sulfonylation to be carried out in high yield; (3) the selective phenolic O-alkylation of the tyrosine deriv. in high yield with no racemization using aq. KOH/DMSO; and (4) the selective hydrogenation of the pyridine ring in the presence of the tyrosine ring using Pd/C in acetic acid.

IT **149490-61-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and catalytic hydrogenation of)

L15 ANSWER 23 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:539778 HCAPLUS
DOCUMENT NUMBER: 119:139778
TITLE: Process for preparing fibrinogen receptor antagonists
INVENTOR(S): Chung, John Y. L.; Hughes, David L.; Zhao, Dalian
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5206373	A	19930427	US 1992-843658	19920228 <--
EP 558139	A1	19930901	EP 1993-200486	19930220 <--
EP 558139	B1	19970730		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
EP 738714	A2	19961023	EP 1996-202049	19930220 <--
EP 738714	A3	19961120		
EP 738714	B1	20010502		
R: ES, GR				
AT 156118	E	19970815	AT 1993-200486	19930220 <--
ES 2105069	T3	19971016	ES 1993-200486	19930220 <--
ES 2156255	T3	20010616	ES 1996-202049	19930220
WO 9316995	A1	19930902	WO 1993-US1646	19930223 <--
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
AU 9337322	A1	19930913	AU 1993-37322	19930223 <--
HU 70537	A2	19951030	HU 1994-2467	19930223 <--
CZ 283485	B6	19980415	CZ 1994-2033	19930223 <--
RU 2114105	C1	19980627	RU 1996-107890	19930223 <--
HU 217959	B	20000528	HU 1996-658	19930223
RO 116016	B1	20000929	RO 1994-1433	19930223
SK 281250	B6	20010118	SK 1994-1022	19930223

JP 06009557	A2	19940118	JP 1993-36896	19930225	<--
CA 2090509	AA	19930829	CA 1993-2090509	19930226	<--
CA 2090509	C	19970225			
AU 9333836	A1	19930902	AU 1993-33836	19930226	<--
AU 657199	B2	19950302			
CN 1076441	A	19930922	CN 1993-102136	19930227	<--
CN 1050832	B	20000329			
FI 9403933	A	19941004	FI 1994-3933	19940826	<--
RU 2097377	C1	19971127	RU 1994-40166	19940826	<--
LV 12824	B	20020920	LV 2002-41	20020315	

PRIORITY APPLN. INFO.: US 1992-843658 A 19920228
EP 1993-200486 A3 19930220
WO 1993-US1646 A 19930223

OTHER SOURCE(S): CASREACT 119:139778; MARPAT 119:139778

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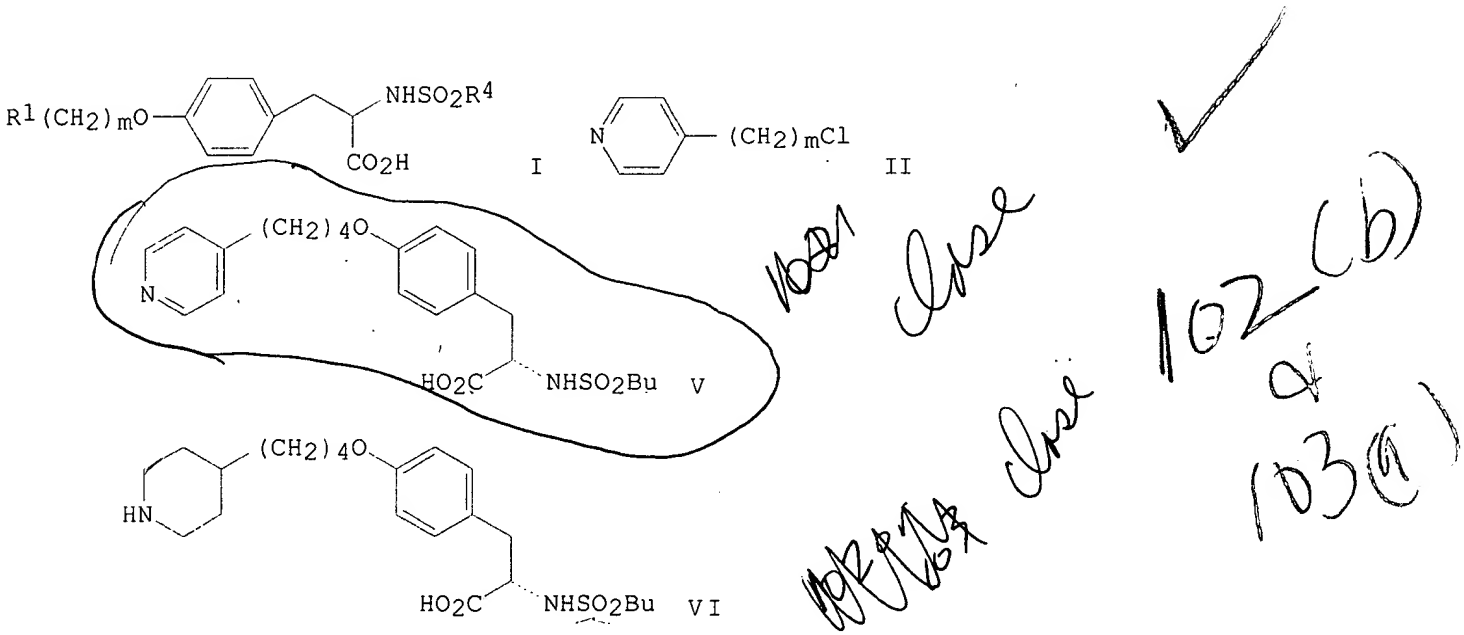


AB Tyrosine derivs. I (R1 = 4-piperidinyl, 4-pyridyl; m = 2-6; R4 = aryl, C1-10 alkyl, aralkyl), useful as fibrinogen receptor antagonists (no data), were prepd. by N-sulfonylating tyrosine with R4SO2Cl mediated by N,O-bis(trimethylsilyl)trifluoroacetamide (BSTFA) and O-alkylating the resulting R4SO2-Tyr-OH with pyridylalkyl chlorides II in aq. alk. hydride in a highly polar aprotic solvent. When R1 = 4-piperidinyl is desired for I, the corresponding 4-pyridyl deriv. can be selectively hydrogenated over Pd/C in acetic acid. II was prepd. by treating 4-picoline with BuLi and then chloroalkylating with Br(CH2)mCl. Thus, a suspension of L-tyrosine and BSTFA in MeCN was heated at 85.degree. for 2 h and the resulting soln. of O,O'-bis(trimethylsilyl)L-tyrosine was cooled to 40.degree. and then pyridine and BuSO2Cl were added over 30 min. The reaction mixt. was aged at 70.degree. for 3 h and then at room temp. for 14 h.. Almost all the solvent was removed in a batch concentrator and the oily residue was treated with 15% KHSO4 and stirred for 1 h to give 84% BuSO2-L-Tyr-OH (III). 4-Picoline was treated with BuLi in THF and the resulting 4-picolyllithium was treated with Br(CH2)3Cl to give 92% II (m = 4) (IV). III was treated with IV in DMSO and 3N aq. KOH to give pyridylbutyl ether V, which was hydrogenated over Pd/C in acetic acid to give 4-piperidinyl ether VI.

IT **149490-61-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and selective hydrogenation of)

L15 ANSWER 24 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1991:536709 HCAPLUS
DOCUMENT NUMBER: 115:136709
TITLE: Thiolysis of the 3-nitro-2-pyridinesulfenyl (Npys) protecting group. An approach towards a general deprotection scheme in peptide synthesis
AUTHOR(S): Rosen, Oren; Rubinraut, Sarah; Fridkin, Mati
CORPORATE SOURCE: Dep. Org. Chem., Weizmann Inst. Sci., Rehovot, 76100, Israel
SOURCE: International Journal of Peptide & Protein Research (**1990**), 35(6), 545-9
CODEN: IJPPC3; ISSN: 0367-8377
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The hydroxyl side-chain functional groups of serine, threonine, -hydroxyproline, and tyrosine, the .alpha.- and .epsilon.-amino moieties of lysine, and the thiol group of cysteine were masked by the 3-nitro-2-pyridinesulfenyl (Npys) protecting group. Deprotection was mildly affected by thiolysis with either 2-mercaptopyridine and 2-mercaptomethylimidazole (O- and N-Npys) or with 3-mercaptoacetic acid and 2-mercaptoethanol (S-Npys). Thiolysis was monitored spectrophotometrically and was completed in a rather short time. Incorporation of the Npys group into a whole and single thiolyzable deprotection scheme is suggested.
IT **133477-05-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

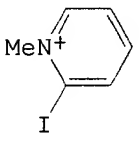
ND

L15 ANSWER 25 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1991:207771 HCAPLUS
DOCUMENT NUMBER: 114:207771
TITLE: 3-Nitro-2-pyridinesulfenyl (Npys): a versatile protecting group in peptide synthesis
AUTHOR(S): Rosen, O.; Rubinraut, S.; Fridkin, M.
CORPORATE SOURCE: Dep. Org. Chem., Weizmann Inst. Sci., Rehovot, 76100, Israel
SOURCE: Pept., Proc. Eur. Pept. Symp., 20th (**1989**), Meeting Date 1988, 52-4. Editor(s): Jung, Guenther; Bayer, Ernst. de Gruyter: Berlin, Fed. Rep. Ger.
CODEN: 57ACAI
DOCUMENT TYPE: Conference
LANGUAGE: English
AB A symposium report on the use of the Npys group for side chain protection in peptide synthesis. The versatile nature of the Npys group was illustrated by the synthesis of the following protected amino acids: Boc-Ser(Npys)-OH (Boc = Me3CO2C), Boc-Thr(Npys)-OH, Boc-Hyp(Npys)-OH, Boc-Tyr(Npys)-OH, Boc-Lys(Npys)-OH, Boc-Cys(Npys)-OH, and Boc-Arg(Npys)-OMe. The use of Npys group for side chain protection was demonstrated by the solid-phase synthesis of gonadotropin-releasing hormone (Gn-RH) analogs [Lys8,Hyp9]-Gn-RH and [Thr4,Lys8,Hyp9]-Gn-RH.
IT **133477-05-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

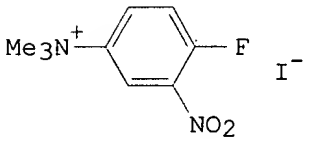
ND

L15 ANSWER 26 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1981:103811 HCAPLUS
DOCUMENT NUMBER: 94:103811
TITLE: A14-(N-Methylpyridinium) and A14-(2-nitro-4-trimethylammoniophenyl) derivatives of bovine insulin
AUTHOR(S): Drewes, S. E.; Easter, B. R. D.; Robinson, H. M.; Magojo, H. E. M.

CORPORATE SOURCE: Dep. Chem., Univ. Natal, Pietermaritzburg, S. Afr.
SOURCE: Insulin: Chem., Struct. Funct. Insulin Relat. Horm., Proc. Int. Insulin Symp., 2nd (**1980**), Meeting Date 1979, 135-41. Editor(s): Brandenburg, Dietrich; Wollmer, Axel. de Gruyter: Berlin, Fed. Rep. Ger.
CODEN: 44BTA8
DOCUMENT TYPE: Conference
LANGUAGE: English
GI



I



II

AB Title compds., 14A-[O-(1-methylpyridinium-2-yl)-L-tyrosine]insulin and 14A-[O-[2-nitro-4-(trimethylammonio)phenyl]-L-tyrosine]insulin were prepd. via substitution reactions of insulin or NA-(Me3CO2C)insulin with iodopyridinium iodide I and (fluorophenyl)ammonium iodide II, resp.
IT **76663-62-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

No

L15 ANSWER 27 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1977:107036 HCAPLUS
DOCUMENT NUMBER: 86:107036
TITLE: Amino-acids and peptides. Part XL. Protection removable by electrolytic reduction: the use of S-4-picolyl-L-cysteine and O-4-picolyl-L-tyrosine in synthesis
AUTHOR(S): Gosden, Anthony; Macrae, Robert; Young, Geoffrey T.
CORPORATE SOURCE: Dyson Perrins Lab., Oxford Univ., Oxford, UK
SOURCE: Journal of Chemical Research, Synopses (**1977**), (1), 22-3
CODEN: JRPSDC; ISSN: 0308-2342
DOCUMENT TYPE: Journal
LANGUAGE: English

QD40.56

AB Addn. of Na to L-cystine in liq. NH3 followed by addn. of 4-picolyl chloride gave 60% S-4-picolyl-L-cysteine [Cys(Pic)]. Electroredn. of Cys(Pic) in 0.25M H2SO4 at a Hg cathode gave 88% L-cysteine. Boc-Cys(Pic)-Gly, Boc-Gly-Cys(Pic), and Boc-Tyr(Pic)-Gly (Boc = Me3CO2C),prepd. by std. procedures, on sequential treatment with CF3CO2H, electrochem. redn., and aeration at pH 8.5 gave 74% L-cystinyldiglycine, 65% diglycyl-L-cystine, and 63% Tyr-Gly, resp.

102.(b)

IT **39837-03-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and coupling reaction of, with glycine deriv.)

L15 ANSWER 28 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1973:4506 HCAPLUS
DOCUMENT NUMBER: 78:4506
TITLE: Protection of thiol and phenolic hydroxy-groups as their 4-picolyl ethers, cleaved by electrolytic reduction
AUTHOR(S): Gosden, A.; Stevenson, D.; Young, G. T.
CORPORATE SOURCE: Dyson Perrins Lab., Oxf. Univ., Oxford, UK
SOURCE: Journal of the Chemical Society, Chemical

Communications (**1972**), (20), 1123-4
CODEN: JCCCAT; ISSN: 0022-4936
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The 4-picolyl group (Pic), removable by electrolytic redn., was used to protect the thiol group of cysteine and the hydroxy group of tyrosine during peptide synthesis. Thus, redn. of L-cysteine with Na in liq. NH3 followed by treatment with PicCl gave 68% Pic-Cys which with BocN3 (Boc = Me3COCO) gave 87% Boc-Cys-Pic (I). Gly-OEt with I and dicyclohexylcarbodiimide followed by hydrolysis with aq. NaOH gave Boc-Cys(Pic)-Gly which on electrolytic redn. followed by air oxidn. gave 75% Gly-Cys-Cys-Gly. Similarly Pic-Tyr was used in the prepn. of Tyr-Gly.
IT **39837-03-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

L15 ANSWER 29 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1968:402826 HCAPLUS
DOCUMENT NUMBER: 69:2826
TITLE: Preparation of some phenyl pyridyl ethers with antifungal and antibacterial properties
AUTHOR(S): Muhlhauser, Richard O.; Jorgensen, Eugene C.
CORPORATE SOURCE: School of Pharm., Univ. of California, San Francisco, CA, USA
SOURCE: Journal of Pharmaceutical Sciences (**1968**), 57(1), 151-5
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 2-Methyl-4-chlorophenyl 4-pyridyl ether (I) and 2-chlorophenyl 4-pyridyl ether were prepd. by condensation of N-pyridyl-4-pyridinium chloride-HCl with appropriate phenols. These compds. were effective as antifungal agents but were less effective as antibacterial agents. I had the greatest antifungal activity and the least toxicity.
IT **18614-60-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

=>
=>

=> select hit rn l15 1-29
E1 THROUGH E51 ASSIGNED

=> fil reg
FILE 'REGISTRY' ENTERED AT 17:36:58 ON 05 DEC 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 4 DEC 2003 HIGHEST RN 623900-56-1
DICTIONARY FILE UPDATES: 4 DEC 2003 HIGHEST RN 623900-56-1

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
=>

=> d his l16

(FILE 'HCAPLUS' ENTERED AT 17:34:52 ON 05 DEC 2003)
SELECT HIT RN L15 1-29

FILE 'REGISTRY' ENTERED AT 17:36:58 ON 05 DEC 2003
L16 51 S E1-E51

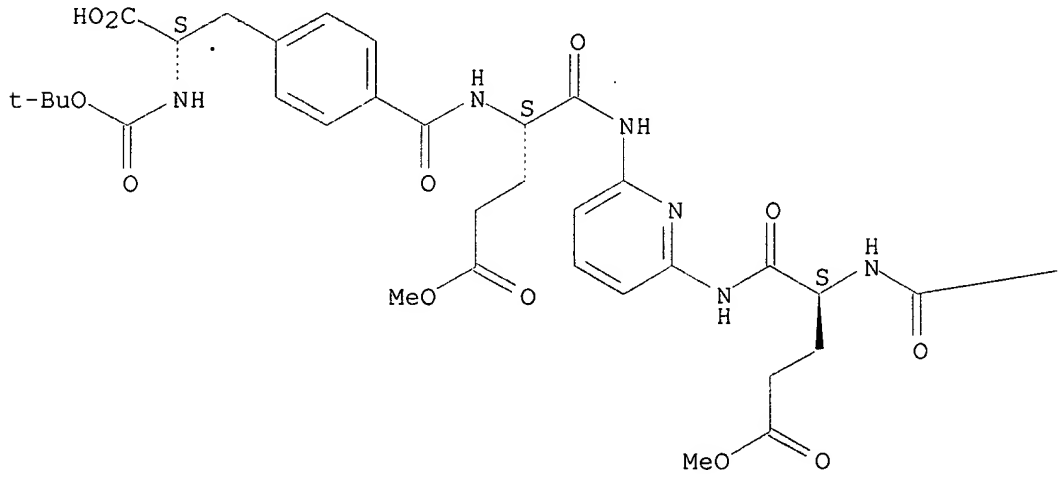
=>
=>

=> d ide can l16 1-51

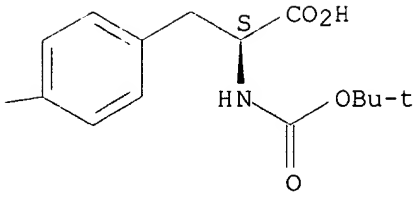
L16 ANSWER 1 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **244757-65-1** REGISTRY
CN L-Phenylalanine, 4,4'-[2,6-pyridinediylbis[imino[(1S)-1-(3-methoxy-3-oxopropyl)-2-oxo-2,1-ethanediyl]iminocarbonyl]]bis[N-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C47 H59 N7 O16
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



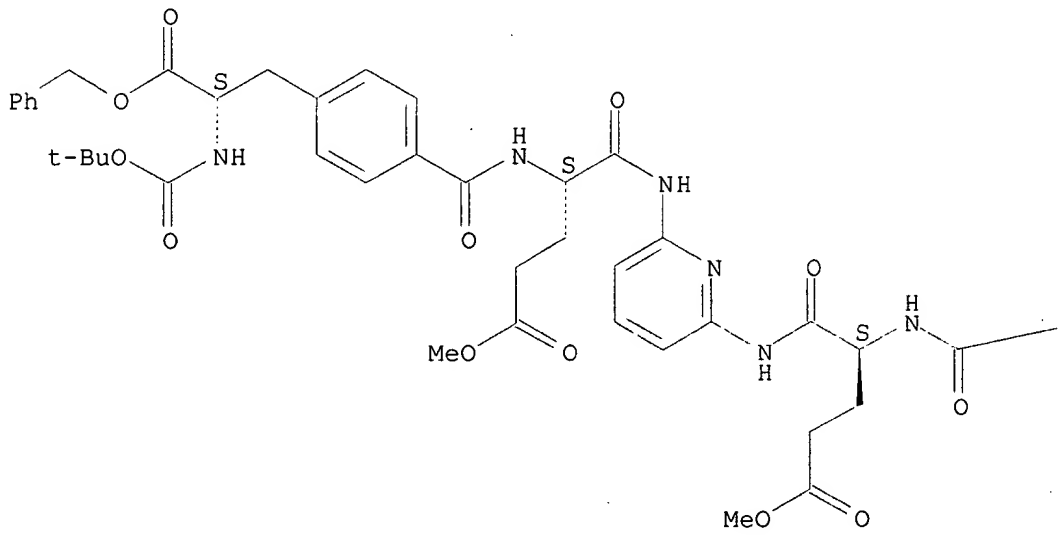
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

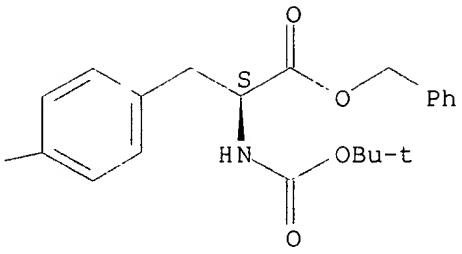
REFERENCE 1: 131:257844

L16 ANSWER 2 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **244757-64-0** REGISTRY
CN L-Phenylalanine, 4,4'-[2,6-pyridinediylbis[imino[(1S)-1-(3-methoxy-3-oxopropyl)-2-oxo-2,1-ethanediyl]iminocarbonyl]]bis[N-[(1,1-dimethylethoxy)carbonyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C61 H71 N7 O16
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



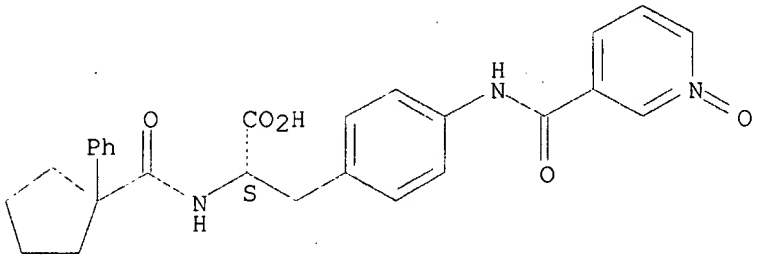


1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 131:257844

L16 ANSWER 3 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220880-41-1** REGISTRY
CN L-Phenylalanine, 4-[[(1-oxido-3-pyridinyl)carbonyl]amino]-N-[(1-phenylcyclopentyl)carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C27 H27 N3 O5
CI COM
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

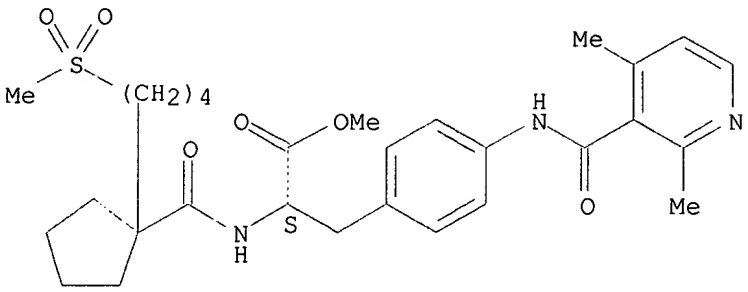
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:209978

L16 ANSWER 4 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220880-38-6** REGISTRY
CN L-Phenylalanine, 4-[[(2,4-dimethyl-3-pyridinyl)carbonyl]amino]-N-[[1-[4-(methylsulfonyl)butyl]cyclopentyl]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H39 N3 O6 S
SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.



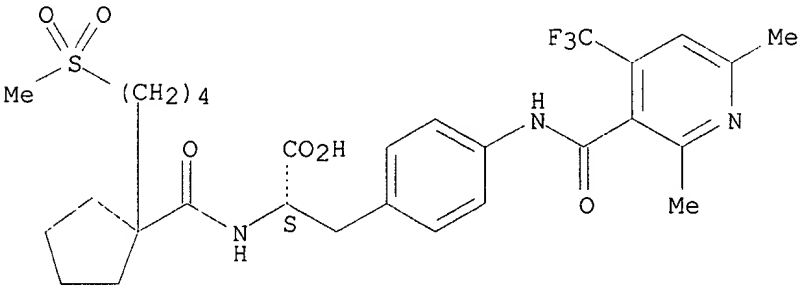
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:209978

L16 ANSWER 5 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220880-11-5** REGISTRY
CN L-Phenylalanine, 4-[[[2,6-dimethyl-4-(trifluoromethyl)-3-pyridinyl]carbonyl]amino]-N-[[1-[4-(methylsulfonyl)butyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H36 F3 N3 O6 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

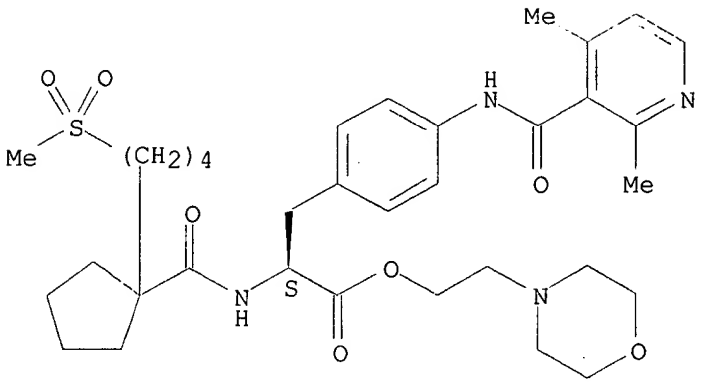
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:209978

L16 ANSWER 6 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220880-05-7** REGISTRY
CN L-Phenylalanine, 4-[[(2,4-dimethyl-3-pyridinyl)carbonyl]amino]-N-[[1-[4-(methylsulfonyl)butyl]cyclopentyl]carbonyl]-, 2-(4-morpholinyl)ethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C34 H48 N4 O7 S

SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



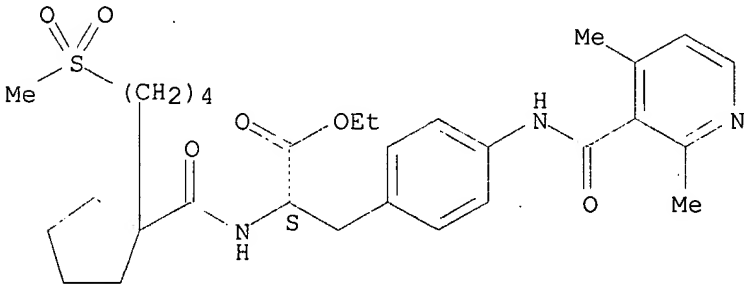
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:209978

L16 ANSWER 7 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220879-96-9** REGISTRY
CN L-Phenylalanine, 4-[[(2,4-dimethyl-3-pyridinyl)carbonyl]amino]-N-[[1-[4-(methylsulfonyl)butyl]cyclopentyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C30 H41 N3 O6 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

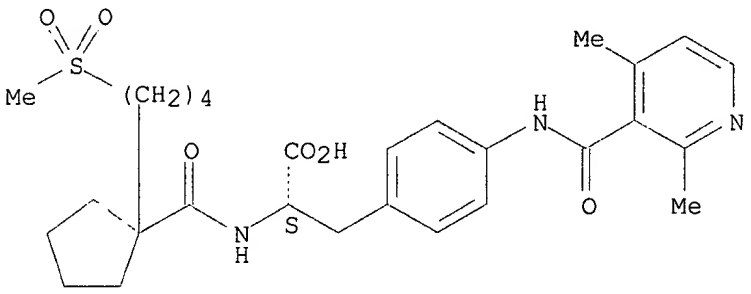
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:209978

L16 ANSWER 8 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220879-87-8** REGISTRY

CN L-Phenylalanine, 4-[[(2,4-dimethyl-3-pyridinyl)carbonyl]amino]-N-[[1-[4-(methylsulfonyl)butyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H37 N3 O6 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



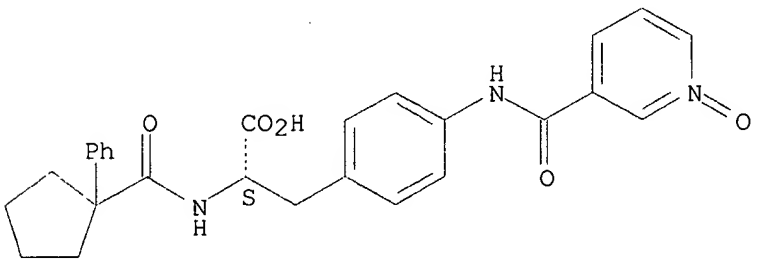
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:209978

L16 ANSWER 9 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220876-32-4** REGISTRY
CN L-Phenylalanine, 4-[[(1-oxido-3-pyridinyl)carbonyl]amino]-N-[(1-phenylcyclopentyl)carbonyl]-, monosodium salt (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C27 H27 N3 O5 . Na
SR CA
LC STN Files: CA, CAPLUS
CRN (220880-41-1)

Absolute stereochemistry.



● Na

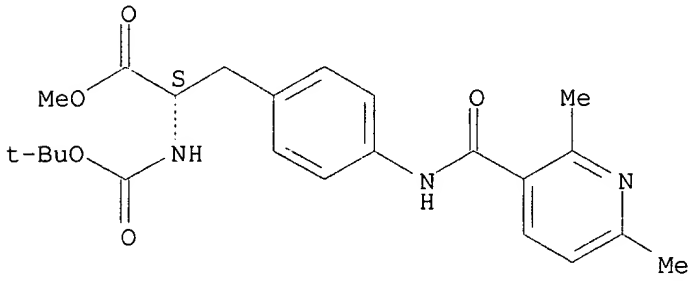
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:209978

L16 ANSWER 10 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN

RN **220849-03-6** REGISTRY
CN L-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-4-[[(2,6-dimethyl-3-pyridinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H29 N3 O5
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



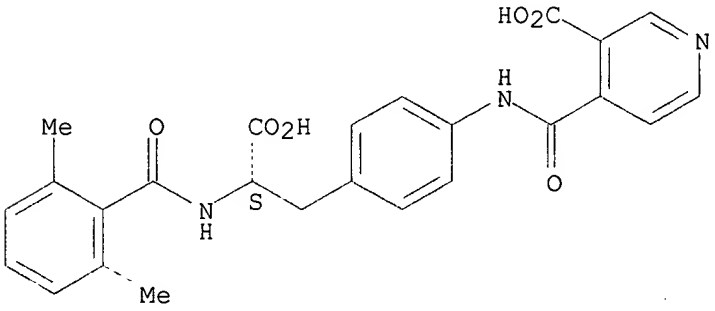
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:196952

L16 ANSWER 11 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220848-59-9** REGISTRY
CN 3-Pyridinecarboxylic acid, 4-[[[4-[(2S)-2-carboxy-2-[(2,6-dimethylbenzoyl)amino]ethyl]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C25 H23 N3 O6
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

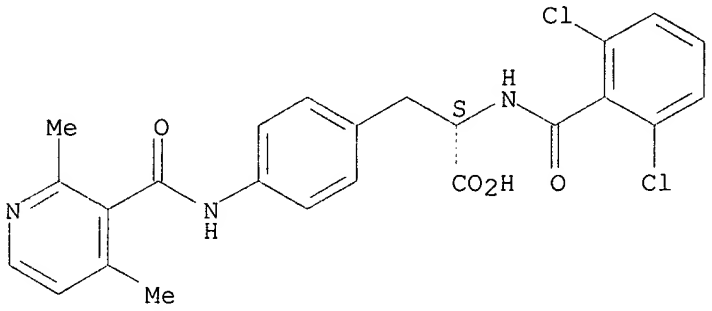
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:196952

L16 ANSWER 12 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN

RN **220848-36-2** REGISTRY
CN L-Phenylalanine, N-(2,6-dichlorobenzoyl)-4-[[(2,4-dimethyl-3-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H21 Cl2 N3 O4
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



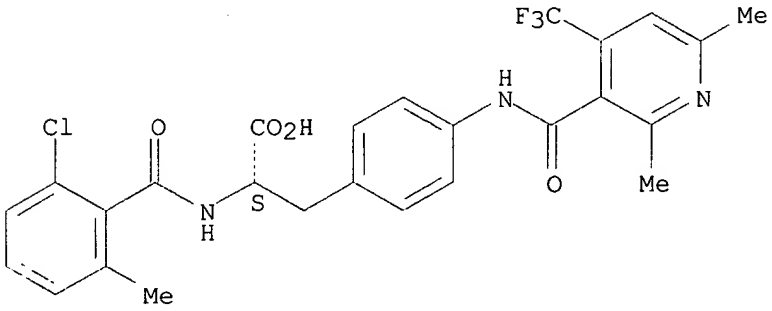
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:196952

L16 ANSWER 13 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220848-03-3** REGISTRY
CN L-Phenylalanine, N-(2-chloro-6-methylbenzoyl)-4-[[[2,6-dimethyl-4-(trifluoromethyl)-3-pyridinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C26 H23 Cl F3 N3 O4
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



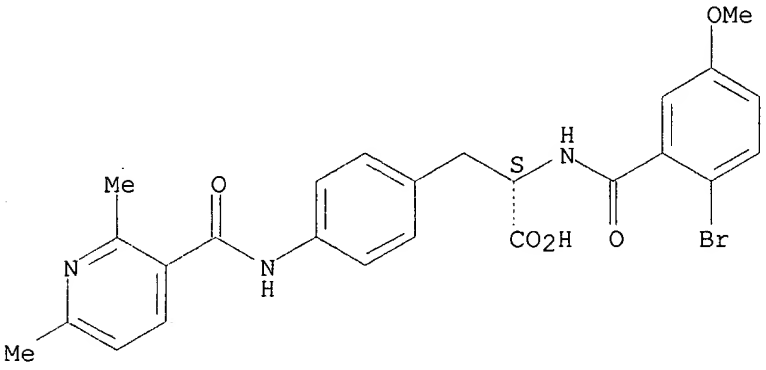
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:196952

L16 ANSWER 14 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220847-59-6** REGISTRY
CN L-Phenylalanine, N-(2-bromo-5-methoxybenzoyl)-4-[[(2,6-dimethyl-3-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C25 H24 Br N3 O5
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



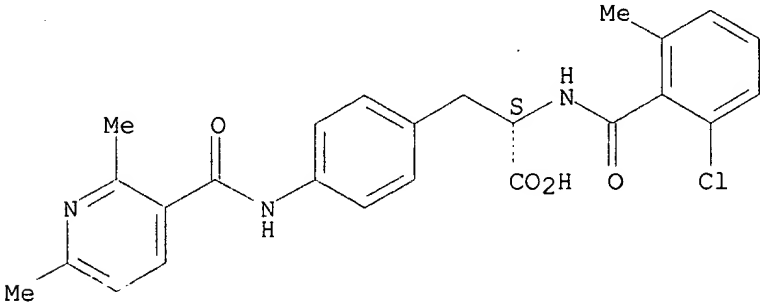
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:196952

L16 ANSWER 15 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220847-58-5** REGISTRY
CN L-Phenylalanine, N-(2-chloro-6-methylbenzoyl)-4-[[(2,6-dimethyl-3-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C25 H24 Cl N3 O4
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



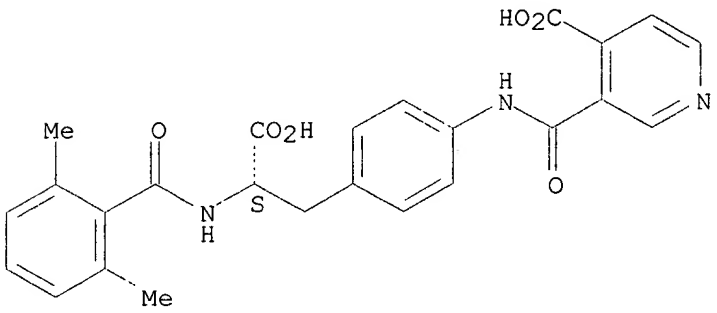
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:196952

L16 ANSWER 16 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220847-36-9** REGISTRY
CN 4-Pyridinecarboxylic acid, 3-[[[4-[(2S)-2-carboxy-2-[(2,6-dimethylbenzoyl)amino]ethyl]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C25 H23 N3 O6
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



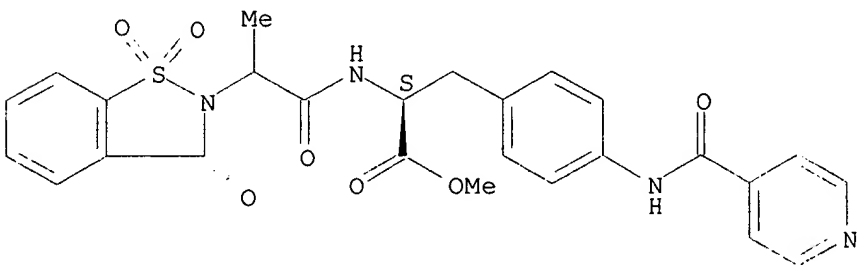
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:196952

L16 ANSWER 17 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220186-18-5** REGISTRY
CN L-Phenylalanine, N-[2-(1,1-dioxido-3-oxo-1,2-benzisothiazol-2(3H)-yl)-1-oxopropyl]-4-[(4-pyridinylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C26 H24 N4 O7 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

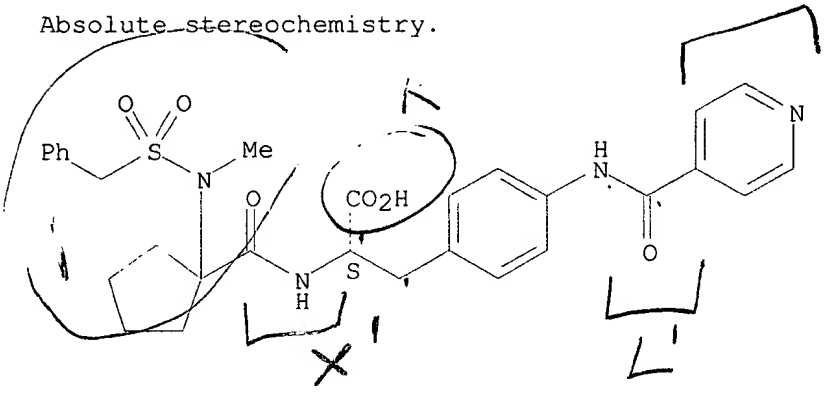
1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:153982

L16 ANSWER 18 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220173-50-2** REGISTRY
CN L-Phenylalanine, N-[[1-[methyl[(phenylmethyl)sulfonyl]amino]cyclopentyl]carbonyl]-4-[(4-pyridinylcarbonyl)amino]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H32 N4 O6 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



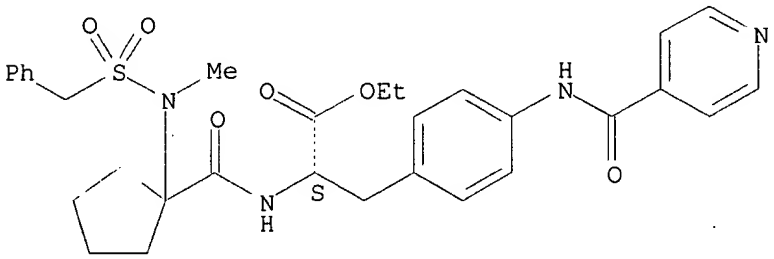
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:153984

L16 ANSWER 19 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220173-49-9** REGISTRY
CN L-Phenylalanine, N-[[1-[methyl[(phenylmethyl)sulfonyl]amino]cyclopentyl]carbonyl]-4-[(4-pyridinylcarbonyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H36 N4 O6 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



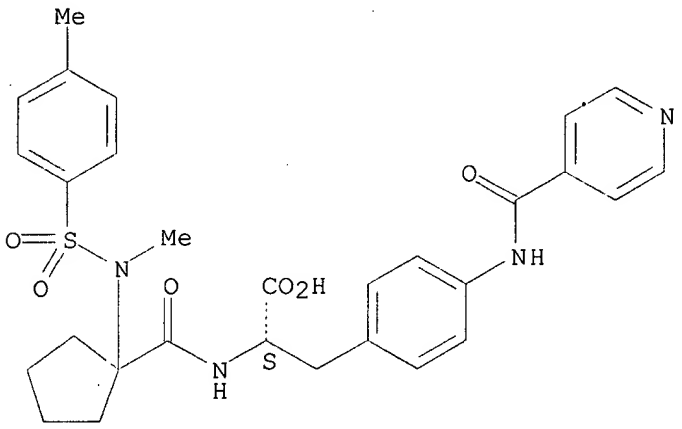
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:153984

L16 ANSWER 20 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220173-06-8** REGISTRY
CN L-Phenylalanine, N-[[1-[methyl[(4-methylphenyl)sulfonyl]amino]cyclopentyl]carbonyl]-4-[(4-pyridinylcarbonyl)amino]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H32 N4 O6 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



1022

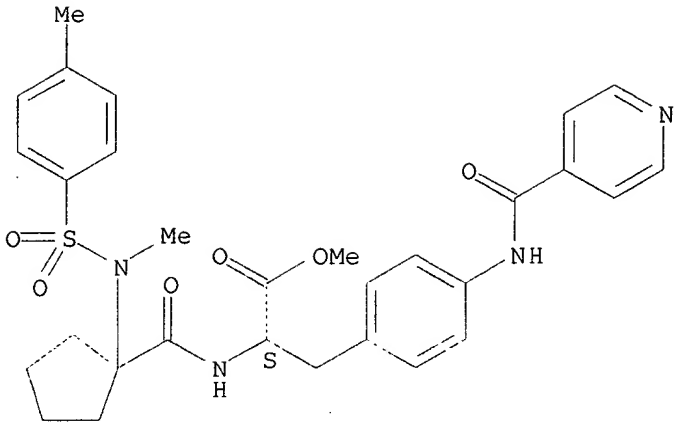
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:153984

L16 ANSWER 21 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220173-04-6** REGISTRY
CN L-Phenylalanine, N-[[1-[methyl[(4-methylphenyl)sulfonyl]amino]cyclopentyl]carbonyl]-4-[(4-pyridinylcarbonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C30 H34 N4 O6 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



1024

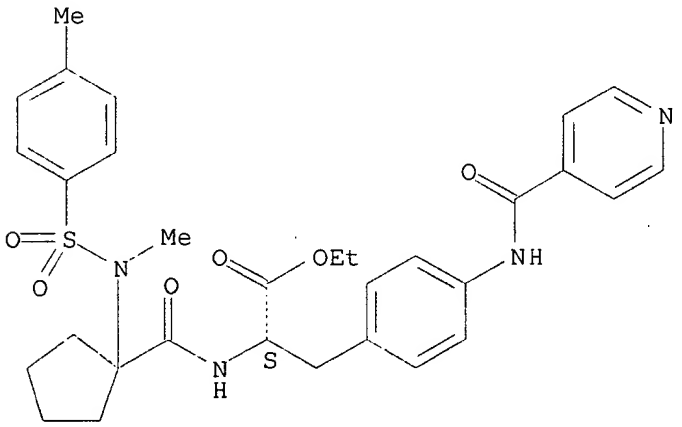
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:153984

L16 ANSWER 22 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220173-00-2** REGISTRY
CN L-Phenylalanine, N-[[1-[methyl[(4-methylphenyl)sulfonyl]amino]cyclopentyl]carbonyl]-4-[(4-pyridinylcarbonyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H36 N4 O6 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



1029

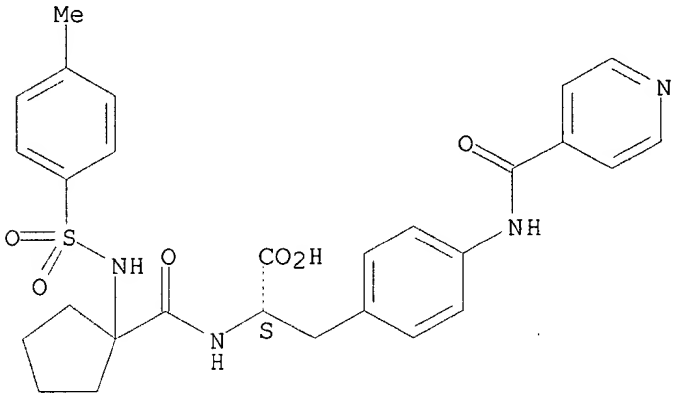
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:153984

L16 ANSWER 23 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220172-75-8** REGISTRY
CN L-Phenylalanine, N-[[1-[[(4-methylphenyl)sulfonyl]amino]cyclopentyl]carbonyl]-4-[(4-pyridinylcarbonyl)amino]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H30 N4 O6 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



1072

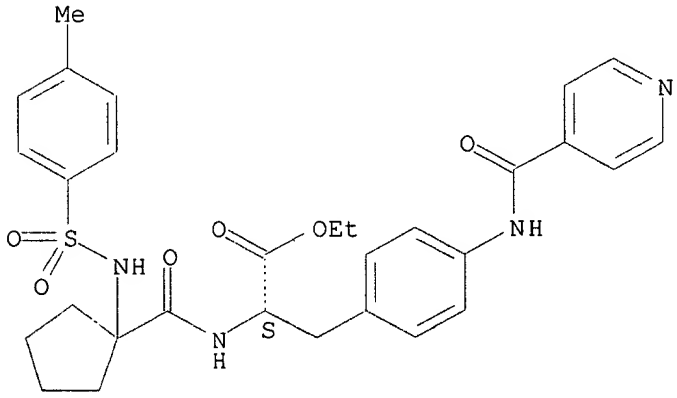
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:153984

L16 ANSWER 24 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **220172-69-0** REGISTRY
CN L-Phenylalanine, N-[[1-[[(4-methylphenyl)sulfonyl]amino]cyclopentyl]carbonyl]-4-[(4-pyridinylcarbonyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C30 H34 N4 O6 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



1072

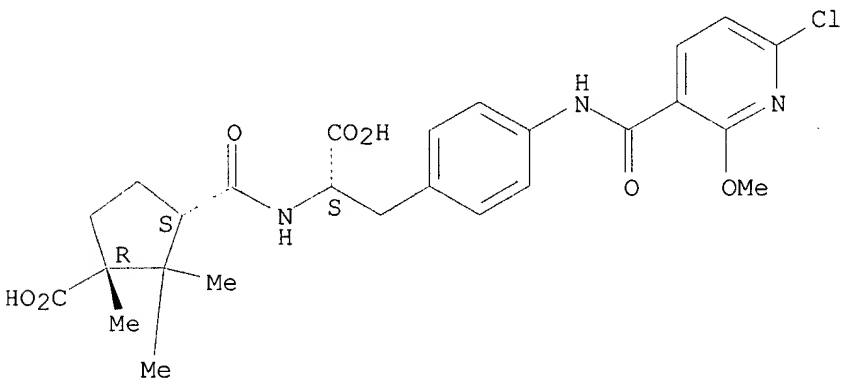
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:153984

L16 ANSWER 25 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **219495-93-9** REGISTRY
CN L-Phenylalanine, N-[[(1S,3R)-3-carboxy-2,2,3-trimethylcyclopentyl]carbonyl]-4-[[(6-chloro-2-methoxy-3-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C26 H30 Cl N3 O7
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



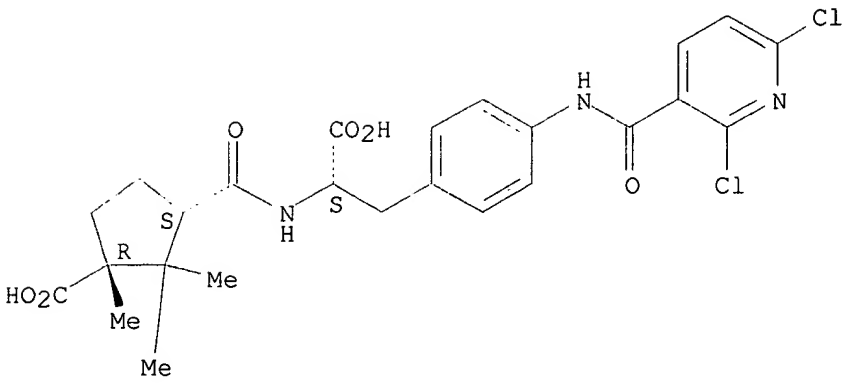
1020

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:95843

L16 ANSWER 26 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **219495-92-8** REGISTRY
CN L-Phenylalanine, N-[[(1S,3R)-3-carboxy-2,2,3-trimethylcyclopentyl]carbonyl]-4-[[(2,6-dichloro-3-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C25 H27 Cl2 N3 O6
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



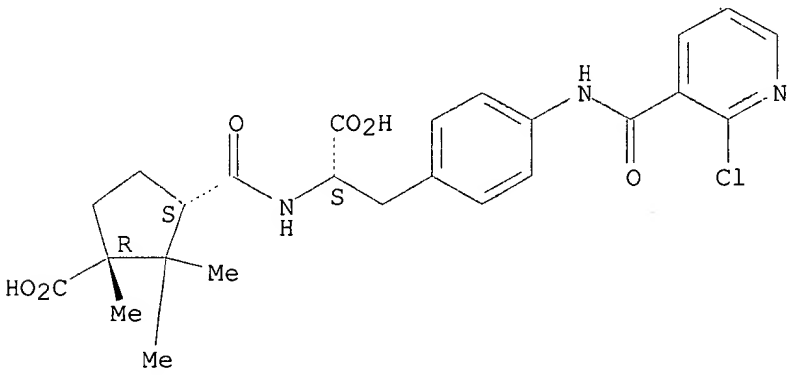
102

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:95843

L16 ANSWER 27 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **219495-91-7** REGISTRY
CN L-Phenylalanine, N-[[(1S,3R)-3-carboxy-2,2,3-trimethylcyclopentyl]carbonyl]-4-[[(2-chloro-3-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C25 H28 Cl N3 O6
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



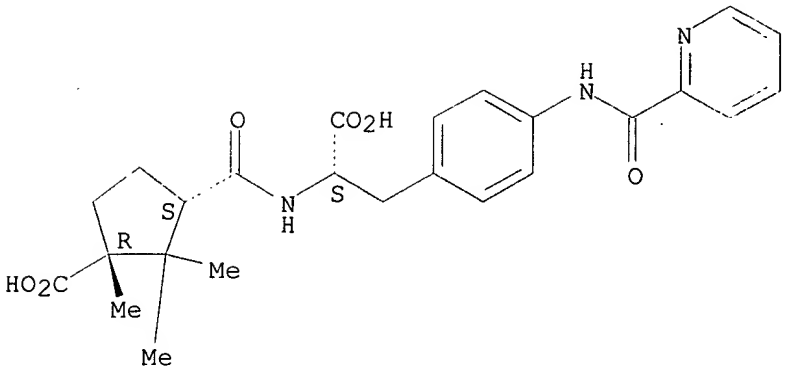
102

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:95843

L16 ANSWER 28 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **219494-64-1** REGISTRY
CN L-Phenylalanine, N-[[(1S,3R)-3-carboxy-2,2,3-trimethylcyclopentyl]carbonyl]-4-[(2-pyridinylcarbonyl)amino]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C25 H29 N3 O6
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



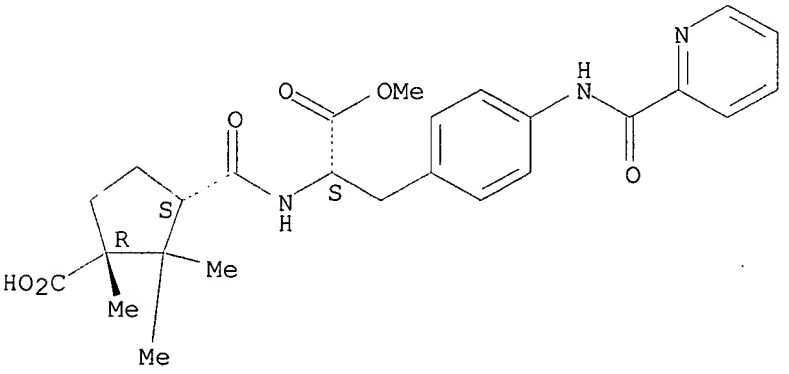
102

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:95843

L16 ANSWER 29 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **219494-63-0** REGISTRY
CN L-Phenylalanine, N-[[(1S,3R)-3-carboxy-2,2,3-trimethylcyclopentyl]carbonyl]-4-[(2-pyridinylcarbonyl)amino]-, .alpha.-methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C26 H31 N3 O6
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



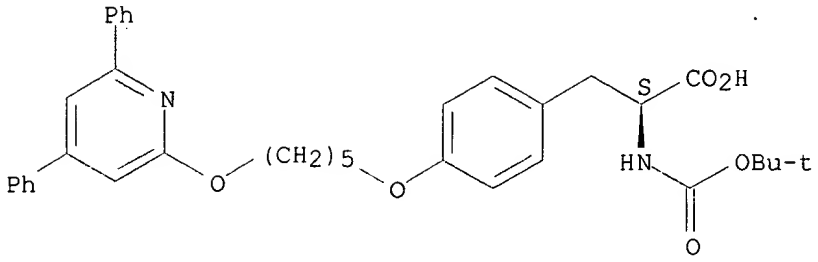
102

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 130:95843

L16 ANSWER 30 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **206266-69-5** REGISTRY
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C36 H40 N2 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



102

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:94263

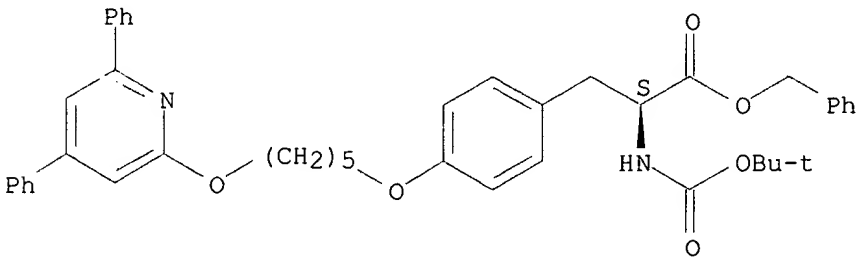
REFERENCE 2: 137:87495

REFERENCE 3: 136:362949

REFERENCE 4: 128:303347

L16 ANSWER 31 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **206266-68-4** REGISTRY
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C43 H46 N2 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:94263

REFERENCE 2: 137:87495

REFERENCE 3: 136:362949

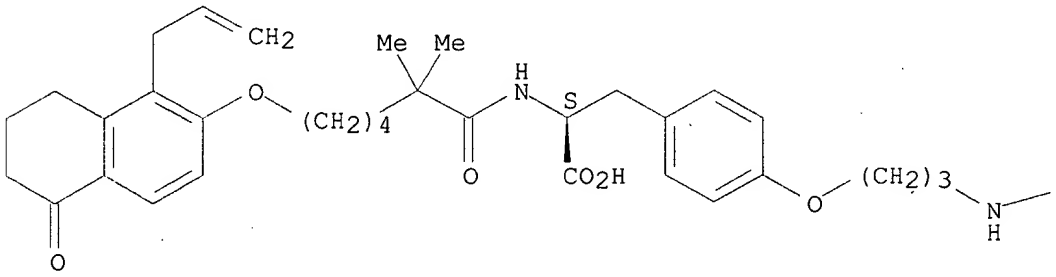
REFERENCE 4: 128:303347

L16 ANSWER 32 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN

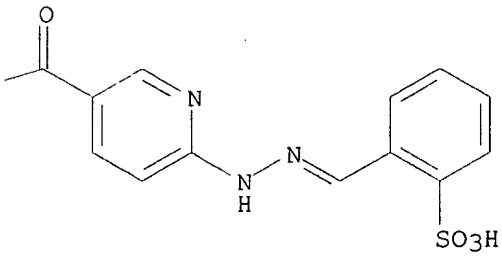
RN **206263-76-5** REGISTRY
CN L-Tyrosine, N-[2,2-dimethyl-1-oxo-6-[[5,6,7,8-tetrahydro-5-oxo-1-(2-propenyl)-2-naphthalenyl]oxy]hexyl]-O-[3-[[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]amino]propyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C46 H53 N5 O10 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



PAGE 1-B



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:94263

REFERENCE 2: 137:87495

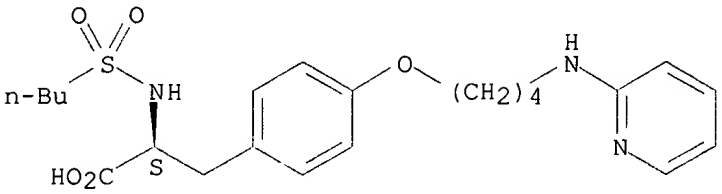
REFERENCE 3: 136:362949

REFERENCE 4: 128:303347

L16 ANSWER 33 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **193473-29-9** REGISTRY
CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(2-pyridinylamino)butyl]- (9CI) (CA

INDEX NAME)
FS STEREOSEARCH
MF C22 H31 N3 O5 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



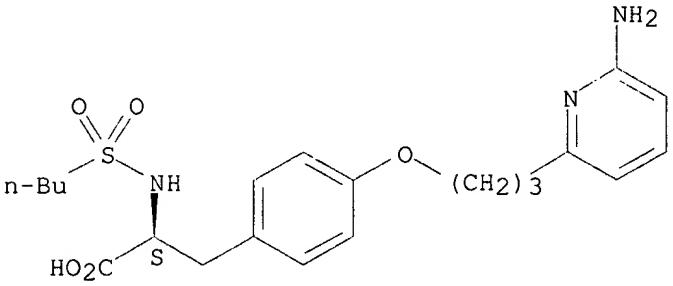
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 127:149073

L16 ANSWER 34 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **193469-96-4** REGISTRY
CN L-Tyrosine, O-[3-(6-amino-2-pyridinyl)propyl]-N-(butylsulfonyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H29 N3 O5 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

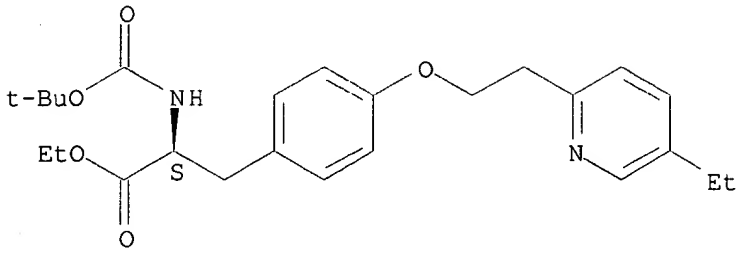
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 127:149074

L16 ANSWER 35 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **185679-57-6** REGISTRY
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[2-(5-ethyl-2-pyridinyl)ethyl]-, ethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C25 H34 N2 O5
SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.



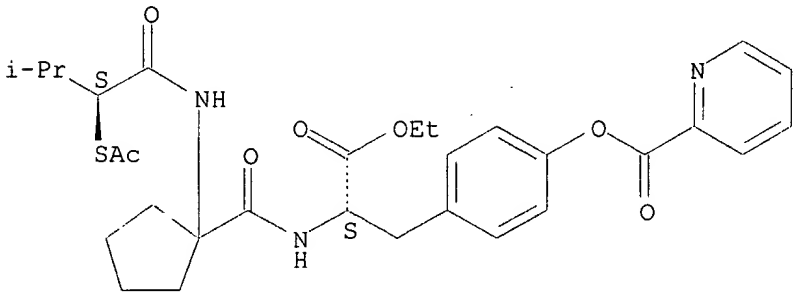
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 126:89361

L16 ANSWER 36 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **177476-66-3** REGISTRY
CN L-Tyrosine, N-[[1-[[2-(acetylthio)-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]-, ethyl ester, 2-pyridinecarboxylate (ester), (S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C30 H37 N3 O7 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

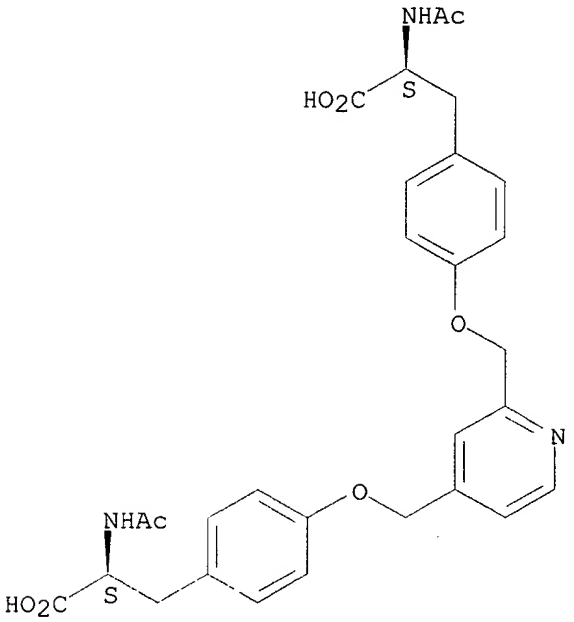
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 125:11471

L16 ANSWER 37 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **171814-00-9** REGISTRY
CN L-Tyrosine, O,O'-[2,4-pyridinediylbis(methylene)]bis[N-acetyl- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H31 N3 O8
SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.



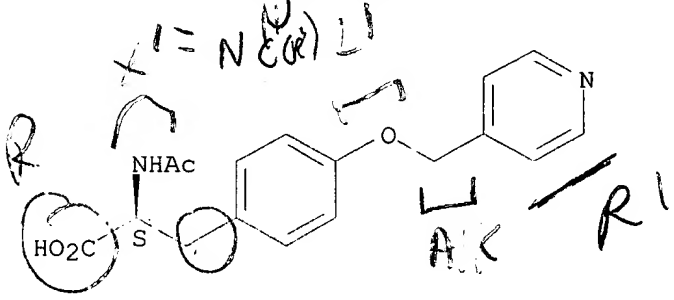
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 124:56589

L16 ANSWER 38 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **171813-99-3** REGISTRY
CN L-Tyrosine, N-acetyl-O-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H18 N2 O4
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



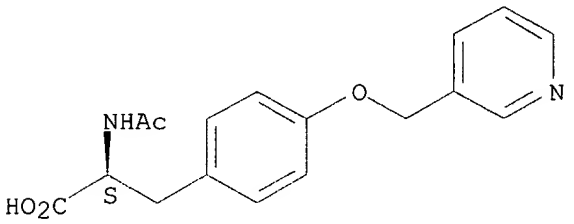
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 124:56589

L16 ANSWER 39 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **171813-98-2** REGISTRY
CN L-Tyrosine, N-acetyl-O-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H18 N2 O4
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



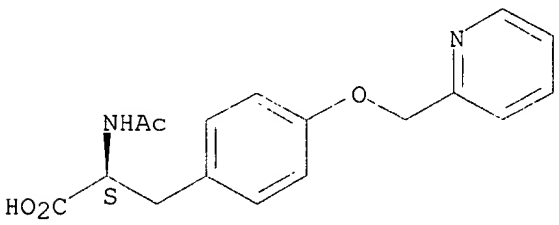
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 124:56589

L16 ANSWER 40 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **171813-97-1** REGISTRY
CN L-Tyrosine, N-acetyl-O-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C17 H18 N2 O4
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

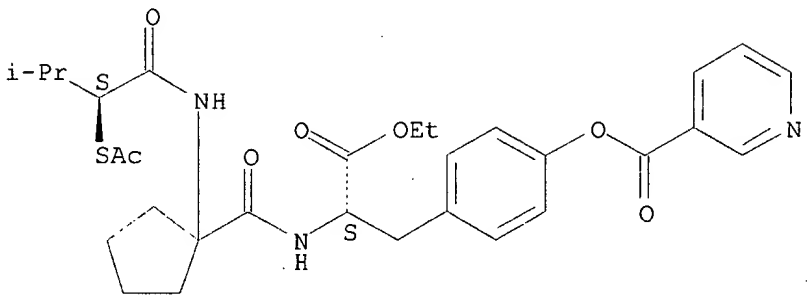
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 124:56589

L16 ANSWER 41 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **169319-95-3** REGISTRY
CN L-Tyrosine, N-[[1-[[2-(acetylthio)-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]-, ethyl ester, 3-pyridinecarboxylate

(ester), (S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C30 H37 N3 O7 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

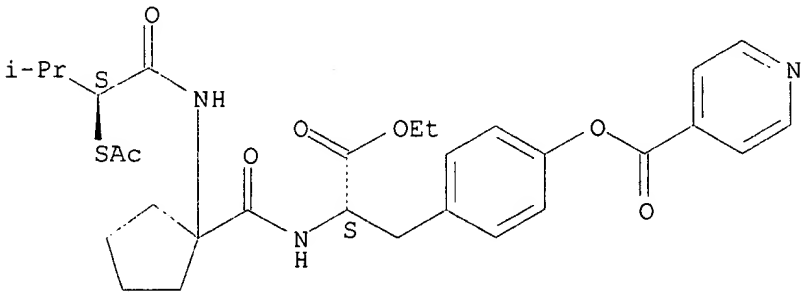
REFERENCE 1: 125:131637

REFERENCE 2: 125:11471

REFERENCE 3: 123:286742

L16 ANSWER 42 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **169319-91-9** REGISTRY
CN L-Tyrosine, N-[[1-[[2-(acetylthio)-3-methyl-1-oxobutyl]amino]cyclopentyl]carbonyl]-, ethyl ester, 4-pyridinecarboxylate (ester), (S)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C30 H37 N3 O7 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

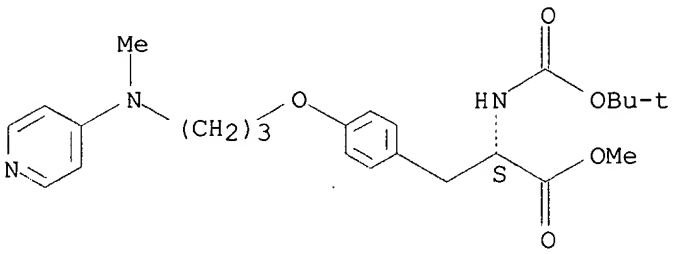
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 125:131637

REFERENCE 2: 123:286742

L16 ANSWER 43 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **166953-45-3** REGISTRY
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[3-(methyl-4-pyridinylamino)propyl]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H33 N3 O5
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 129:27961

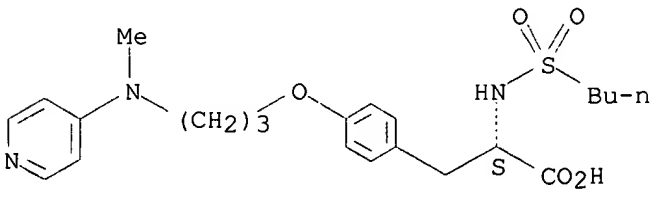
REFERENCE 2: 127:190753

REFERENCE 3: 123:227994

REFERENCE 4: 123:169654

L16 ANSWER 44 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **166951-15-1** REGISTRY
CN L-Tyrosine, N-(butylsulfonyl)-O-[3-(methyl-4-pyridinylamino)propyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H31 N3 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 129:27961

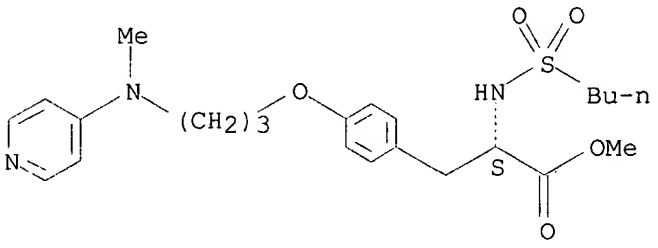
REFERENCE 2: 127:190753

REFERENCE 3: 123:227994

REFERENCE 4: 123:169654

L16 ANSWER 45 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **166951-14-0** REGISTRY
CN L-Tyrosine, N-(butylsulfonyl)-O-[3-(methyl-4-pyridinylamino)propyl]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H33 N3 O5 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 129:27961

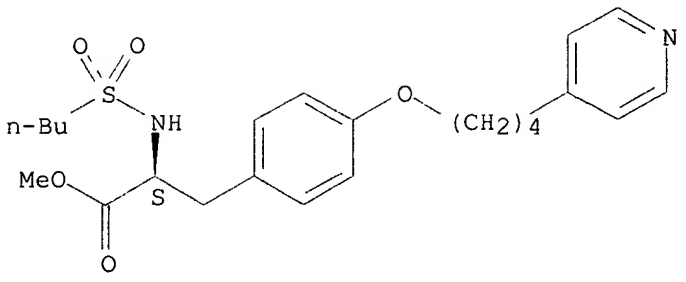
REFERENCE 2: 127:190753

REFERENCE 3: 123:227994

REFERENCE 4: 123:169654

L16 ANSWER 46 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **151414-73-2** REGISTRY
CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]-, methyl ester (9CI) (CA INDEX NAME)
OTHER NAMES:
CN N-(Butylsulfonyl)-O-[4-(4-pyridinyl)butyl]-L-tyrosine methyl ester
FS STEREOSEARCH
MF C23 H32 N2 O5 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

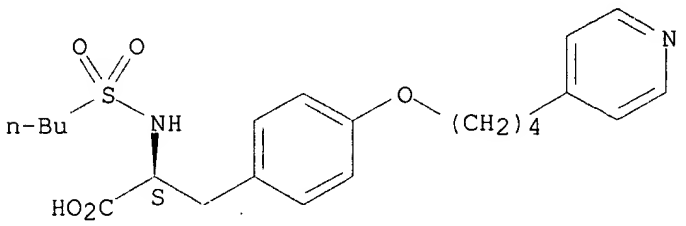
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 121:57996

REFERENCE 2: 120:8480

L16 ANSWER 47 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **149490-61-9** REGISTRY
CN L-Tyrosine, N-(butylsulfonyl)-O-[4-(4-pyridinyl)butyl]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN N-(Butylsulfonyl)-O-[4-(4-pyridinyl)butyl]-L-tyrosine
FS STEREOSEARCH
MF C22 H30 N2 O5 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT, CHEMINFORMRX, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 125:67716

REFERENCE 2: 121:57996

REFERENCE 3: 120:8480

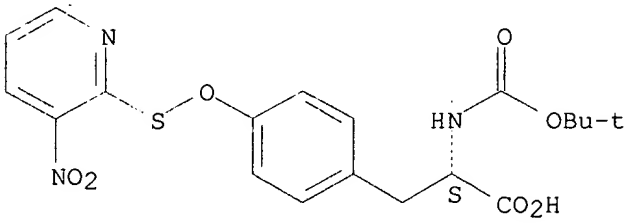
REFERENCE 4: 119:250418

REFERENCE 5: 119:139778

L16 ANSWER 48 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN

RN **133477-05-1** REGISTRY
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[(3-nitro-2-pyridinyl)thio]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C19 H21 N3 O7 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 115:136709

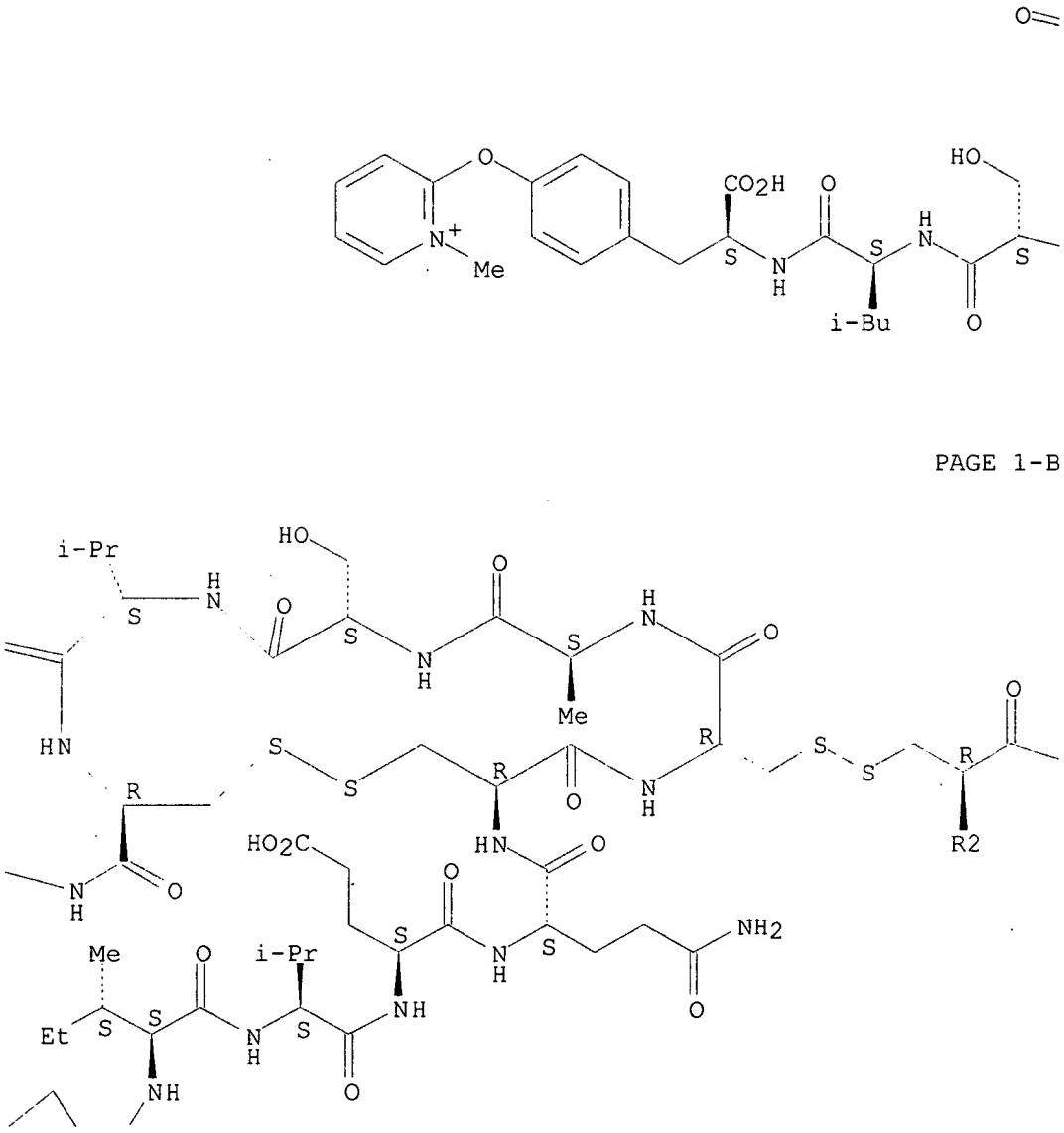
REFERENCE 2: 114:207771

L16 ANSWER 49 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **76663-62-2** REGISTRY
CN Insulin (cattle-A reduced), 14-[O-(1-methylpyridinium-2-yl)-L-tyrosine]-15-de-L-glutamine-16-de-L-leucine-17-de-L-glutamic acid-18-de-L-asparagine-19-de-L-tyrosine-20-de-L-cysteine-21-de-L-asparagine-, cyclic (6.fwdarw.11)-disulfide, (7.fwdarw.7')-disulfide with 17-de-L-leucine-18-de-L-valine-19-de-L-cysteine-20-deglycine-21-de-L-glutamic acid-22-de-L-arginine-23-deglycine-24-de-L-phenylalanine-25-de-L-phenylalanine-26-de-L-tyrosine-27-de-L-threonine-28-de-L-proline-29-de-L-lysine-30-de-L-alanineinsulin (cattle-B reduced) (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1,2-Dithia-5,8,11,14,17-pentaazacycloeicosane, cyclic peptide deriv.
CN Insulin (ox-A reduced), 14-[O-(1-methylpyridinium-2-yl)-L-tyrosine]-15-de-L-glutamine-16-de-L-leucine-17-de-L-glutamic acid-18-de-L-asparagine-19-de-L-tyrosine-20-de-L-cysteine-21-de-L-asparagine-, cyclic (6.fwdarw.11)-disulfide, (7.fwdarw.7')-disulfide with 17-de-L-leucine-18-de-L-valine-19-de-L-cysteine-20-deglycine-21-de-L-glutamic acid-22-de-L-arginine-23-deglycine-24-de-L-phenylalanine-25-de-L-phenylalanine-26-de-L-tyrosine-27-de-L-threonine-28-de-L-proline-29-de-L-lysine-30-de-L-alanineinsulin (ox-B reduced)
FS PROTEIN SEQUENCE; STEREOSEARCH
MF C150 H225 N38 O44 S4
LC STN Files: CA, CAPLUS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 1-A



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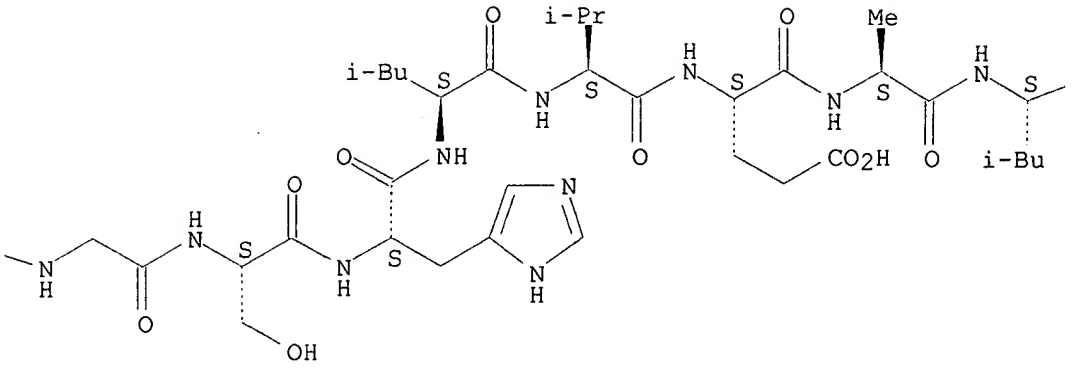
PAGE 1-C



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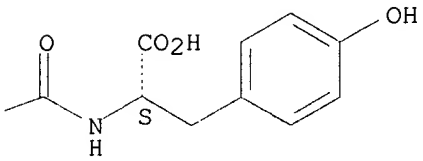

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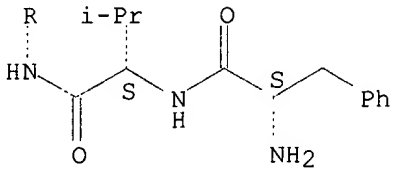
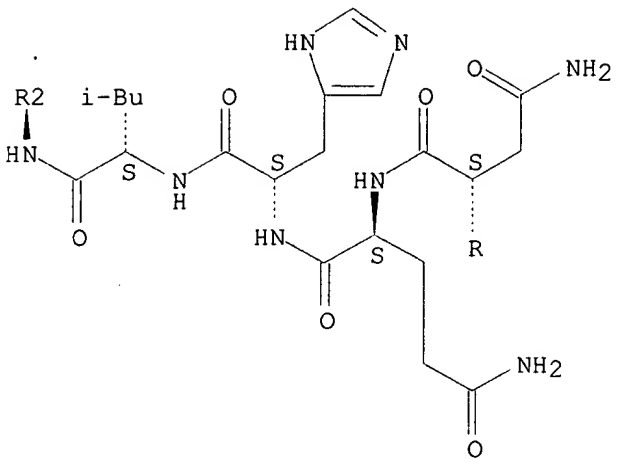


PAGE 2-B

PAGE 3-A

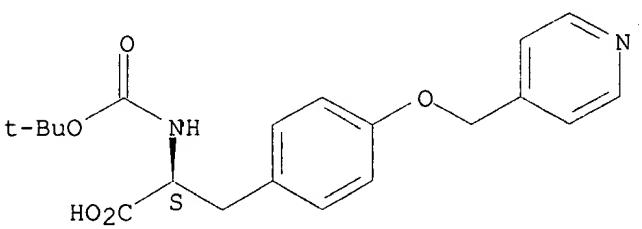


1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 94:103811

L16 ANSWER 50 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **39837-03-1** REGISTRY
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN N-tert-Butoxycarbonyl-O-4-picolyl-L-tyrosine
FS STEREOSEARCH
MF C20 H24 N2 O5
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

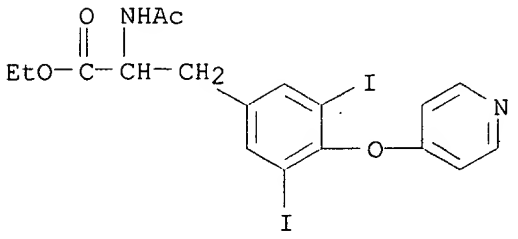
REFERENCE 1: 136:144646

REFERENCE 2: 86:107036

REFERENCE 3: 78:4506

L16 ANSWER 51 OF 51 REGISTRY COPYRIGHT 2003 ACS on STN
RN **18614-60-3** REGISTRY
CN Alanine, N-acetyl-3-[3,5-diiodo-4-(4-pyridyloxy)phenyl]-, ethyl ester

(8CI) (CA INDEX NAME)
FS 3D CONCORD
MF C18 H18 I2 N2 O4
LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 69:2826

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FILE 'HCAPLUS' ENTERED AT 17:38:12 ON 05 DEC 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 5 Dec 2003  VOL 139 ISS 24
FILE LAST UPDATED: 4 Dec 2003  (20031204/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> d stat que l17 nos
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L11         492 SEA FILE=REGISTRY SSS FUL L9
L12             STR
L13         203 SEA FILE=REGISTRY SUB=L11 SSS FUL L12
L14          58 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L13
L15          29 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L14 AND PD=<JUNE 4, 1999
L17          29 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L14 NOT L15


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L17  ANSWER 1 OF 29  HCAPLUS  COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER:         2003:796684  HCAPLUS
DOCUMENT NUMBER:          139:292142
TITLE:                    Preparation of benzofuran derivatives as activated
                          blood coagulation factor X inhibitors for treatment of
                          thrombosis
INVENTOR(S):              Kawaguchi, Takayuki; Akatsuka, Hidenori; Iijima, Toru;
                          Tsuboi, Yasunori; Mitsui, Takashi; Murakami, Jun
PATENT ASSIGNEE(S):       Tanabe Seiyaku Co., Ltd., Japan
SOURCE:                   PCT Int. Appl., 274 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 Japanese
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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     WO 2003082847      A1   20031009      WO 2003-JP3807   20030327
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL,
             PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
             UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
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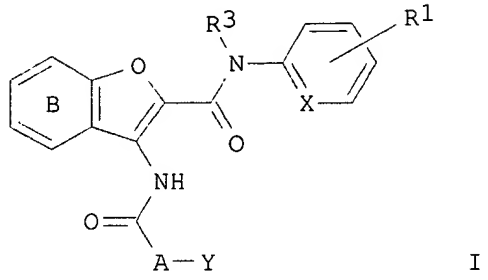
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2002-91686 A 20020328
JP 2002-376158 A 20021226

GI



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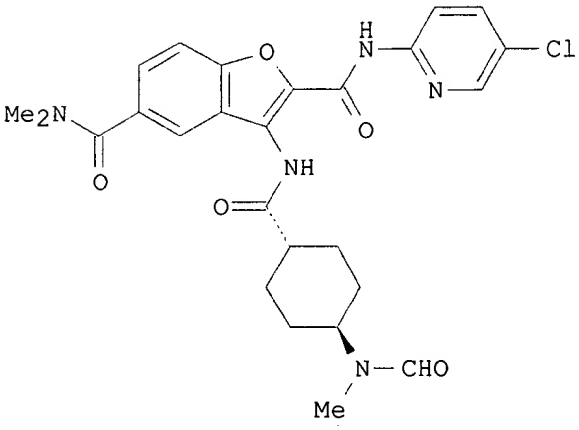

II

AB The title compds. I [wherein X = N or CH; Y = (un)substituted amino, cycloalkyl, or satd. heterocyclyl; A = a single bond, O, or hydrocarbyl; R1 = H, halo, alkyl, alkoxy, CN, or (un)substituted amino; ring B = (un)substituted Ph; R3 = H or alkyl] and pharmaceutically acceptable salts thereof are prepd. as activated blood coagulation factor X (FXa) inhibitors. For example, the compd. II was prepd. in a multi-step synthesis. II showed IC50 of <100 nM against FXa. I are useful for the treatment of thrombosis (no data).

IT **609804-43-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of benzofuran derivs. as activated blood coagulation factor X inhibitors for treatment of thrombosis)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 2 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:511824 HCAPLUS
DOCUMENT NUMBER: 139:94263
TITLE: Radiopharmaceuticals for imaging infection and inflammation
INVENTOR(S): Barrett, John Andrew; Cheesman, Edward Hollister; Harris, Thomas David; Liu, Shuang; Rajopadhye, Milind; Sworin, Michael
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 146 pp., Cont.-in-part of U.S.

6,416,733.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003124053	A1	20030703	US 2002-151663	20020520
US 6416733	B1	20020709	US 1997-943659	19971003
WO 2003099810	A2	20031204	WO 2003-US16008	20030520

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1996-27955P P 19961007
US 1997-943659 A2 19971003
US 2002-151663 A 20020520
OTHER SOURCE(S): MARPAT 139:94263
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Claimed are compds. capable of direct transformation into a radiopharmaceutical having a binding affinity for the LTB4 receptor of <1000 nm. The present invention provides novel radiopharmaceuticals useful for the diagnosis of infection and inflammation, reagents and kits useful for prepg. the radiopharmaceuticals, methods of imaging sites of infection and/or inflammation in a patient, and methods of diagnosing diseases assocd. with infection or inflammation in patients in need of such diagnosis. The radiopharmaceuticals bind in vivo to the leukotriene B4 (LTB4) receptor on the surface of leukocytes which accumulate at the site of infection and inflammation. The reagents provided by this invention are also useful for the treatment of diseases assocd. with infection and inflammation. Thus, the leukotriene antagonist (I) was prepd. and shown to be active in an LTB4 human neutrophil (PMN) binding assay. Compd. I was used to prep. 99mTc(tricine)(TPPTS)(4-ethyl-2-(4-fluorophenyl)-[5-[5,5-dimethyl-6-[[[6-diazenido-3-pyridinyl]carbonyl]amino]hexyl]oxy]phenol) (TPPTS = tri(3-sulfonatophenyl)phosphine, sodium salt) which was used to detect inflammation/infection in guinea pig and rabbit focal infection models. Also, indium-111 complexes, e.g., of DOTA deriv. II (R = CH2CH2CO2H), were prepd. as claimed radiopharmaceuticals.

IT **206266-68-4P**, L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, phenylmethyl ester
206266-69-5P, L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for prepn. of leukotriene antagonist ligands and their 99mTc complexes for imaging and treatment of infection and inflammation)

IT **206263-76-5P**, L-Tyrosine, N-[2,2-dimethyl-1-oxo-6-[[5,6,7,8-tetrahydro-5-oxo-1-(2-propenyl)-2-naphthalenyl]oxy]hexyl]-O-[3-[[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]amino]propyl]-
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. as leukotriene antagonist ligands for imaging and treatment of infection and inflammation)

L17 ANSWER 3 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:511294 HCAPLUS
DOCUMENT NUMBER: 139:85646
TITLE: Preparation of novel phenylalanine derivatives as .alpha.4 integrin inhibitors
INVENTOR(S): Okuzumi, Tatsuya; Sagi, Kazuyuki; Yoshimura, Toshihiko; Tanaka, Yuji; Nakanishi, Eiji; Ono, Miho; Murata, Masahiro
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053926	A1	20030703	WO 2002-JP13070	20021213

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-380655 A 20011213
JP 2002-39070 A 20020215
OTHER SOURCE(S): MARPAT 139:85646
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Phenylalanine derivs. represented by the following formula (I), their analogs, and pharmaceutically acceptable salts thereof [wherein A = Q-Q5; Arm = cycloalkyl or arom. ring contg. 0-4 heteroatoms selected from O, S, and N; R1 = H, (un)substituted alkyl, cycloalkyl-lower alkyl or cycloalkyl optionally contg. a heteroatom in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, lower hydroxyalkyl, lower haloalkyl, (un)substituted alkenyl, lower haloalkyl, (un)substituted alkynyl, aryl, heteroaryl, lower alkoxycarbonyl, (un)substituted CONH2, lower alkanoyl, aroyl, lower alkylsulfonyl, (un)substituted SO2NH2; R2-R6, R10-R33 = groups listed in R1, halo, OH, lower alkoxy, lower alkylthio, cycloalkyl-lower alkyl or -alkylthio optionally contg. a heteroatom in the ring, (hetero)aryl-lower alkoxy or -lower alkylthio, lower hydroxyalkoxy, lower haloalkoxy, etc.; B = HO, alkoxy, (un)substituted lower alkoxy, hydroxyamino; when A = Q, Q1, Q2, Q3, or Q4, C = aryl, heteroaryl,

cycloalkyl or cycloalkyl-lower alkyl optionally contg. a heteroatom in the ring, (hetero)aryl-lower alkyl, (un)substituted alkyl, etc.; when A = Q5, C = C(D)(D1)COE (wherein D, D1 = H, each (un)substituted lower alkyl, lower alkenyl, or alkynyl; E = amino, (un)substituted alkylamino, etc.); J, J1 = H, halo, lower alkyl, lower alkoxy, NO2, NH2, HO] are prepd. These show an .alpha.4 integrin inhibitory activity and are usable as remedies or preventives for various diseases, for example, in which the .alpha.4 integrin-dependent adhesion process relating to .alpha.4 integrin participates in pathol. conditions, such as inflammatory diseases, rheumatoid arthritis, inflammatory bowel disease, systemic lupus erythematosus, multiple sclerosis, Sjoegren's syndrome, asthma, psoriasis, allergy, diabetes, cardiovascular diseases, arteriosclerosis, restenosis, tumor proliferation, tumor metastasis or rejection in transplantation. Thus, 3-iodo-4-methoxy-1-methyl-2(1H)-quinoline was coupled with (2S)-2-(tert-butoxycarbonylamino)-3-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]propanoic acid Me ester in the presence of PdCl2(dppf) in a mixt. of aq. 2 M Na2CO3 soln. and DMF at 90.degree. for 30 min to give (2S)-2-(tert-butoxycarbonylamino)-3-[4-(4-methoxy-1-methyl-2-oxo-1,2-dihydro-3-quinolinyl)phenyl]propanoic acid Me ester which was treated with 4 N HCl/dioxane at room temp. for 30 min followed by evapn. of the solvent and N-acylation with 2,6-dichlorobenzoyl chloride in the presence of Et3N in CH2Cl2 to give (2S)-2-[(2,6-dichlorobenzoyl)amino]-3-[4-(4-methoxy-1-methyl-2-oxo-1,2-dihydro-3-quinolinyl)phenyl]propanoic acid Me ester (II). Sapon. of II with LiOH in mixt. of THF, H2O, and MeOH followed by purifn. using reversed phase HPLC gave (2S)-2-[(2,6-dichlorobenzoyl)amino]-3-[4-(4-methoxy-1-methyl-2-oxo-1,2-dihydro-3-quinolinyl)phenyl]propanoic acid (III). III in vitro showed IC50 of 3.5 and 44 nM for inhibiting the binding of recombinant human VCAM-1 to human T cell (Jurkat cell) expressing human integrin .alpha.4.beta.1 and that to human B cell lymphoma (RPMI-8866 cell) expressing integrin .alpha.4.beta.7, resp.

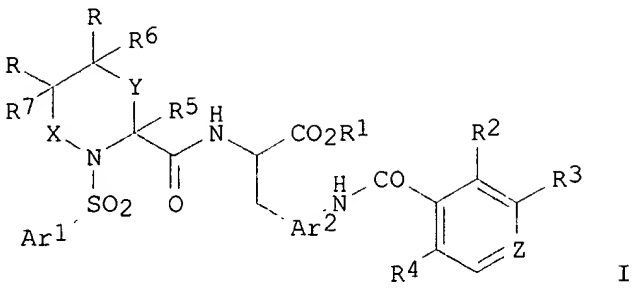
IT **554418-89-2DP**, Wang resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of novel phenylalanine derivs. as .alpha.4 integrin inhibitors for treatment or prevention of inflammatory diseases)

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 4 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:23531 HCAPLUS
DOCUMENT NUMBER: 138:90079
TITLE: Preparation of N-arylsulfonyl aza-bicyclic derivatives as potent cell adhesion inhibitors
INVENTOR(S): Lin, Linus S.; Doherty, George; Shah, Shrenik K.; Chang, Linda L.; Hagmann, William K.; Mumford, Richard A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 31 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003008861	A1	20030109	US 2002-96607	20020313

PRIORITY APPLN. INFO.: US 2001-277233P P 20010320
OTHER SOURCE(S): MARPAT 138:90079
GI



I

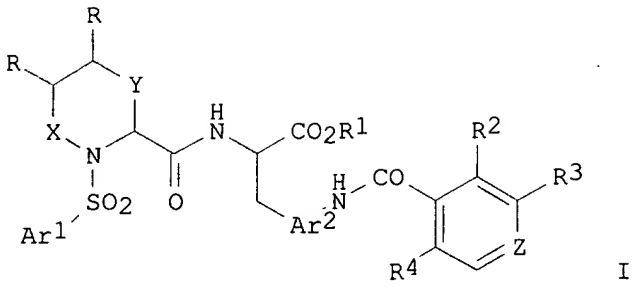
AB Compds. I [R2 is an (un)substituted cycloalkyl or heterocyclyl ring; R1 = H, alkyl, arylalkyl; R2, R4 = halo, alkyl, alkoxy; R3 = H, OH, MeO, NH2; Z = N or N:O; Ar1 = (un)substituted Ph, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, or triazinyl; Ar2 = 1,4-phenylene or 2,5-pyridylene; X, Y = (CH2)0-2; R5 = H, alkyl; R6, R7 = H, alkyl, OH, alkoxy, carboxy, amino, sulfonylamino, etc.] or their pharmaceutically-acceptable salts were prepd. as antagonists of VLA-4 and/or .alpha.4/.beta.7 and as such are useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. Thus, N-[N-(3,5-dichlorobenzenesulfonyl)octahydroisoindole-1-carbonyl]-4-[(3,5-dichloroisonicotinoyl)amino]-L-phenylalanine was prepd. by coupling of N-(3,5-dichlorobenzenesulfonyl)octahydroisoindole-1-carboxylic acid chloride with 4-[(3,5-dichloroisonicotinoyl)amino]-L-phenylalanine tert-Bu ester (syntheses given), followed by sepn. of diastereomers and ester cleavage.

IT **462123-55-3P 462124-43-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-arylsulfonyl heteroaroyl amino acid derivs. as cell adhesion inhibitors)

L17 ANSWER 5 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:965131 HCAPLUS
DOCUMENT NUMBER: 138:24961
TITLE: Preparation of N-arylsulfonyl aryl aza-bicyclic derivatives as potent cell adhesion inhibitors
INVENTOR(S): Lin, Linus S.; Shah, Shrenik K.; Chang, Linda L.; Hagmann, William K.; Mumford, Richard A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 19 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002193399	A1	20021219	US 2002-97028	20020313
US 6559174	B2	20030506		

PRIORITY APPLN. INFO.: US 2001-277235P P 20010320
OTHER SOURCE(S): MARPAT 138:24961
GI



I

AB Compds. I [R2 is an (un)substituted (hetero)aryl ring; R1 = H, alkyl, arylalkyl; R2, R4 = halo, alkyl, alkoxy; R3 = H, OH, MeO, NH2; Z = N or N:O; Ar1 = (un)substituted Ph, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, or triazinyl; Ar2 = 1,4-phenylene or 2,5-pyridylene; X, Y = (CH2)0-2] or their pharmaceutically-acceptable salts were prepd. as antagonists of VLA-4 and/or .alpha.4/.beta.7 and as such are useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. Thus, N-[N-(4-methylbenzenesulfonyl)-1,3-dihydro-2H-isoindole-1-carbonyl]-4-[(3',5'-dichloroisonicotinoyl)amino]-L-phenylalanine was prepd. by coupling of N-(4-methylbenzenesulfonyl)-1,3-dihydro-2H-isoindole-1-carboxylic acid with 4-[(3',5'-dichloroisonicotinoyl)amino]-L-phenylalanine tert-Bu ester (syntheses given), followed by ester cleavage using TFA.

IT **462123-55-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-arylsulfonyl heteroaroyl amino acid derivs. as cell adhesion inhibitors)

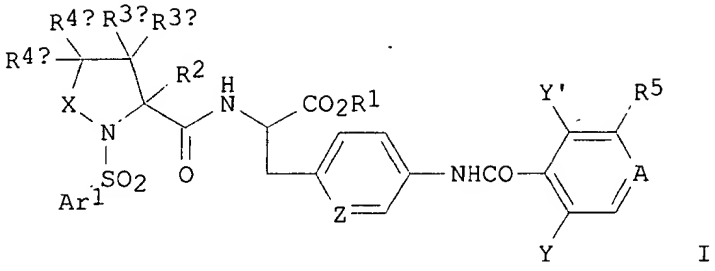
L17 ANSWER 6 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:736247 HCAPLUS
DOCUMENT NUMBER: 137:263299
TITLE: Preparation of substituted N-(arylsulfonyl)proline derivatives as potent cell adhesion inhibitors
INVENTOR(S): Doherty, George; Lin, Linus S.; Hagmann, William K.; Kamenecka, Theodore M.; Yang, Ginger Xu-Qiang; Chang, Linda L.; Shah, Shrenik K.; Mumford, Richard A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074761	A1	20020926	WO 2002-US8060	20020314

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-277230P P 20010320
OTHER SOURCE(S): MARPAT 137:263299

GI



I

AB Compds. I [A is N or N:O; Y, Y' = halo, alkyl, alkoxy; R1 = H, alkyl, arylalkyl; R2 = H, alkyl; R3a, R3b is H, alkyl, alkenyl, cycloalkyl, OH, CO2H or ester, (hetero)aryl; one of these groups may also be OH, carboxamido, amino, etc.; R4a and R4b are oxo; R5 = H, OH, MeO, NH2; Ar1 = (un)substituted Ph, pyridyl, pyridazinyl, pyrimidinyl, pyrazinyl, or triazinyl; X = null, CH2, CH2CH2; Z = CH or N] or their pharmaceutically-acceptable salts are claimed as antagonists of VLA-4 and/or .alpha.4.beta.7 integrin and thus useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. These compds. may be formulated into pharmaceutical compns. and are suitable for use in the treatment of asthma, inflammatory bowel disease, multiple sclerosis, etc. Thus, N-[N-(3,5-dichlorobenzenesulfonyl)-2-methyl-L-prolyl]-4-[(3',5'-dichloroisonicotinoyl)amino]-L-phenylalanine Me ester was prepd. via peptide coupling in soln.

IT **462123-55-3P 462124-43-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of substituted (arylsulfonyl)proline derivs. as potent cell adhesion inhibitors)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 7 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:675997 HCAPLUS
DOCUMENT NUMBER: 137:217241
TITLE: Preparation of phenylalanine enamide derivatives possessing a cyclobutene group for use as integrin inhibitors
INVENTOR(S): Bailey, Stuart; Brown, Julien Alistair; Brand, Stephen; Johnson, James Andrew; Porter, John Robert; Head, John Clifford
PATENT ASSIGNEE(S): Celltech R & D Limited, UK
SOURCE: PCT Int. Appl., 201 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

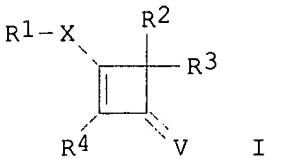
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002068393	A1	20020906	WO 2002-GB206	20020118

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,

UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

GB 2387845	A1	20031029	GB 2003-18429		20020118
US 2002169336	A1	20021114	US 2002-81072		20020222
PRIORITY APPLN. INFO.:			GB 2001-4418	A	20010222
			GB 2001-14000	A	20010608
			GB 2001-27562	A	20011116
			WO 2002-GB206	W	20020118

OTHER SOURCE(S): MARPAT 137:217241
GI



I

AB Phenylalanine enamide derivs. I [R1 is a group Ar1-L2-Ar2-Alk- in which Ar1 is an optionally substituted (hetero)arom. group, L2 is a covalent bond or a linker atom or group, Ar2 is an optionally substituted (hetero)arylene group, and Alk is CH2CHCO2H, CH:CCO2H, or CHCH2CO2H or a deriv. or biostere; X = O, S, NH or alkylimino; V = O or S; R2, R3, R4 = L1-(Alk1)n(R5)v, in which L1 is a covalent bond or a linker atom or group, Alk1 is an optionally substituted (hetero)aliph. chain, R5 = H, halo, OH, SH, CN, (un)substituted (cyclo)alkoxy, (cyclo)alkylthio, (hetero)(poly)cycloaliph. or (hetero)arom. group; n = 0 or 1, and v = 1-3] were prepd. Compds. I inhibit the binding of integrins to their ligands and are of use in the prophylaxis and treatment of immuno or inflammatory disorders or disorders involving the inappropriate growth or migration of cells. Thus, (2S)-2-[(3-oxospiro[3.5]non-1-en-1-yl)amino]-3-[4-[(3,5-dichloroisonicotinoyl)amino]phenyl]propanoic acid (claimed compd.) was prepd. by reaction of Et (2S)-2-amino-3-[4-[(3,5-dichloroisonicotinoyl)amino]phenyl]propanoate (prepn. given) with 1-keto-3-hydroxyspiro[3.5]non-2-ene, followed by hydrolysis.

IT **263276-03-5P 455264-94-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of phenylalanine enamide derivs. possessing a cyclobutene group for use as integrin inhibitors)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 8 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN

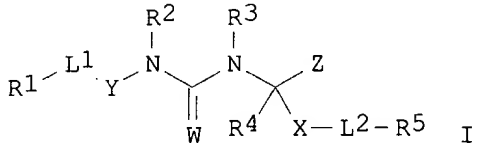
ACCESSION NUMBER:	2002:555472 HCAPLUS
DOCUMENT NUMBER:	137:125085
TITLE:	Preparation of urea derivatives as integrin alpha 4 antagonists
INVENTOR(S):	Jimenez Mayorga, Juan Miguel; Bach Tana, Jordi; Ontoria Ontoria, Jesus Maria; Navarro Romero, Eloisa
PATENT ASSIGNEE(S):	Almirall Prodesfarma, S.A., Spain
SOURCE:	PCT Int. Appl., 107 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057242	A2	20020725	WO 2002-EP331	20020115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EE 200300327	A	20031015	EE 2003-327	20020115
NO 2003003269	A	20030919	NO 2003-3269	20030718

PRIORITY APPLN. INFO.: ES 2001-126 A 20010119
WO 2002-EP331 W 20020115

OTHER SOURCE(S): MARPAT 137:125085

GI



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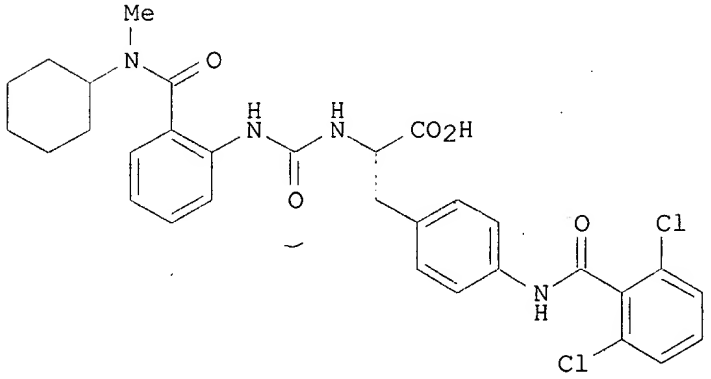


II

AB The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl, etc.; R2 = H, alkyl, alkylaryl, etc.; R3, R4 = H, alkyl; R2 and R3, together with the atoms to which they are attached, may form a 4-8 membered ring; R5 = alkyl, cycloalkyl, aryl, etc.; L1 = S, SO, SO2, CO, etc.; L2 = a bond, O, S, SO, etc.; W = O, S, (un)substituted NH, N(CN); X = (CH2)naryl, (CH2)nheteroaryl; Y = monocyclic (hetero)aryl; Z = CONH2, CO2R, PO3R, SO3R, etc.; R = H, alkyl, cycloalkyl, etc.; n = 0-2], novel antagonists of .alpha.4.beta.1 integrin and/or .alpha.4.beta.7 integrin useful in preventing or treating an immune or inflammatory diseases or disorders, were prepd. and formulated. Thus, reacting 2-amino-N-cyclohexyl-N-methylbenzamide with (S)-3-[4-(2,6-dichlorobenzoylamino)phenyl]-2-isocyanatopropionic acid Me ester (prepn. given) in CH2Cl2 (yield 50%) followed by hydrolysis of the intermediate ester (77%) afforded (S)-II which showed IC50 of < 100 nM in the .alpha.4.beta.1 assay.

IT **444086-35-5P 444086-37-7P 444086-39-9P**
444086-41-3P 444086-43-5P 444086-52-6P

444086-61-7P 444086-63-9P 444086-65-1P
444086-67-3P 444086-69-5P 444086-71-9P
444086-73-1P 444086-81-1P 444086-87-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of ureas as integrin alpha 4 antagonists)

IT **444086-36-6P 444086-38-8P 444086-40-2P**
444086-42-4P 444086-44-6P 444086-51-5P
444086-53-7P 444086-54-8P 444086-55-9P
444086-56-0P 444086-57-1P 444086-58-2P
444086-59-3P 444086-60-6P 444086-62-8P
444086-64-0P 444086-66-2P 444086-68-4P
444086-70-8P 444086-72-0P 444086-74-2P
444086-75-3P 444086-76-4P 444086-77-5P
444086-78-6P 444086-82-2P 444086-88-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ureas as integrin alpha 4 antagonists)

IT **444087-42-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of ureas as integrin alpha 4 antagonists)

L17 ANSWER 9 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:552324 HCAPLUS
DOCUMENT NUMBER: 137:109488
TITLE: Preparation of peptidyl calcium channel blockers
INVENTOR(S): Booth, Richard John; Brogley, Louis; Cody, Wayne Livingston; Connor, David Thomas; Hamilton, Harriet Wall; He, John Xiaoqiang; Hu, Lain-Yen; Lescosky, Leonard Joseph; Malone, Thomas Charles; Nadasdi, Laszlo; Rafferty, Michael Francis; Roth, Bruce David; Silva, Diego F.; Song, Yuntao; Szoke, Balazs G.; Urge, Laszlo
PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Neurex Corporation
SOURCE: U.S., 86 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6423689	B1	20020723	US 1998-212785	19981216

PRIORITY APPLN. INFO.: US 1997-68485P P 19971222
OTHER SOURCE(S): MARPAT 137:109488
AB Peptides R5CONHCR1R7CONHCR2(CH2-p-C6H4-Y-R4)COR3 [R1 = alkyl, benzyl, H, indolylmethyl, Q-(CH2)n (Q = alkylthio, substituted Ph, cycloalkyl, heteroaryl; n = 0-5); R2 = H, alkyl; R3 = alkoxy, Ph(CH2)nO, NH2, alkylamino, cycloalkyl, etc.; R4 = Q(CH2)n, where Q = (un)substituted Ph, NH2, dialkylamino, pyridyl, etc.; R5 = N(CH2)m (m = 2-7); R7 = H, alkyl; Y = O, NR4, NH, absent, CH:CH, C.tplbond.C] or their pharmaceutically acceptable salts, esters, amides, and prodrugs were prepd. as calcium channel blockers. Pharmaceutical compns. contg. these compds. can be used to treat stroke, cerebral ischemia, head trauma, or epilepsy. Thus, [S-(R*,R*)]-2-[2-[(azepane-1-carbonyl)amino]-4-methylpentanoylamino]-3-(4-benzyloxy-phenyl)propionic acid tert-Bu ester was prepd. via amidation reaction and showed IC50 = 0.35 .mu.M for inhibition of calcium flux in IMR-32 cells and protected 5/5 mice from tonic convulsions at 30 mg/kg at 15 min posttreatment time. The syntheses of 271 compds. of the invention

are described in the examples and > 200 addnl. compds. are given in the claims.

IT **443690-13-9P 443690-14-0P 443690-20-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of peptidyl calcium channel blockers)

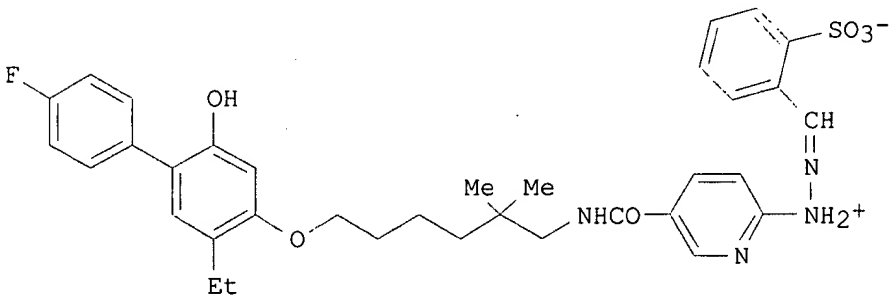
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 10 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:516582 HCAPLUS
DOCUMENT NUMBER: 137:87495
TITLE: Radiopharmaceuticals for imaging infection and inflammation
INVENTOR(S): Barrett, John A.; Cheesman, Edward H.; Harris, Thomas D.; Liu, Shuang; Rajopadhye, Milind; Sworin, Michael
PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA
SOURCE: U.S., 128 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6416733	B1	20020709	US 1997-943659	19971003
US 2003007927	A1	20030109	US 2002-109374	20020327
US 2003124053	A1	20030703	US 2002-151663	20020520

PRIORITY APPLN. INFO.: US 1996-27955P P 19961007
US 1997-943659 A1 19971003

OTHER SOURCE(S): MARPAT 137:87495
GI



I

AB The present invention provides novel radiopharmaceuticals useful for the diagnosis of infection and inflammation, reagents and kits useful for prepg. the radiopharmaceuticals, methods of imaging sites of infection and/or inflammation in a patient, and methods of diagnosing diseases assocd. with infection or inflammation in patients in need of such diagnosis. The radiopharmaceuticals bind in vivo to the leukotriene B4 (LTB4) receptor on the surface of leukocytes which accumulate at the site of infection and inflammation. The reagents provided by this invention are also useful for the treatment of diseases assocd. with infection and inflammation. Thus, the leukotriene antagonist (I) was prepd. and shown to be active in an LTB4 human neutrophil (PMN) binding assay. Compd. I

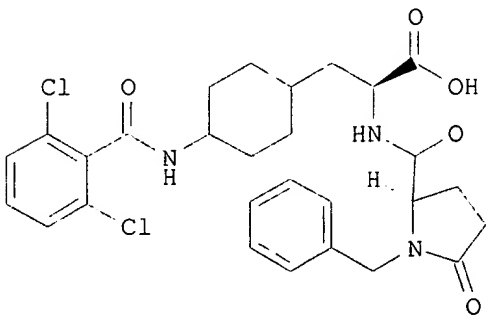
was used to prep. 99mTc(tricine)(TPPTS)(4-ethyl-2-(4-fluorophenyl)-[5-[5,5-dimethyl-6-[[[6-diazenido-3-pyridinyl]carbonyl]amino]hexyl]oxy]phenol) (TPPTS = tri(3-sulfonatophenyl)phosphine, sodium salt) which was used to detect inflammation/infection in guinea pig and rabbit focal infection models.

IT **206266-68-4P**, L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-, phenylmethyl ester
206266-69-5P, L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[5-[(4,6-diphenyl-2-pyridinyl)oxy]pentyl]-
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for prepn. of leukotriene antagonist ligands and their 99mTc complexes for imaging and treatment of infection and inflammation)

IT **206263-76-5P**, L-Tyrosine, N-[2,2-dimethyl-1-oxo-6-[[5,6,7,8-tetrahydro-5-oxo-1-(2-propenyl)-2-naphthalenyl]oxy]hexyl]-O-[3-[[[6-[[(2-sulfophenyl)methylene]hydrazino]-3-pyridinyl]carbonyl]amino]propyl]-
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. as leukotriene antagonist ligands for imaging and treatment of infection and inflammation)

REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 11 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:407947 HCAPLUS
DOCUMENT NUMBER: 138:66140
TITLE: Focused library approach for identification of new N-acylphenylalanines as VCAM/VLA-4 antagonists
AUTHOR(S): Chen, Li; Trilles, Richard; Miklowski, Dorota; Huang, Tai-Nan; Fry, David; Campbell, Robert; Rowan, Karen; Schwinge, Virginia; Tilley, Jefferson W.
CORPORATE SOURCE: Roche Research Center, Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(12), 1679-1682
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:66140
GI



I

AB A structure-based focused library approach was employed in an effort to identify more lipophilic replacements for the N-benzylpyroglutamyl group of the VCAM-1/VLA-4 antagonist I. This effort led to the discovery of two new classes of potent antagonists characterized by the

N-(.alpha.-phenylcyclopentanoyl)- and the N-(2,6-dimethylbenzoyl)-derivs.
IT **479641-68-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(focused library approach for identification of new N-acylphenylalanines as VCAM/VLA-4 antagonists)
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 12 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:353325 HCAPLUS
DOCUMENT NUMBER: 136:362949
TITLE: Technetium-99m and indium-111 complexes for simultaneous dual isotope imaging of perfusion and inflammation
INVENTOR(S): Carpenter, Alan P., Jr.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA
SOURCE: PCT Int. Appl., 439 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036173	A2	20020510	WO 2001-US46153	20011102
WO 2002036173	A3	20020926		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002030576	A5	20020515	AU 2002-30576	20011102
US 2003003049	A1	20030102	US 2001-2359	20011102
EP 1347784	A2	20031001	EP 2001-990810	20011102
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-245554P P 20001103
WO 2001-US46153 W 20011102
OTHER SOURCE(S): MARPAT 136:362949
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides novel diagnostic compns., e.g., 99mTc complex of I or 111In complex of II, comprising a radiolabeled LTB4 binding agent and a radiolabeled perfusion imaging agent, wherein the radiolabeled agents have spectrally separable energies, diagnostic kits comprising such compns., and methods of concurrent imaging in a mammal comprising administering a radiolabeled LTB4 binding agent and a radiolabeled perfusion imaging agent, and concurrently detecting the radiolabeled LTB4 binding agent bound at the LTB4 receptor and the radiolabeled perfusion imaging agent. The method is for use in concurrent imaging sites of inflammation and organ perfusion.
IT **206266-68-4P 206266-69-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for prepn. of leukotriene antagonist ligands and their 99mTc complexes for simultaneous dual isotope imaging of perfusion and inflammation)

IT **206263-76-5P**
RL: BSU (Biological study, unclassified); DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. as leukotriene antagonist ligands for simultaneous dual isotope imaging of perfusion and inflammation)

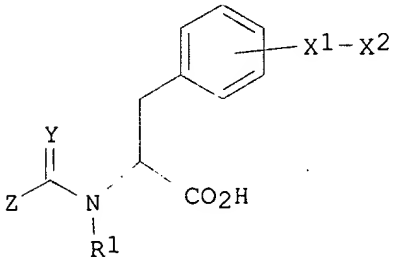
L17 ANSWER 13 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:142667 HCAPLUS
DOCUMENT NUMBER: 136:200103
TITLE: Preparation of (thio)urea moiety-containing heterocyclic compounds as VLA-4 antagonists
INVENTOR(S): Fukui, Hideto; Ikegami, Satoru; Okuyama, Akihiko
PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014272	A1	20020221	WO 2001-JP6833	20010808

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001077720	A5	20020225	AU 2001-77720	20010808

PRIORITY APPLN. INFO.: JP 2000-241657 A 20000809
WO 2001-JP6833 W 20010808
OTHER SOURCE(S): MARPAT 136:200103
GI



I

AB The title compds. I [R1 = H, alkyl, etc.; X1 = single bond, C.tplbond.C, etc.; Y = O, etc.; Z = NR7R8, etc.; R7, R8 = H, hydrocarbon, etc.; X2 = heterocyclic ring (generic structure given); further details on said heterocyclic ring are given] are prepd. A process for the prepn. of I is claimed. In an assay for inhibition of VLA-4/VCAM-1 adhesion,

3-[4-[(3,5-dichloropyridine-4-carbonyl)amino]phenyl]-2-(S)-[3-isobutyl-3-[1(S)-phenylethyl]ureido]propionic acid showed IC50 of 1.1 nM.

IT **401470-70-0P 401470-72-2P 401470-73-3P**
401470-74-4P 401470-75-5P 401470-84-6P
401470-85-7P 401470-86-8P 401470-87-9P
401470-88-0P 401470-89-1P 401470-90-4P
401471-00-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (thio)urea moiety-contg. heterocyclic compds. as VLA-4 antagonists)

IT **401471-09-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of (thio)urea moiety-contg. heterocyclic compds. as VLA-4 antagonists)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

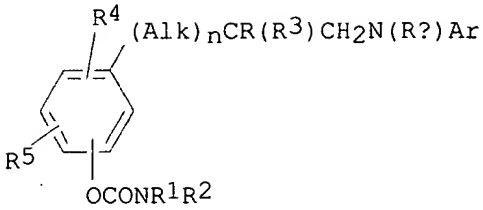
L17 ANSWER 14 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:90040 HCAPLUS
DOCUMENT NUMBER: 136:135022
TITLE: Preparation of heteroaryl-.beta.-alanine derivatives as antiinflammatory agents and .alpha.4 integrin inhibitors
INVENTOR(S): Konradi, Andrei W.; Pleiss, Michael A.; Thorsett, Eugene D.; Ashwell, Susan; Welmaker, Gregory S.; Kreft, Anthony; Sarantakis, Dimitrios; Dressen, Darren B.; Grant, Francine S.; Semko, Christopher; Xu, Ying-Zi
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; American Home Products Corporation
SOURCE: PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008222	A2	20020131	WO 2001-US23096	20010720
WO 2002008222	A3	20020613		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002086882	A1	20020704	US 2001-910431	20010719

PRIORITY APPLN. INFO.: US 2000-220128P P 20000721
OTHER SOURCE(S): MARPAT 136:135022
GI



I

AB Disclosed are a series of heteroaryl-.beta.-alanine derivs. I wherein R is a carboxylic acid; R1 and R2 are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, cycloalkyl, substituted cycloalkyl, or R1 and R2, together with the nitrogen atom to which they are attached, are joined to form an optionally substituted heterocyclic ring provided that said substituted alkyl, substituted alkenyl and substituted cycloalkyl do not carry an aryl, substituted aryl, heteroaryl or substituted heteroaryl group; Ra and R3 are independently a hydrogen or a Me group; R4 and R5 are independently selected from the group consisting of heteroatom group; n is zero or an integer 1; Alk is a straight or branched alkylene chain; Ar is an optionally substituted arom. or heteroarom. group, as well as their pharmaceutical use as .alpha.4.beta.7 Integrin inhibitors for the treatment of inflammatory diseases. Thus, 3-[4-(3,5-dichloropyrid-4-ylcarboxamido)phenyl]-2-(3-chlorophenylamino)propanoic acid was prepd. as .alpha.4 Integrin inhibitor. The preferred compds. of the invention generally have IC50 values in the .alpha.4.beta.1 and .alpha.a.beta.7 assays of 1 .mu.M and below. In the other assays featuring .alpha. integrins of other subgroups the same compds. had IC50 values of 50 .mu.M and above thus demonstrating the potency and selectivity of their action against .alpha.4 integrins. Title compds. were prepd. for treating an inflammatory condition in a mammalian patient which condition is mediated by Very Late Antigen 4 (VLA-4). Inflammatory condition is selected from the group consisting of asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, multiple sclerosis, rheumatoid arthritis, tissue transplantation, tumor metastasis, meningitis, encephalitis, stroke, nephritis, retinitis, atopic dermatitis, psoriasis, myocardial ischemia and acute leukocyte-mediated lung injury.

IT **263276-03-5P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heteroaryl-.beta.-alanine derivs. as antiinflammatory agents and .alpha.4 integrin inhibitors)

L17 ANSWER 15 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:90026 HCAPLUS
DOCUMENT NUMBER: 136:135019
TITLE: Preparation of 3-amino-2-(4-aminocarbonyloxy)phenyl-propionic acid derivatives as antiinflammatory agents and .alpha.4 Integrin inhibitors
INVENTOR(S): Konradi, Andrei W.; Pleiss, Michael A.; Thorsett, Eugene D.; Ashwell, Susan; Welmaker, Gregory S.; Kreft, Anthony; Sarantakis, Dimitrios; Dressen, Darren B.; Grant, Francine S.; Xu, Ying-Zi
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; American Home Products Corporation
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

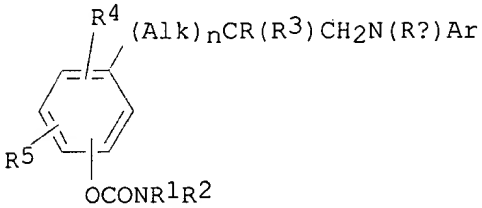
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008206	A1	20020131	WO 2001-US23073	20010720
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002055509	A1	20020509	US 2001-910685	20010720

PRIORITY APPLN. INFO.: US 2000-220134P P 20000721

OTHER SOURCE(S): MARPAT 136:135019

GI



I

AB 3-Amino-2-(4-aminocarbonyloxy)phenyl-propionic acid derivs. I wherein R is a carboxylic acid; R1 and R2 are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, cycloalkyl, substituted cycloalkyl, or R1 and R2, together with the nitrogen atom to which they are attached, are joined to form an optionally substituted heterocyclic ring provided that said substituted alkyl, substituted alkenyl and substituted cycloalkyl do not carry an aryl, substituted aryl, heteroaryl or substituted heteroaryl group; Ra and R3 are independently a hydrogen or a Me group; R4 and R5 are independently selected from the group consisting of heteroatom group; n is zero or an integer 1; Alk is a straight or branched alkylene chain; Ar is an optionally substituted arom. or heteroarom. group, as well as their pharmaceutical use as .alpha.4.beta.7 Integrin inhibitors for the treatment of inflammatory diseases. Thus, 3-[4-(3,5-dichloropyrid-4-ylcarboxamido)phenyl]-2-(3-chlorophenylamino)propanoic acid was prepd. as .alpha.4 Integrin inhibitor. The preferred compds. of the invention generally have IC50 values in the .alpha.4.beta.1 and .alpha.a.beta.7 assays of 1 .mu.M and below. In the other assays featuring .alpha. integrins of other subgroups the same compds. had IC50 values of 50 .mu.M and above thus demonstrating the potency and selectivity of their action against .alpha.4 integrins. Title compds. were prepd. for treating an inflammatory condition in a mammalian patient which condition is mediated by Very Late Antigen 4 (VLA-4). Inflammatory condition is selected from the group consisting of asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, multiple sclerosis, rheumatoid arthritis, tissue transplantation, tumor metastasis, meningitis, encephalitis, stroke, nephritis, retinitis, atopic dermatitis, psoriasis, myocardial ischemia and acute leukocyte-mediated lung injury.

IT **263276-03-5P**

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of aminoaminocarbonyloxyphenylpropionic acid derivs. as a

integrin inhibitors)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

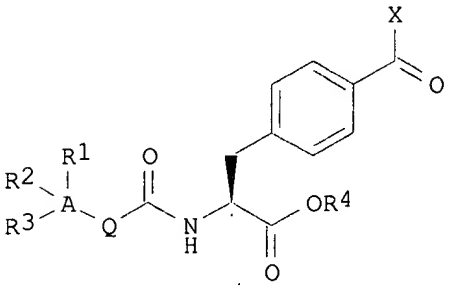
L17 ANSWER 16 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:812346 HCAPLUS
DOCUMENT NUMBER: 136:144646
TITLE: Structure-inhibitory activity relationship of plasmin and plasma kallikrein inhibitors
AUTHOR(S): Tsuda, Yuko; Tada, Mayako; Wanaka, Keiko; Okamoto, Utako; Hijikata-Okunomiya, Akiko; Okamoto, Shosuke; Okada, Yoshio
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, and High Technology Research Center, Kobe Gakuin University, Kobe, 651-2180, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (2001), 49(11), 1457-1463
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Based on the structure of Tra-Tyr(O-Pic)-octylamide, a portion of the octylamine was replaced with moieties bearing hydrophobic, basic or acidic groups. Replacement of the C-terminal residue with a moiety bearing a hydrophobic group gave the proper affinity of the inhibitor to both plasmin (PL) and plasma kallikrein (PK). While addn. of a basic residue did not improve the affinity of the inhibitor, a carboxylic acid attached to the Ph ring increased the PK selectivity of the inhibitor.
IT **39837-03-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(structure-inhibitory activity relationship of plasmin and plasma kallikrein inhibitors)
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 17 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:693265 HCAPLUS
DOCUMENT NUMBER: 135:242013
TITLE: Preparation of 4-(2-amino-2-carboxyethyl)benzoates as .alpha.4.beta.1 and .alpha.4.beta.7 integrin inhibitors
INVENTOR(S): Cooke, Nigel Graham; Sabio, Michael Lloyd
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001068586	A2	20010920	WO 2001-EP2749	20010312
WO 2001068586	A3	20020110		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
US 2002091142 A1 20020711 US 2001-803303 20010309
PRIORITY APPLN. INFO.: US 2000-525700 A 20000314
US 2000-304184P P 20000314
OTHER SOURCE(S): MARPAT 135:242013
GI



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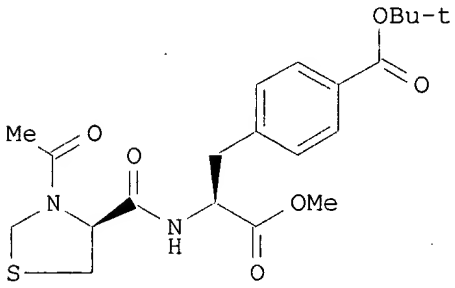


II

AB The title compds. (I) [wherein A = (hetero)arom. ring; Q = bond, CO, alkylene optionally substituted by OH or Ph, alkenylene, or O-alkylene; X = OR5 or NR5R6; R1, R2, and R3 = independently H, halo, OH, alkyl, alkoxy, NO2, NH2, carboxy (amide or ester), CN, alkylcarbonyl, alkylthio, alkylsulfonyl, sulfamoyl, Ph, or heterocyclic; or 2 of R1-R3 together form alkylenedioxy; R4 = H, alkyl(interrupted by 1 or more O), alkenyl, alkynyl, morpholinoalkyl, aminoalkyl, etc.; R5 and R6 = independently H, alkyl optionally substituted by F or (un)substituted (hetero)aryl; with proviso] and their pharmaceutically acceptable salts were prepd. as inhibitors of .alpha.4.beta.1 and/or .alpha.4.beta.7 integrins. For example, a mixt. of tert-Bu 4-[(S)-2-amino-2-methoxycarbonylethyl]benzoate .bul.HCl (prepn. given), (S)-3-acetylthiazolidine-4-carboxylic acid, 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide.bul.HCl, 1-hydroxy-7-azabenzotriazole, and di-isopropylethylamine in DMF was stirred at room temp. for 18 h to give II. One or more of the invention compds. was tested for cell adhesion inhibitory activity and exhibited IC 50 values as low as 1 nM for VLA-4 binding. I are useful in inhibiting cell adhesion and in the therapeutic or prophylactic treatment of transplant rejection and inflammatory and autoimmune diseases (no data).

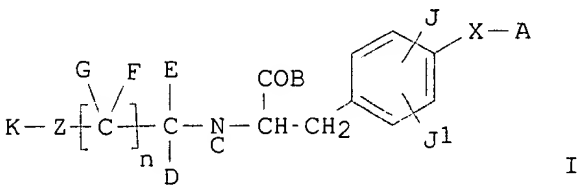
IT **360045-49-4P 360045-56-3P 360045-57-4P**
360045-59-6P 360045-62-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenylalanine derivs. as .alpha.4.beta.1 and .alpha.4.beta.7 integrin inhibitors for treatment of inflammation, transplant rejection, and autoimmune diseases)

L17 ANSWER 18 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:380546 HCAPLUS
DOCUMENT NUMBER: 134:367194
TITLE: Preparation of novel phenylalanine derivatives as .alpha.4-integrin inhibitors
INVENTOR(S): Tanaka, Yasuhiro; Yoshimura, Toshihiko; Izawa, Hiroyuki; Ejima, Chieko; Kojima, Mitsuhiko; Atake, Yuko; Nakanishi, Eiji; Suzuki, Nobuyasu; Makino, Shingo; Suzuki, Manabu; Murata, Masahiro
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036376	A1	20010525	WO 2000-JP8152	20001120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001014165	A5	20010530	AU 2001-14165	20001120
EP 1233013	A1	20020821	EP 2000-976347	20001120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003149083	A1	20030807	US 2002-150067	20020520

PRIORITY APPLN. INFO.: JP 1999-328468 A 19991118
JP 2000-197139 A 20000629
WO 2000-JP8152 W 20001120

OTHER SOURCE(S): MARPAT 134:367194
GI



I

AB Phenylalanine derivs. represented by general formula (I) or pharmaceutically acceptable salts thereof [wherein X represents an interat. bond, O, OSO2, N-(un)substituted NH, NHCO, NHSO2, NHCONH, or NH(CS)NH, CO; Y and Z represent each CO, SO, or SO2; A represents a specific substituted Ph group or nitrogen-contg. heterocycle such as arom.-fused pyrimidinedione or pyrimidinone, 2,4- or 2,5-imidazolidinedione, or 5-imidazolone; C represents hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally contg. heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl; D and E represent each lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally contg. heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, etc. or D and E may be bonded to each other

to form a ring optionally contg. 1 or 2 O, N, or S in the ring; F and G represent each hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally contg. heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, etc. or F and G may be bonded to each other to form a ring; n is from 0 to 2; K represents OR7, NR7R8, NHNR7R8, SR7, or R7; R7 and R8 represents H, lower alkyl, etc.; and J and J' represent each hydrogen, halogeno, lower alkyl, lower alkoxy, or NO2] are prepd. These derivs. and analogs thereof show an .alpha.4 integrin inhibitory activity and are usable as remedies for various diseases relating to .alpha.4 integrin, such as inflammatory diseases related to .alpha.4 integrin-dependent adhesion process, arthritis, inflammatory intestinal diseases, systemic lupus erythematosus, multiple sclerosis, Sjoegren syndrome, psoriasis, allergy, diabetes, cardiovascular diseases, arteriosclerosis, restenosis, tumor proliferation, tumor metastasis, or transplant rejection. Thus, O-(2,6-dichlorobenzyl)-L-tyrosine bound to Wang resin was allowed to react with diethylmalonic acid, HOAt, 2-dimethylaminoisopropyl chloride hydrochloride (DIC), and N-methyl-2-pyrrolidinone (NMP) at room temp. for 16 h, washed with DMF five times, and condensed with pyrroline using HOAt, DIC, and NMP, followed by oxidn. with OsO4 in dioxane at room temp. for 16 and resin-cleavage in aq. CF3CO2H to give N-[2-[(cis-2,4-dihydroxypyrrolidin-1-yl)carbonyl]-2-ethylbutanoyl]-O-(2,6-dichlorobenzyl)-L-tyrosine (II). II and N-[2-[(pyrrolidin-1-yl)carbonyl]-2-ethylbutanoyl]-4-(2,6-dichlorobenzoylamino)-L-phenylalanine inhibited the binding of human recombinant VCAM-1 to human B lymphoma cell line expressing integrin.alpha.4.beta.7 with IC50 of .ltoreq.0.02 .mu.mol/L.

IT **340716-57-6P 340716-58-7P 340716-59-8P**
340717-11-5P 340717-12-6P 340717-13-7P
340717-14-8P 340717-15-9P 340717-16-0P
340717-17-1P 340717-18-2P 340717-19-3P
340718-08-3P 340718-09-4P 340718-11-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel phenylalanine derivs. as .alpha.4-integrin inhibitors)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 19 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:228855 HCAPLUS
DOCUMENT NUMBER: 134:252658
TITLE: Preparation of tyrosine derivatives as inhibitors of .alpha.4 contg. integrin-mediated binding to ligands VCAM-1 and MAdCAM.
INVENTOR(S): Jackson, David Y.; Sailes, Frederick C.; Sutherlin, Daniel P.
PATENT ASSIGNEE(S): Genentech, Inc., USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021584	A1	20010329	WO 2000-US26326	20000925

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1214292 A1 20020619 EP 2000-965417 20000925

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL

US 6469047 B1 20021022 US 2000-669779 20000925

JP 2003509488 T2 20030311 JP 2001-524964 20000925

PRIORITY APPLN. INFO.: US 1999-156062P P 19990924

WO 2000-US26326 W 20000925

OTHER SOURCE(S): MARPAT 134:252658

AB Tyrosine derivs., e.g., ArCH2CH[N(A)(Z)]CO-Y [Z = H, alkyl; A = B(CH2)q-X-, where B = (un)substituted Ph and X = CO, SO2, null or B = cyanoalkyl, carbocyclyl or heterocyclyl and X = CO; R6 = H, alkyl, amino, cyano, hydroxy, alkylsulfonyl, etc.; q = 0-3; Y is H, (un)substituted alkoxy, alkoxyalkoxy, aryloxy, alkylaminoalkoxy, dialkylaminoalkoxy,alkylamino, arylamino, heterocyclyl or heteroarylalkyl; Ar is Ph which has hydroxy, carbonate, thiocarbonate, carbamoyloxy or acyloxy groups and optionally other substituents] were prepd. as inhibitors of .alpha.4 contg. integrin-mediated binding to ligands such as VCAM-1 and MAdCAM. Methods of synthesis are described and inhibitory binding data are tabulated for 416 compds., including N-(o-chlorobenzoyl)-O-(allylcarbamoyl)-L-tyrosine, for which IC50 is < 1.0 micromolar.

IT **331468-54-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of tyrosine derivs. as inhibitors of .alpha.4 contg. integrin-mediated binding to ligands VCAM-1 and MAdCAM.)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 20 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:873308 HCAPLUS

DOCUMENT NUMBER: 134:41915

TITLE: Preparation of 3-Aromatic-substituted propionic acid or acrylic acid derivatives as antidiabetics

INVENTOR(S): Kitajima, Hiroshi; Nakamura, Koji; Tamagawa, Hiroki

PATENT ASSIGNEE(S): Wellfide K. K., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 94 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000344748	A2	20001212	JP 2000-89964	20000328

PRIORITY APPLN. INFO.: JP 1999-87308 A 19990329

OTHER SOURCE(S): MARPAT 134:41915

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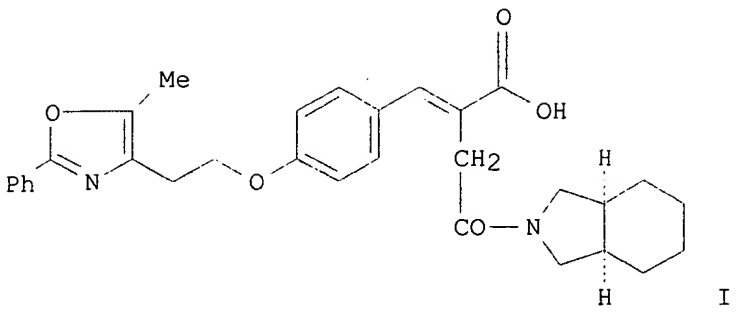

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AB Title compds. [ZY(CH2)nXArCRR1CR2(ACOR4)CO2R3; R = H, alkyl; R1R2 independently = H, alkyl; R3 = H, alkyl; R4 = NH2, alkylamino, cycloalkyamino; A = CH2, NH, alkylamino; Ar = aryl, heterocyclyl; X = bond, NH, alkylamino, S, SO, SO2, CONR5, NR6CO; R5 = H, alkyl; R6 = alkyl, H; n = 1, 2, 3, 4, 5; Y = bond, NH, alkyl, S, SO, SO2, CONH; Z = pyridyl, benzimidazolyl, benzoxazolyl, oxazolyl, thiazolyl, benzothiazolyl] and pharmaceutical salts are prepd. as antidiabetics which promote insulin secretion and improve action toward insulin resistant. Thus, the title compd. I was prepd. and tested.

IT **312688-42-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arom. substituted propionic acid or acrylic acid derivs. as antidiabetics)

IT **312689-64-8P 312689-70-6P 312689-71-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of arom. substituted propionic acid or acrylic acid derivs. as antidiabetics)

IT **312688-47-4P 312688-48-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arom. substituted propionic acid or acrylic acid derivs. as antidiabetics)

L17 ANSWER 21 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:863150 HCAPLUS
DOCUMENT NUMBER: 134:157200
TITLE: Development of potent and selective plasmin and plasma kallikrein inhibitors and studies on the structure-activity relationship
AUTHOR(S): Okada, Yoshio; Tsuda, Yuko; Tada, Mayako; Wanaka, Keiko; Okamoto, Utako; Hijikata-Okunomiya, Akiko; Okamoto, Shosuke
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, and High Technology Research Center, Kobe Gakuin University, Kobe, 651-2180, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (2000), 48(12), 1964-1972
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Based on structure-activity relationship studies, we designed and synthesized plasmin (PL) and plasma kallikrein (PK) inhibitors. Trans-(4-aminomethylcyclohexanecarbonyl)-Tyr(O-Pic)-octylamide inhibited PL, PK, urokinase (UK) and thrombin (TH) with IC50 values of 0.53, 30, 5.3

and >400 .mu.M, resp. Trans-(4-aminomethylcyclohexanecarbonyl)-Tyr(O-2-Pyrim)-4-carboxyanilide inhibited PL, PK, UK and TH with IC50 values of 36, 0.56, 440 and >1000 .mu.M, resp.

IT **325464-12-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(plasmin and plasma kallikrein inhibitors: structure-activity relationship)

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 22 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:861644 HCAPLUS
DOCUMENT NUMBER: 134:29705
TITLE: Preparation of squaric acid derivatives as cell adhesion molecules
INVENTOR(S): Langham, Barry John; Alexander, Rikki Peter; Head, John Clifford; Linsley, Janeen Marsha; Porter, John Robert; Archibald, Sarah Catherine; Warrelow, Graham John
PATENT ASSIGNEE(S): Celltech Chiroscience Limited, UK
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000073260	A1	20001207	WO 2000-GB2020	20000526
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6518283	B1	20030211	US 2000-579317	20000525
EP 1181266	A1	20020227	EP 2000-935341	20000526
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003500467	T2	20030107	JP 2000-621327	20000526
US 2003162799	A1	20030828	US 2002-319272	20021213

PRIORITY APPLN. INFO.:

GB 1999-12640	A	19990528
GB 2000-2858	A	20000208
US 2000-579317	A3	20000525
WO 2000-GB2020	W	20000526

OTHER SOURCE(S): MARPAT 134:29705
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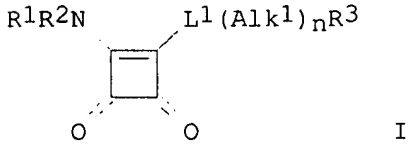


I

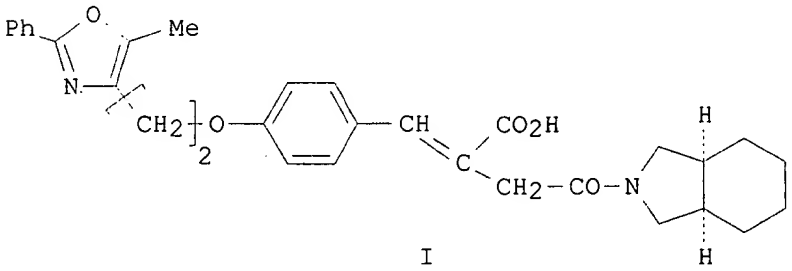
AB Squaric acid derivs. I [R1 is an integrin binding group; R2 is a hydrogen

atom or a C1-6 alkyl group; L1 is a covalent bond or a linker atom or group; n = 0, 1; Alk1 is an optionally substituted aliph. chain; R3 is H or an optionally substituted heteroaliph., cycloaliph., heterocycloaliph., polycycloaliph., polyheterocycloaliph., arom. or heteroarom. group] and their salts, solvates, hydrates and N-oxides were prepd. as inhibitors of the binding of integrins to their ligands. Thus, treatment of Et (S)-3-(4-aminophenyl)-2-(tert-butoxycarbonylamino)propionate with 3,5-dichloro-4-pyridinecarboxylic acid, deprotection, reaction with 3,4-diisopropoxy-3-cyclobutene-1,2-dione, propylamination, and sapon. afforded (S)-3-[4-(3,5-dichloro-4-pyridylcarboxamido)phenyl]-2-(2-propylamino-3,4-dioxocyclobut-1-enylamino)propanoic acid. Compds. of the invention in which R1 is an .alpha.4 integrin binding group generally have IC50 values <1 .mu.M in the .alpha.4.beta.1 and .alpha.4.beta.7 assays.

IT **252328-07-7P 263276-03-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of squaric acid derivs. as cell adhesion mols.)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 23 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:840649 HCAPLUS
DOCUMENT NUMBER: 134:110109
TITLE: Hybridization of non-sulfonylurea insulin secretagogue and thiazolidinedione-derived insulin sensitizer
AUTHOR(S): Kitajima, Hiroshi; Nakamura, Mitsuharu; Tamakawa, Hiroki; Goto, Nobuharu
CORPORATE SOURCE: Department of Discovery Research, Welfide Corporation, Hirakata, 573-1153, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(21), 2453-2456
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Hybrid compds. of non-sulfonylurea insulinotropic agents and thiazolidinedione-derived insulin-sensitizing agents were designed and synthesized. The benzylidenesuccinic acid deriv. I was equal both to nateglinide in potency of insulin-releasing activity and to pioglitazone in insulin-sensitizing activity.

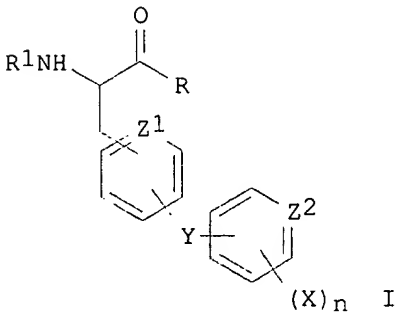
IT **321371-24-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thiazolidinediones as insulinotropics and insulin

sensitizers)
REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 24 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:441762 HCAPLUS
DOCUMENT NUMBER: 133:74323
TITLE: Preparation of N-acylphenylalanine derivatives and analogs as inhibitors of .alpha.4.beta.1 mediated cell adhesion
INVENTOR(S): Teegarden, Bradley R.; Jayakumar, Honnappa; Matsuki, Kenji; Chrusciel, Robert A.; Fisher, Jed F.; Tanis, Steven P.; Thomas, Edward W.; Blinn, James R.
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037429	A2	20000629	WO 1999-US30665	19991220
WO 2000037429	A3	20030522		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1144365	A2	20011017	EP 1999-966584	19991220
EP 1144365	A3	20030709		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003524614	T2	20030819	JP 2000-589501	19991220

PRIORITY APPLN. INFO.: US 1998-113501P P 19981222
WO 1999-US30665 W 19991220
OTHER SOURCE(S): MARPAT 133:74323
GI



I

AB Title compds. I [X = halo, CF3, NO2, OH, alkoxy, NH2, alkyl; n = 1-3; Z1, Z2 = CH or N; Y = OCH2 or NHCO; R = OH or alkoxy; R1 = acyl group] or their pharmaceutically acceptable salts were prepd. as inhibitors of

.alpha.4.beta.1 mediated adhesion to either the vascular cell adhesion mol. (VCAM-1) or the CS-1 domain of fibronectin and are useful in the treatment of inflammatory diseases. Approx. 200 invention compds. and their intermediates were prepd. by various coupling methods and purified by chromatog. on silica gel. Thus, 4-[(2,6-dichlorobenzoyl)amino]-N-[[(3S)-7-hydroxy-1,2,3,4-tetrahydro-3-isoquinolyl]carbonyl]-L-phenylalanine was prepd. by deprotection of resin-bound N-(tert-butoxycarbonyl)-4-[(2,6-dichlorobenzoyl)amino]-L-phenylalanine with 50% TFA/CH2Cl2, followed by treatment with (3S)-2-(tert-butoxycarbonyl)-7-hydroxy-1,2,3,4-tetrahydro-3-isoquinolinecarboxylic acid, deprotection, and hydrolysis with 2N LiOH. In vitro cell adhesion inhibitory and/or modulatory activities are reported for > 100 invention compds. tested in Jurkat CS-1 and/or Jurkat endothelial cell (EC) adhesion inhibition assays. Ten compds. showed IC50 values .ltoreq. 0.8 .mu.M in both assays.

IT **279239-98-4P 279239-99-5P 279240-00-5P**
279240-01-6P 279240-02-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-acylphenylalanine derivs. and analogs as inhibitors of .alpha.4.beta.1 mediated cell adhesion)

IT **279240-61-8P 279240-63-0P 279240-64-1P**
279240-66-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of N-acylphenylalanine derivs. and analogs as inhibitors of .alpha.4.beta.1 mediated cell adhesion)

L17 ANSWER 25 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:289136 HCAPLUS
DOCUMENT NUMBER: 132:308248
TITLE: Preparation of chromenone and chromanone derivatives as integrin inhibitors.
INVENTOR(S): Fittschen, Claus; Goodman, Simon; Maerz, Joachim; Raddatz, Peter; Wiesner, Matthias
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 24 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19850131	A1	20000504	DE 1998-19850131	19981030
WO 2000026212	A1	20000511	WO 1999-EP7725	19991014
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9964716	A1	20000522	AU 1999-64716	19991014
AU 754280	B2	20021114		
BR 9914893	A	20010717	BR 1999-14893	19991014
EP 1124824	A1	20010822	EP 1999-952566	19991014
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

JP 2002528544	T2	20020903	JP 2000-579600		19991014
NO 2001002108	A	20010427	NO 2001-2108		20010427
ZA 2001004411	A	20020829	ZA 2001-4411		20010529
PRIORITY APPLN. INFO.:			DE 1998-19850131	A	19981030
			WO 1999-EP7725	W	19991014

OTHER SOURCE(S): MARPAT 132:308248

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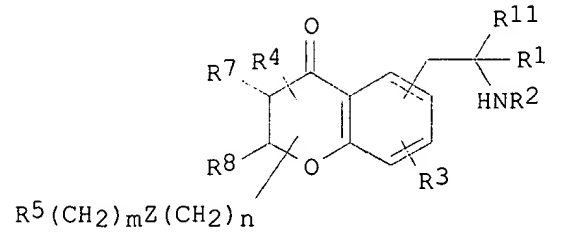

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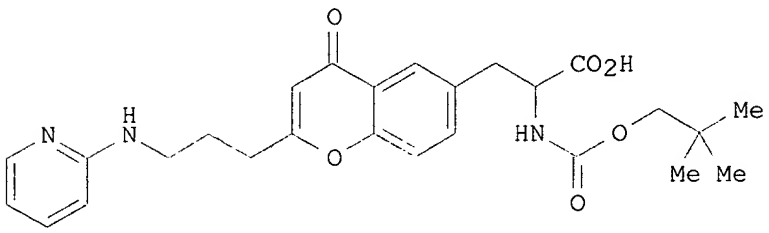


II

AB Title compds. [I; R1 = CH2OR10, CO2R10, CONHR10, CON(R12)2; R2 = R10, COR10, COR5, CO2R6, CO2R10, etc.; R3 = H, halo, NHR10, N(R12)2, acylamino, acyloxy, cyano, NO2, etc.; R4 = H, A, Ar, aralkylene; R5 = (substituted) NH2, H2NC(:NH), H2N(C:NH)NH; R7, R8 = null, H; R7R8 = bond; Z = null, O, S, NH, NR1, CO, CONH, etc.; R10 = H, A, Ar, aralkylene; R11 = H, alkyl; R12 = alkyl; A = H, (substituted) (N-, O-, and/or S-interrupted) alkyl, cycloalkyl; Ar = (substituted) (N-, O-, and/or S-contg.) mono- or bicyclic aryl; m, n = 0-4], were prepd. as GPI-Ib/IIIa antagonists and .alpha.v integrin inhibitors (no data). Thus, title compd. (II) was prepd. in several steps.

IT **265653-90-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of chromenone and chromanone derivs. as integrin inhibitors)

L17 ANSWER 26 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:	2000:227650 HCAPLUS
DOCUMENT NUMBER:	132:265501
TITLE:	Phenylalanine derivatives as alpha 4 integrin inhibitors
INVENTOR(S):	Head, John Clifford; Porter, John Robert; Warrellow, Graham John; Archibald, Sarah Catherine; Hutchinson, Brian Woodside
PATENT ASSIGNEE(S):	Celltech Therapeutics Limited, UK
SOURCE:	PCT Int. Appl., 94 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

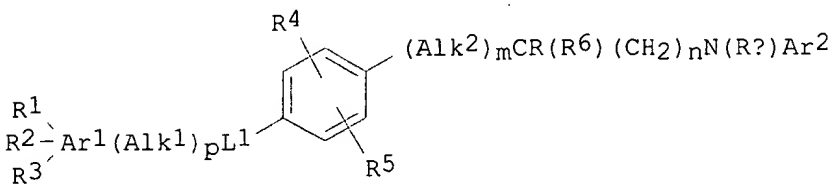
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018759	A1	20000406	WO 1999-GB3210	19990928
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6348463	B1	20020219	US 1999-406560	19990927
CA 2338442	AA	20000406	CA 1999-2338442	19990928
AU 9961059	A1	20000417	AU 1999-61059	19990928
EP 1117657	A1	20010725	EP 1999-947680	19990928
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525367	T2	20020813	JP 2000-572219	19990928
US 2002028812	A1	20020307	US 2001-927874	20010810

PRIORITY APPLN. INFO.: GB 1998-21061 A 19980928
US 1999-406560 A3 19990927
WO 1999-GB3210 W 19990928

OTHER SOURCE(S): MARPAT 132:265501

GI



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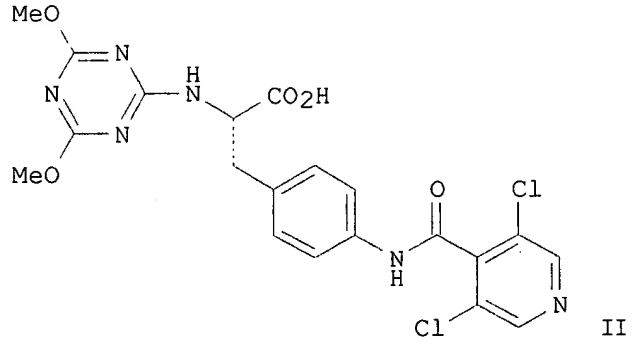

II

AB Phenylalanine derivs. I [Ar1 = arom. or heteroarom. group; Alk1 = (un)substituted aliph. or heteroaliph. chain; L1, L2, L3 = a covalent bond or a linker atom or group; Alk2 = alkylene; R is a carboxylic acid or deriv.; Ar2 = (un)substituted arom. or heteroarom. group; R1, R2, R3, R4, R5 = -L2(Alk3)tL3(R7)u; Alk3 = aliph. or heteroaliph. chain; R6, Ra = H, Me; R7 = H, halo, alkyl, OH, SH, NH2, (un)substituted alkoxy, thioalkyl, or aminoalkyl; m, n, p, t = 0, 1; u = 1-3] and their salts, solvates, hydrates, and N-oxides were prepd. as selective inhibitors of .alpha.4 integrins useful for the prophylaxis and treatment of immune or

inflammatory disorders. For example, a multi-step synthesis of the title compd. II was given. Compds. I were tested for inhibition of integrin-dependent cell adhesion and generally have IC50 values of .ltoreq. 1.mu.M in .alpha.4.beta.1 and .alpha.4.beta.7 assays, and IC50 values of .gtoreq. 50 .mu.M in assays of other integrins.

IT **263276-03-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of phenylalanine derivs. as alpha 4 integrin inhibitors)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 27 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:795785 HCAPLUS

DOCUMENT NUMBER: 132:36028

TITLE: Preparation of phenylalanine derivatives as integrin inhibitors

INVENTOR(S): Porter, John Robert; Head, John Clifford; Warrellow, Graham John; Archibald, Sarah Catherine

PATENT ASSIGNEE(S): Celltech Therapeutics Limited, UK

SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9964390	A1	19991216	WO 1999-GB1758	19990604
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9942765	A1	19991230	AU 1999-42765	19990604
EP 1082294	A1	20010314	EP 1999-955469	19990604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002517480	T2	20020618	JP 2000-553400	19990604

PRIORITY APPLN. INFO.: GB 1998-12088 A 19980605
WO 1999-GB1758 W 19990604

OTHER SOURCE(S): MARPAT 132:36028

AB Phenylalanine derivs. p-[R1(Alk1)r(L1)s]C6H4(Alk2)mCRR2X1R4 [R is a carboxylic acid or deriv.; R1 = (un)substituted cycloaliph., polycycloaliph., heterocycloaliph., polyheterocycloaliph., arom., or heteroarom. group; Alk1 = (un)substituted aliph. or heteroaliph. chain; L1 is a linker atom or group; r, s, m = 0 or 1; Alk2 = alkylene; R2 = H, Me; X1 = NR3CO, NR3SO2, NR3CO2, or NR3CONR3a (R3, R3a = H or alkyl); R4 = (un)substituted aliph. cycloaliph., or polycycloaliph. group] were prepd. for use as .alpha.4 integrin inhibitors. Thus, N-isobutyryl-N'-(3,5-dichloroisonicotinoyl)-L-4-aminophenylalanine was prepd. via acylation/sapon. of N'-(3,5-dichloroisonicotinoyl)-L-4-aminophenylalanine Me ester. The compds. of the invention generally have IC50 values in the .alpha.4.beta.1 and .alpha.4.beta.7 assays of 1 .mu.M and below.

IT **252327-70-1P 252327-71-2P 252327-72-3P**
252327-73-4P 252327-78-9P 252327-84-7P
252327-86-9P 252327-88-1P 252327-90-5P
252327-94-9P 252327-99-4P 252328-03-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of phenylalanine derivs. as integrin inhibitors)

IT **252327-74-5P 252327-75-6P 252327-76-7P**
252327-77-8P 252327-80-3P 252327-85-8P
252327-87-0P 252327-89-2P 252327-91-6P
252327-95-0P 252327-98-3P 252328-04-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenylalanine derivs. as integrin inhibitors)

IT **252328-07-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of phenylalanine derivs. as integrin inhibitors)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 28 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:566014 HCAPLUS
DOCUMENT NUMBER: 131:185243
TITLE: Phenylalanine derivatives as inhibitors of .alpha.4 integrins
INVENTOR(S): Archibald, Sarah Catherine; Head, John Clifford; Warrellow, Graham John; Porter, John Robert
PATENT ASSIGNEE(S): Celltech Therapeutics Limited, UK
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9943642	A1	19990902	WO 1999-GB589	19990226
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9932603	A1	19990915	AU 1999-32603	19990226
EP 1056714	A1	20001206	EP 1999-936071	19990226
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002504534	T2	20020212	JP 2000-533401	19990226
US 6555562	B1	20030429	US 1999-258522	19990226
US 2003166691	A1	20030904	US 2003-379092	20030303

PRIORITY APPLN. INFO.:
GB 1998-4161 A 19980226
GB 1998-26668 A 19981203
US 1999-258522 A1 19990226
WO 1999-GB589 W 19990226

OTHER SOURCE(S): MARPAT 131:185243

AB Phenylalanine derivs. p-[R1(Alk1)r(L1)s]C6H2RaRb(Alk2)mCRR2NR3COAr [R is a carboxylic acid deriv.; R1 = H, OH, alkoxy, (un)substituted cycloaliph., heterocycloaliph., polyheterocycloaliph., arom., or heteroarom. group; Alk1 = (un)substituted aliph. or heteroaliph. chain; L1 is a linker group;

r, s = 0 or 1; Ra, Rb = -L2(CH2)pL3(Rc)q, where L2 or L3 is a bond or linker atom or group; p = 0 or 1; q = 1-3; Rc = H, halo, alkyl, OH, alkoxy, etc.; Alk2 = alkylene; m = 0 or 1; R2 = H, Me; R3 = H, alkyl; Ar is an optionally substituted arom. group] were prepd. for use as .alpha.4 integrin inhibitors. Thus, N-(2,6-dimethoxybenzoyl)-O-[(3,5-dichloro-4-pyridinyl)methyl]-L-tyrosine was prepd. via alkylation/acylation of tert-butoxycarbonyl-L-tyrosine Me ester.

IT **240481-95-2P 240481-96-3P 240481-97-4P**
240482-02-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(phenylalanine derivs. as inhibitors of .alpha.4 integrins)

IT **240482-08-0P 240482-09-1P 240482-10-4P**
240482-11-5P 240482-12-6P 240482-13-7P
240482-14-8P 240482-15-9P 240482-16-0P
240482-17-1P 240482-18-2P 240482-19-3P
240482-24-0P 240482-25-1P 240482-26-2P
240482-27-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(phenylalanine derivs. as inhibitors of .alpha.4 integrins)

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 29 OF 29 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:454256 HCAPLUS
DOCUMENT NUMBER: 131:88205
TITLE: Preparation of phenylalanine derivatives as antiinflammatory agents
INVENTOR(S): Head, John Clifford; Archibald, Sarah Catherine; Warrellow, Graham John; Porter, John Robert
PATENT ASSIGNEE(S): Celltech Therapeutics Limited, UK
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9935163	A1	19990715	WO 1999-GB62	19990108
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6197794	B1	20010306	US 1999-226833	19990107
AU 9919776	A1	19990726	AU 1999-19776	19990108
EP 1044215	A1	20001018	EP 1999-900560	19990108
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002500232	T2	20020108	JP 2000-527558	19990108

PRIORITY APPLN. INFO.:
GB 1998-396 A 19980108
GB 1998-26499 A 19981202
WO 1999-GB62 W 19990108

OTHER SOURCE(S): MARPAT 131:88205

AB Phenylalanine derivs. p-[R1(Alk1)r(L1)s]C6H2R2R3(Alk3)mCRR4NR5C(O)CHANA(L2)t(Alk2)uR6 [R1, R6 = H or (un)substituted cycloaliph., polycycloaliph.,

heterocycloaliph., polyheterocycloaliph., arom., or heteroarom. group; Alk1, Alk2 = (un)substituted aliph. or heteroaliph. chain; L1 = a linker atom or group; r, s, m, t, u = 0-1; Alk3 = alkylene; R4 = H, Me; R5 = H, alkyl; A2 is a chain -(CR7R8)pY(CR9R10)q- in which Y is a sulfur atom, SO, or SO2, R7, R8, R9 and R10 = H, alkyl, or (un)substituted arom. group or CR7R8 and CR9R10 form a cycloalkyl group, and p and q = 0-2 (not both zero); L2 = CO, CO2, C(S), SO2, CON(R11) (R11 = H, alkyl), CSN(R11), SON(R11), or SO2N(R11); R is a carboxylic acid or a deriv.; R2, R3 = L3(CH2)pL4(R2a)q, where L3, L4 is a covalent bond or linker atom or group; p = 0, 1; q = 1-3; R2a = H, halo, alkyl, OH, etc.] or their salts, solvates and hydrates were prepd. The compds. inhibit the binding of .alpha.4 integrins to their ligands and are of use in the prophylaxis and treatment of immune or inflammatory disorders. Thus, N-(pyrid-3-ylacetyl)-D-thioproline-N'-(2,6-dichlorobenzoyl)-L-4-aminophenylalanine was prepd. from 4-aminophenylalanine Me ester dihydrochloride, N-Boc-D-thioproline, 2,6-dichlorobenzoyl chloride, and 3-pyridylacetic acid hydrochloride. The products in the examples showed potency and selectivity against .alpha.4 integrins (IC50 values .gtoreq. 50 .mu.M).

IT **229328-63-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of phenylalanine derivs. as antiinflammatory agents)

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> select hit rn l17 1-25
E52 THROUGH E162 ASSIGNED

=> select hit rn l17 26-29
E163 THROUGH E209 ASSIGNED

=> fil reg
FILE 'REGISTRY' ENTERED AT 17:42:11 ON 05 DEC 2003
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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STRUCTURE FILE UPDATES: 4 DEC 2003 HIGHEST RN 623900-56-1
DICTIONARY FILE UPDATES: 4 DEC 2003 HIGHEST RN 623900-56-1

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

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http://www.cas.org/ONLINE/DBSS/registryss.html

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=> d his l18

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SELECT HIT RN L17 26-29

FILE 'REGISTRY' ENTERED AT 17:42:11 ON 05 DEC 2003
L18 111 S E52-E162

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3	RN	**479641-68-4**	REGISTRY
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6	RN	**455264-94-5**	REGISTRY
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10	RN	**444086-82-2**	REGISTRY
11	RN	**444086-81-1**	REGISTRY
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77        RN   340717-17-1  REGISTRY
78        RN   340717-16-0  REGISTRY
79        RN   340717-15-9  REGISTRY
80        RN   340717-14-8  REGISTRY
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88        RN   325464-12-8  REGISTRY
89        RN   321371-24-8  REGISTRY
90        RN   312689-71-7  REGISTRY
91        RN   312689-70-6  REGISTRY
92        RN   312689-64-8  REGISTRY
93        RN   312688-48-5  REGISTRY
94        RN   312688-47-4  REGISTRY
95        RN   312688-42-9  REGISTRY
96        RN   279240-66-3  REGISTRY
97        RN   279240-64-1  REGISTRY
98        RN   279240-63-0  REGISTRY
99        RN   279240-61-8  REGISTRY
100       RN   279240-02-7  REGISTRY
101       RN   279240-01-6  REGISTRY
102       RN   279240-00-5  REGISTRY
103       RN   279239-99-5  REGISTRY
104       RN   279239-98-4  REGISTRY
105       RN   265653-90-5  REGISTRY
106       RN   263276-03-5  REGISTRY
107       RN   252328-07-7  REGISTRY
108       RN   206266-69-5  REGISTRY
109       RN   206266-68-4  REGISTRY
110       RN   206263-76-5  REGISTRY
111       RN    39837-03-1  REGISTRY


=> d ide can 118 1 5 10 15 20 25 30 35 40 45 50 55 60 65 70 75 80 85 90 95 100 105 111

L18  ANSWER 1 OF 111  REGISTRY  COPYRIGHT 2003 ACS on STN
RN   609804-43-5  REGISTRY
CN   L-Tyrosine, N-acetyl-O-[2-[(5-chloro-2-pyridinyl)amino]-2-oxoethyl]-3-
     cyano-, methyl ester (9CI)  (CA INDEX NAME)
FS   STEREOSEARCH
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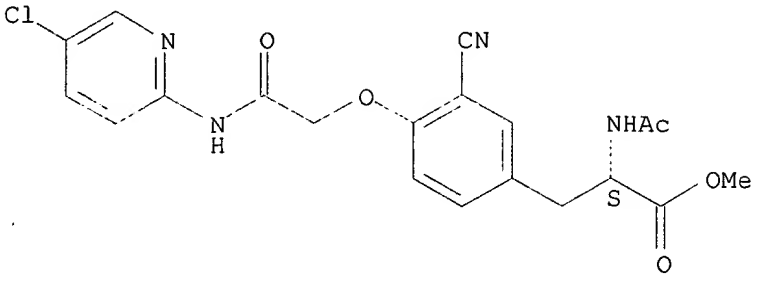
MF C20 H19 Cl N4 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



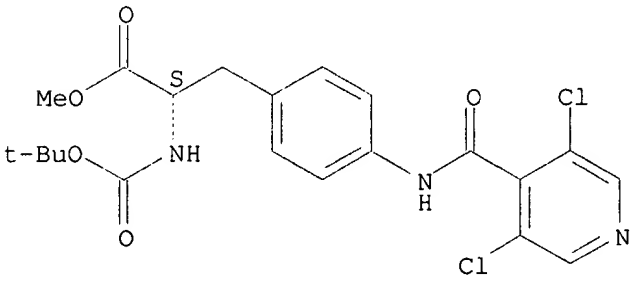
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 139:292142

L18 ANSWER 5 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **462123-55-3** REGISTRY
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[(1,1-dimethylethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C21 H23 Cl2 N3 O5
SR CA
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:90079

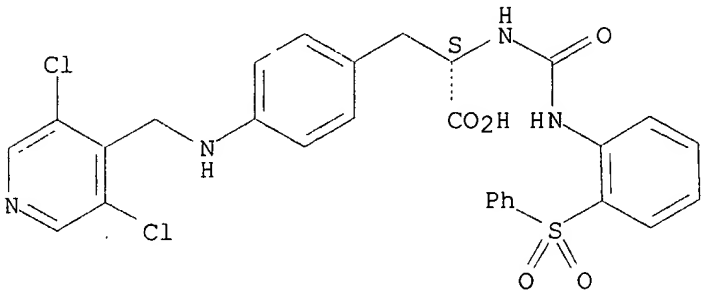
REFERENCE 2: 138:24961

REFERENCE 3: 137:263299

L18 ANSWER 10 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **444086-82-2** REGISTRY

CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)methyl]amino]-N-[[[2-(phenylsulfonyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H24 Cl2 N4 O5 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



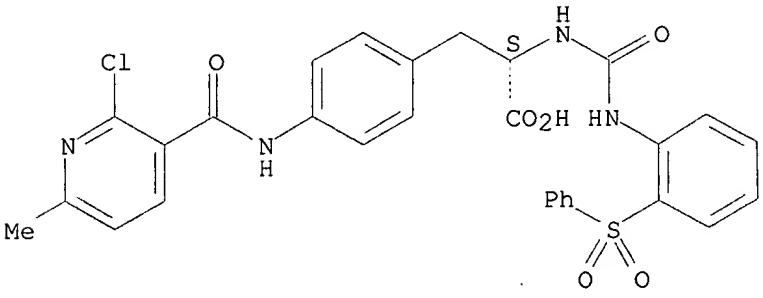
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:125085

L18 ANSWER 15 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **444086-75-3** REGISTRY
CN L-Phenylalanine, 4-[[(2-chloro-6-methyl-3-pyridinyl)carbonyl]amino]-N-[[[2-(phenylsulfonyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H25 Cl N4 O6 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

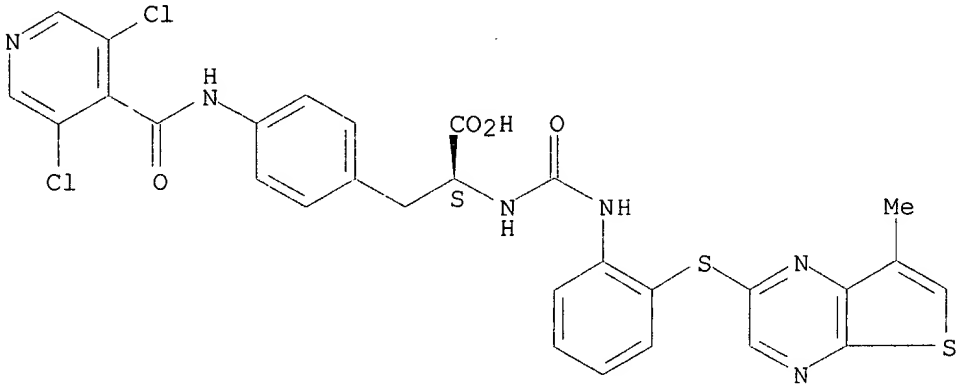
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:125085

L18 ANSWER 20 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN

RN **444086-70-8** REGISTRY
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[[[2-[(7-methylthieno[2,3-b]pyrazin-2-yl)thio]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C29 H22 Cl2 N6 O4 S2
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



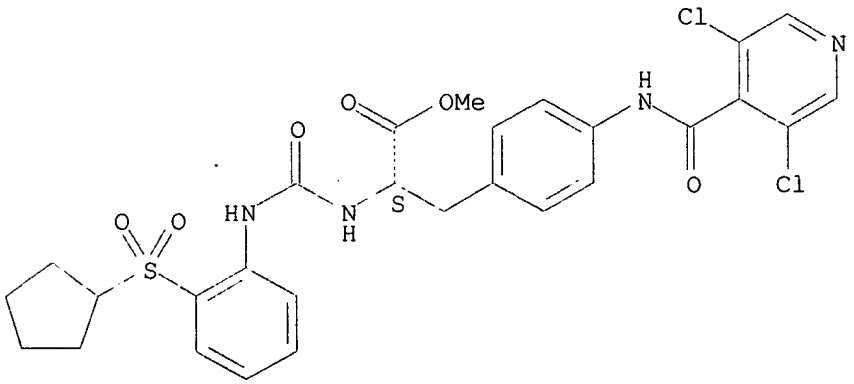
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:125085

L18 ANSWER 25 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **444086-65-1** REGISTRY
CN L-Phenylalanine, N-[[[2-(cyclopentylsulfonyl)phenyl]amino]carbonyl]-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H28 Cl2 N4 O6 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



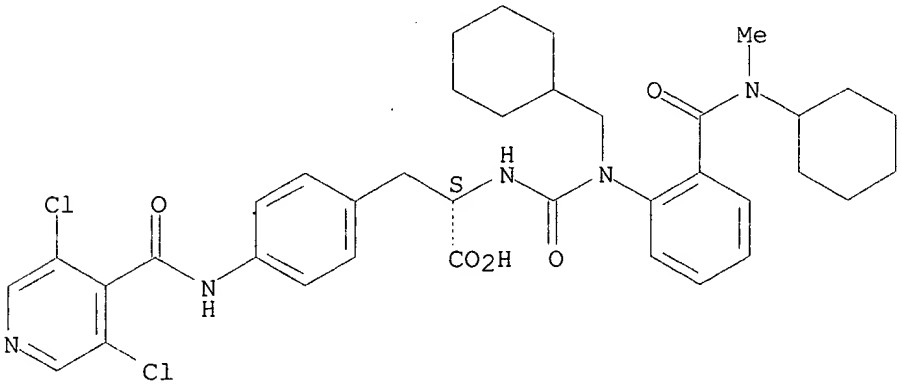
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:125085

L18 ANSWER 30 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **444086-60-6** REGISTRY
CN L-Phenylalanine, N-[[(cyclohexylmethyl)[2-[(cyclohexylmethylamino)carbonyl]phenyl]amino]carbonyl]-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C37 H43 Cl2 N5 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



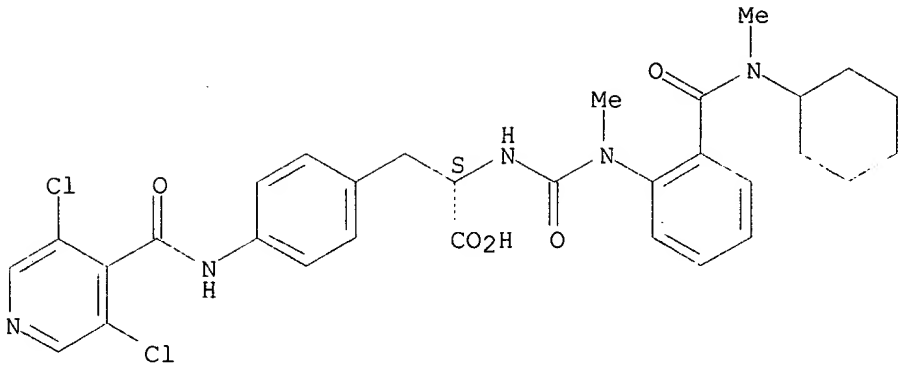
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:125085

L18 ANSWER 35 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **444086-55-9** REGISTRY
CN L-Phenylalanine, N-[[[2-[(cyclohexylmethylamino)carbonyl]phenyl]methylamino]carbonyl]-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H33 Cl2 N5 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



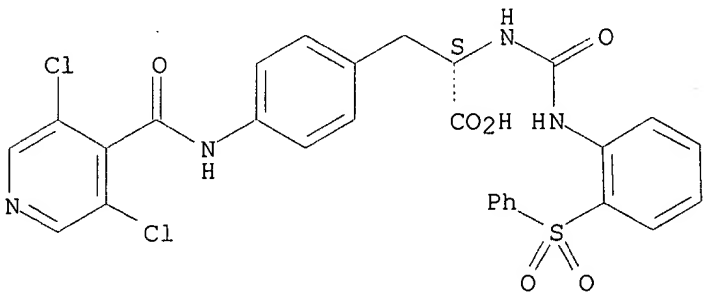
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:125085

L18 ANSWER 40 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **444086-44-6** REGISTRY
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[[[2-(phenylsulfonyl)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H22 Cl2 N4 O6 S
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

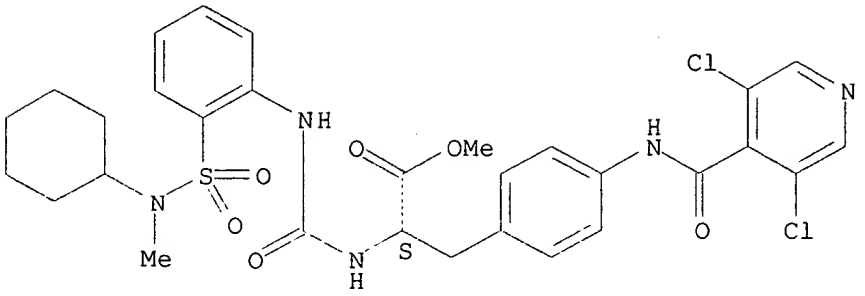
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:125085

L18 ANSWER 45 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **444086-39-9** REGISTRY
CN L-Phenylalanine, N-[[[2-[(cyclohexylmethylamino)sulfonyl]phenyl]amino]carbonyl]-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C30 H33 Cl2 N5 O6 S

SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



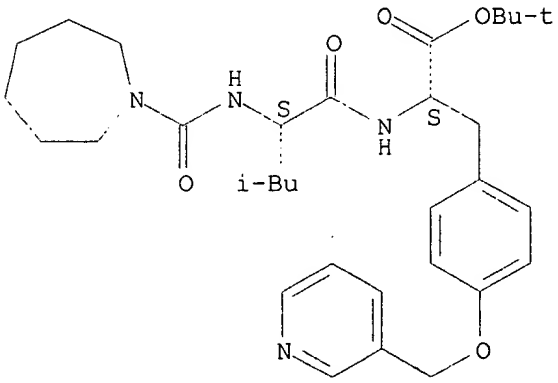
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:125085

L18 ANSWER 50 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **443690-20-8** REGISTRY
CN L-Tyrosine, N-[(hexahydro-1H-azepin-1-yl)carbonyl]-L-leucyl-O-(3-pyridinylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H46 N4 O5
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

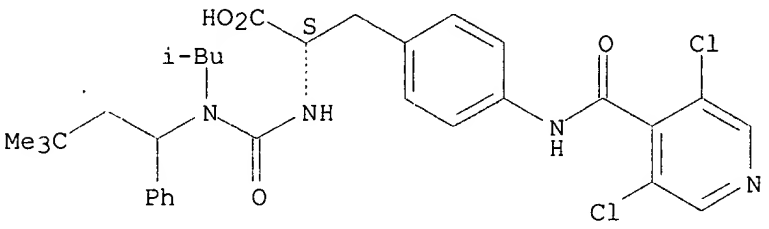
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:109488

L18 ANSWER 55 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **401470-90-4** REGISTRY

CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[[(3,3-dimethyl-1-phenylbutyl)(2-methylpropyl)amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C32 H38 Cl2 N4 O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



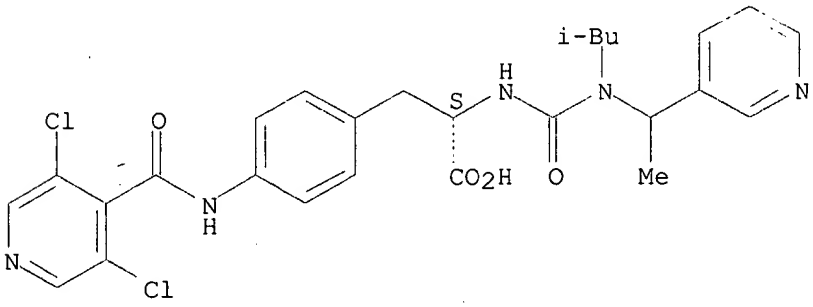
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:200103

L18 ANSER 60 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **401470-85-7** REGISTRY
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[[(2-methylpropyl)[1-(3-pyridinyl)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C27 H29 Cl2 N5 O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

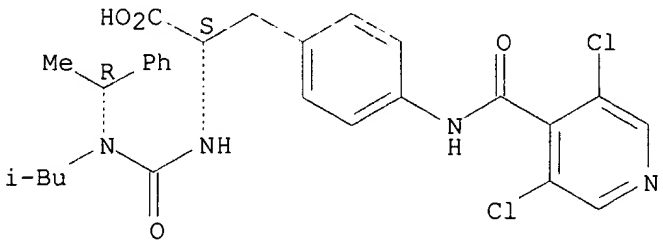
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:200103

L18 ANSER 65 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **401470-72-2** REGISTRY
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[[(2-

methylpropyl)[(1R)-1-phenylethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H30 Cl2 N4 O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



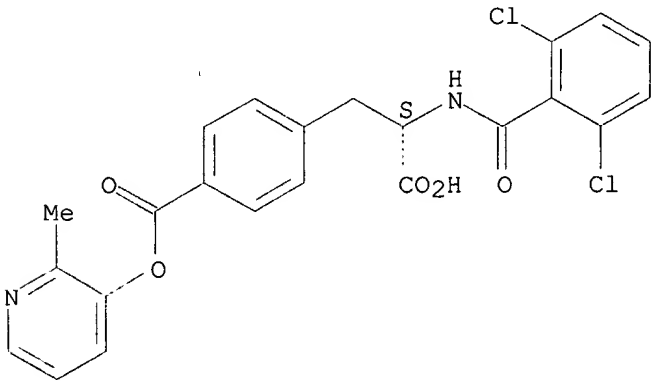
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:200103

L18 ANSWER 70 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **360045-56-3** REGISTRY
CN L-Phenylalanine, N-(2,6-dichlorobenzoyl)-4-[[(2-methyl-3-pyridinyl)oxy]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H18 Cl2 N2 O5
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

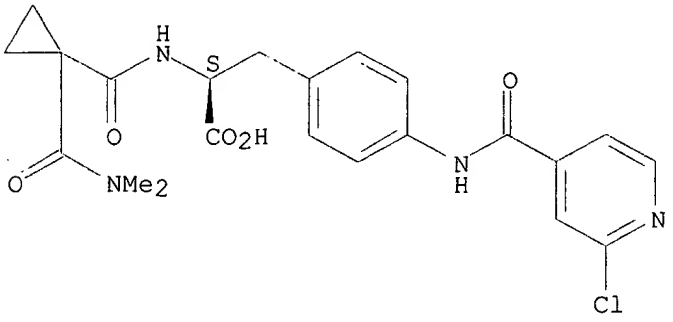
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:242013

L18 ANSWER 75 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN

RN **340717-19-3** REGISTRY
CN L-Phenylalanine, 4-[[(2-chloro-4-pyridinyl)carbonyl]amino]-N-[[1-[(dimethylamino)carbonyl]cyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H23 Cl N4 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



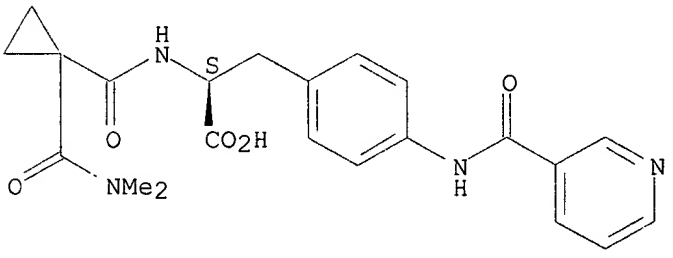
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:367194

L18 ANSWER 80 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **340717-14-8** REGISTRY
CN L-Phenylalanine, N-[[1-[(dimethylamino)carbonyl]cyclopropyl]carbonyl]-4-[(3-pyridinylcarbonyl)amino]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H24 N4 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

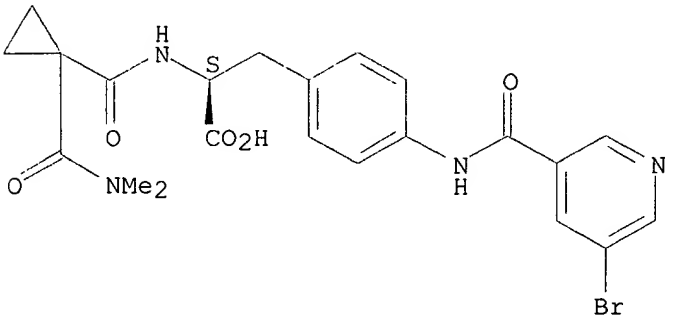
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:367194

L18 ANSWER 85 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN

RN **340716-58-7** REGISTRY
CN L-Phenylalanine, 4-[[(5-bromo-3-pyridinyl)carbonyl]amino]-N-[[1-[(dimethylamino)carbonyl]cyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H23 Br N4 O5
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

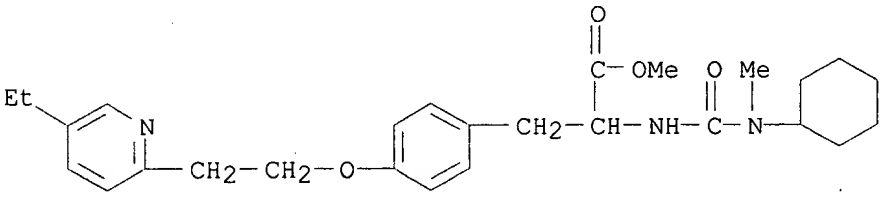


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:367194

L18 ANSWER 90 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **312689-71-7** REGISTRY
CN Tyrosine, N-[(cyclohexylmethylamino)carbonyl]-O-[2-(5-ethyl-2-pyridinyl)ethyl]-, methyl ester (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C27 H37 N3 O4
SR CA
LC STN Files: CA, CAPLUS



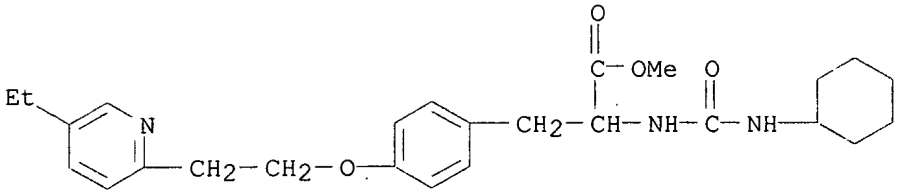
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:41915

L18 ANSWER 95 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **312688-42-9** REGISTRY
CN Tyrosine, N-[(cyclohexylamino)carbonyl]-O-[2-(5-ethyl-2-pyridinyl)ethyl]-, methyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD
MF C26 H35 N3 O4
SR CA
LC STN Files: CA, CAPLUS



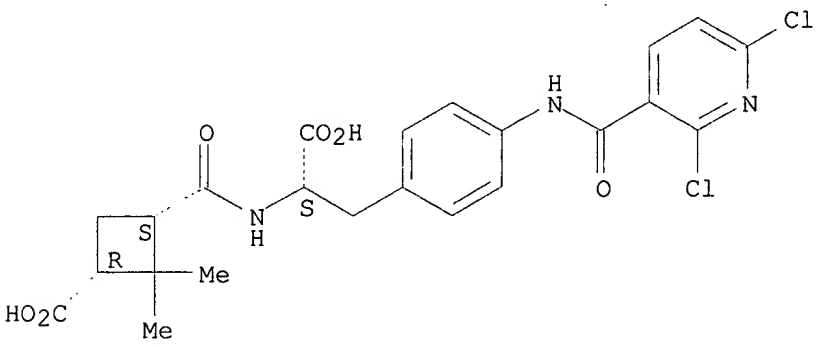
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:41915

L18 ANSWER 100 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **279240-02-7** REGISTRY
CN L-Phenylalanine, N-[[(1S,3R)-3-carboxy-2,2-dimethylcyclobutyl]carbonyl]-4-[[(2,6-dichloro-3-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H23 Cl2 N3 O6
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

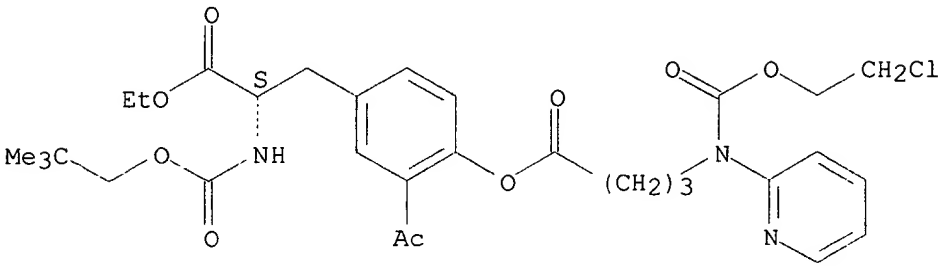
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:74323

L18 ANSWER 105 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **265653-90-5** REGISTRY
CN L-Tyrosine, 3-acetyl-N-[(2,2-dimethylpropoxy)carbonyl]-, ethyl ester, 4-[[(2-chloroethoxy)carbonyl]-2-pyridinylamino]butanoate (ester) (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H40 Cl N3 O9

SR CA
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



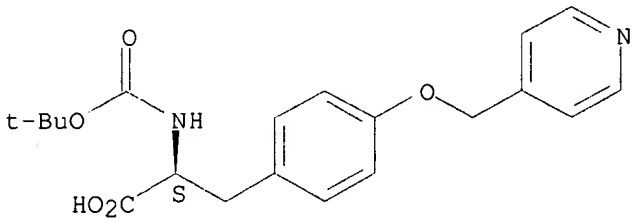
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:308248

L18 ANSWER 111 OF 111 REGISTRY COPYRIGHT 2003 ACS on STN
RN **39837-03-1** REGISTRY
CN L-Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN N-tert-Butoxycarbonyl-O-4-picolyl-L-tyrosine
FS STEREOSEARCH
MF C20 H24 N2 O5
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:144646

REFERENCE 2: 86:107036

REFERENCE 3: 78:4506

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=>

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1          RN   263276-03-5  REGISTRY
2          RN   252328-07-7  REGISTRY
3          RN   252328-04-4  REGISTRY
4          RN   252328-03-3  REGISTRY
5          RN   252327-99-4  REGISTRY
6          RN   252327-98-3  REGISTRY
7          RN   252327-95-0  REGISTRY
8          RN   252327-94-9  REGISTRY
9          RN   252327-91-6  REGISTRY
10         RN   252327-90-5  REGISTRY
11         RN   252327-89-2  REGISTRY
12         RN   252327-88-1  REGISTRY
13         RN   252327-87-0  REGISTRY
14         RN   252327-86-9  REGISTRY
15         RN   252327-85-8  REGISTRY
16         RN   252327-84-7  REGISTRY
17         RN   252327-80-3  REGISTRY
18         RN   252327-78-9  REGISTRY
19         RN   252327-77-8  REGISTRY
20         RN   252327-76-7  REGISTRY
21         RN   252327-75-6  REGISTRY
22         RN   252327-74-5  REGISTRY
23         RN   252327-73-4  REGISTRY
24         RN   252327-72-3  REGISTRY
25         RN   252327-71-2  REGISTRY
26         RN   252327-70-1  REGISTRY
27         RN   240482-27-3  REGISTRY
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30         RN   240482-24-0  REGISTRY
31         RN   240482-19-3  REGISTRY
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33         RN   240482-17-1  REGISTRY
34         RN   240482-16-0  REGISTRY
35         RN   240482-15-9  REGISTRY
36         RN   240482-14-8  REGISTRY
37         RN   240482-13-7  REGISTRY
38         RN   240482-12-6  REGISTRY
39         RN   240482-11-5  REGISTRY
40         RN   240482-10-4  REGISTRY
41         RN   240482-09-1  REGISTRY
42         RN   240482-08-0  REGISTRY
43         RN   240482-02-4  REGISTRY
44         RN   240481-97-4  REGISTRY
45         RN   240481-96-3  REGISTRY
46         RN   240481-95-2  REGISTRY
47         RN   229328-63-6  REGISTRY

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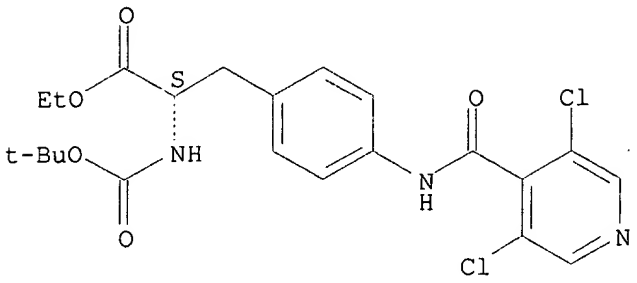
L19  ANSWER 1 OF 47  REGISTRY  COPYRIGHT 2003 ACS on STN
RN   263276-03-5  REGISTRY
CN   L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[(1,1-
```

dimethylethoxy)carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H25 Cl2 N3 O5
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

5 REFERENCES IN FILE CA (1907 TO DATE)
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:217241

REFERENCE 2: 136:135022

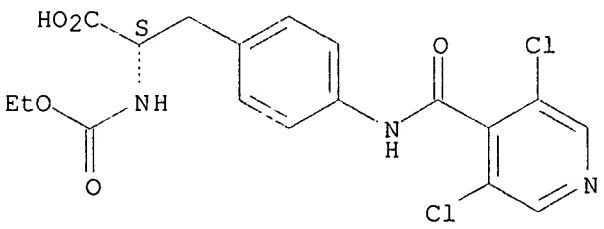
REFERENCE 3: 136:135019

REFERENCE 4: 134:29705

REFERENCE 5: 132:265501

L19 ANSWER 5 OF 47 REGISTRY COPYRIGHT 2003 ACS on STN
RN **252327-99-4** REGISTRY
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-(ethoxycarbonyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C18 H17 Cl2 N3 O5
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



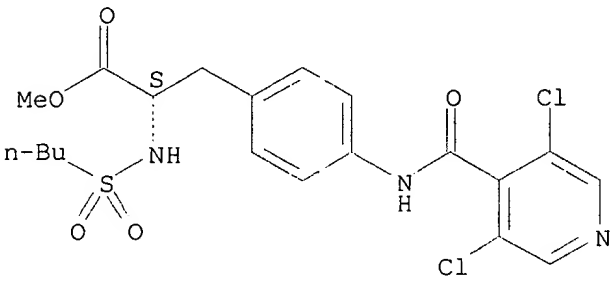
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:36028

L19 ANSWER 10 OF 47 REGISTRY COPYRIGHT 2003 ACS on STN
RN **252327-90-5** REGISTRY
CN L-Phenylalanine, N-(butylsulfonyl)-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H23 Cl2 N3 O5 S
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



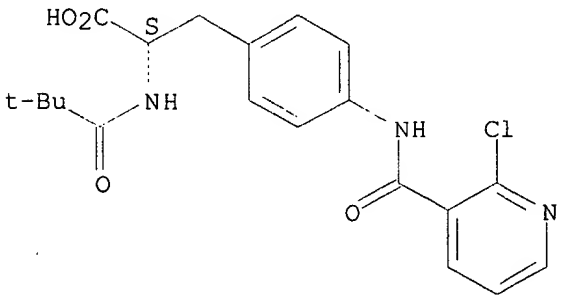
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:36028

L19 ANSWER 15 OF 47 REGISTRY COPYRIGHT 2003 ACS on STN
RN **252327-85-8** REGISTRY
CN L-Phenylalanine, 4-[[(2-chloro-3-pyridinyl)carbonyl]amino]-N-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H22 Cl N3 O4
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



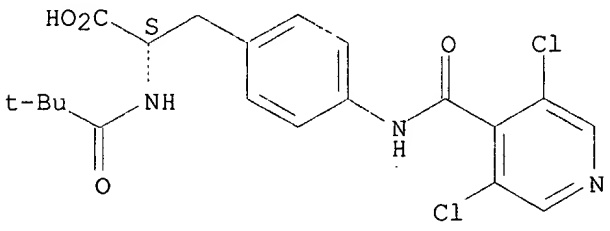
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:36028

L19 ANSWER 20 OF 47 REGISTRY COPYRIGHT 2003 ACS on STN
RN **252327-76-7** REGISTRY
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-(2,2-dimethyl-1-oxopropyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H21 Cl2 N3 O4
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



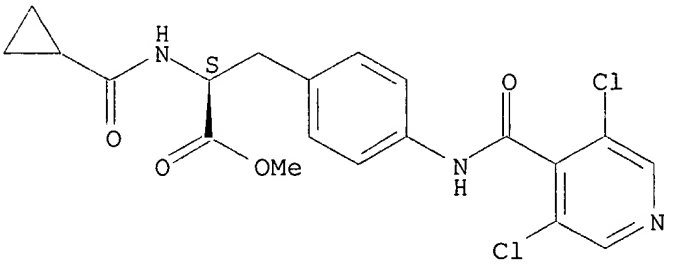
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:36028

L19 ANSWER 25 OF 47 REGISTRY COPYRIGHT 2003 ACS on STN
RN **252327-71-2** REGISTRY
CN L-Phenylalanine, N-(cyclopropylcarbonyl)-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C20 H19 Cl2 N3 O4
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

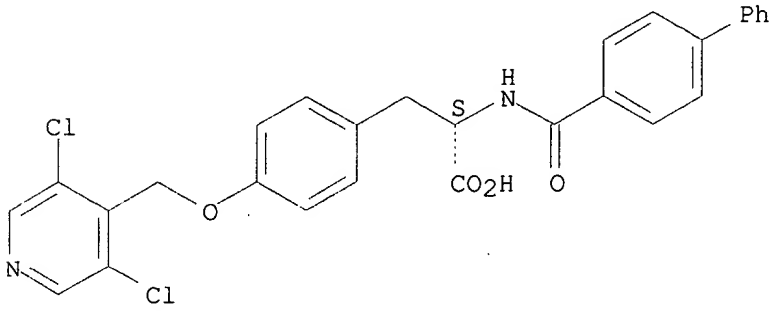
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:36028

L19 ANSWER 30 OF 47 REGISTRY COPYRIGHT 2003 ACS on STN

RN **240482-24-0** REGISTRY
CN L-Tyrosine, N-([1,1'-biphenyl]-4-ylcarbonyl)-O-[(3,5-dichloro-4-pyridinyl)methyl]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C28 H22 Cl2 N2 O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



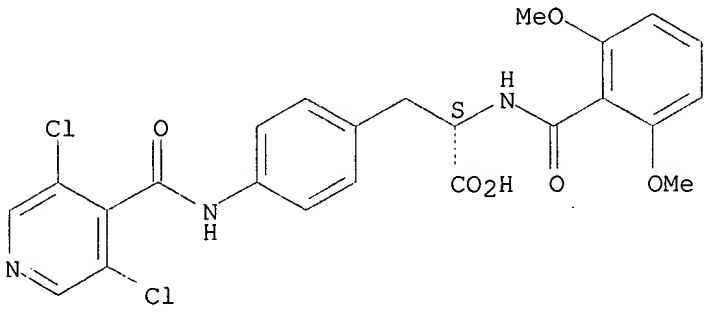
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 131:185243

L19 ANSWER 35 OF 47 REGISTRY COPYRIGHT 2003 ACS on STN
RN **240482-15-9** REGISTRY
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-(2,6-dimethoxybenzoyl)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H21 Cl2 N3 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



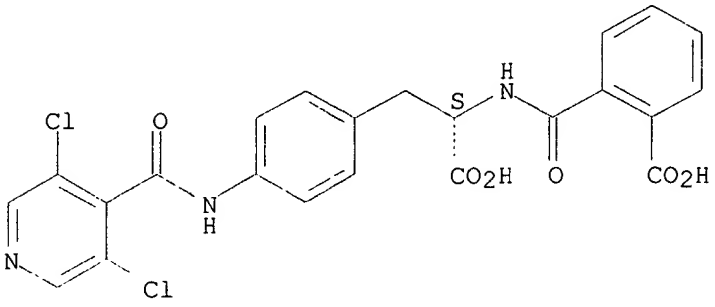
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 131:185243

L19 ANSWER 40 OF 47 REGISTRY COPYRIGHT 2003 ACS on STN
RN **240482-10-4** REGISTRY
CN L-Phenylalanine, N-(2-carboxybenzoyl)-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H17 Cl2 N3 O6
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



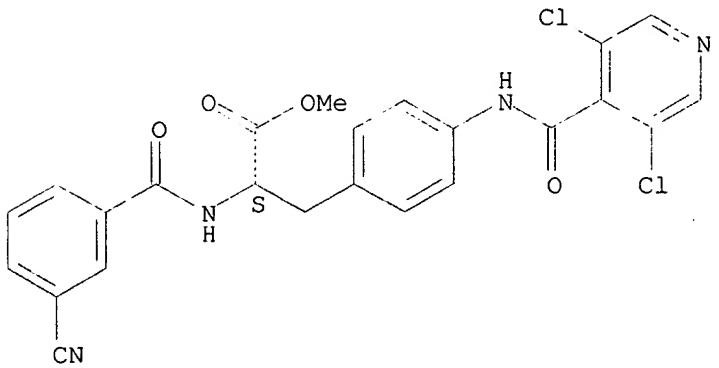
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 131:185243

L19 ANSWER 45 OF 47 REGISTRY COPYRIGHT 2003 ACS on STN
RN **240481-96-3** REGISTRY
CN L-Phenylalanine, N-(3-cyanobenzoyl)-4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C24 H18 Cl2 N4 O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



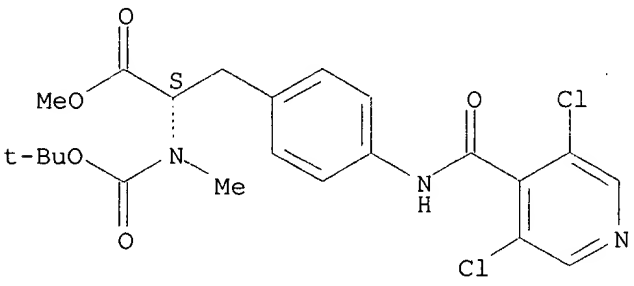
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 131:185243

L19 ANSWER 47 OF 47 REGISTRY COPYRIGHT 2003 ACS on STN
RN **229328-63-6** REGISTRY
CN L-Phenylalanine, 4-[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]-N-[(1,1-dimethylethoxy)carbonyl]-N-methyl-, methyl ester (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H25 Cl2 N3 O5
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 131:88205